

(19)



Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) Publication number:

0 648 740 A1

(12)

EUROPEAN PATENT APPLICATION
published in accordance with Art.
158(3) EPC

(21) Application number: 94914561.9

(22) Date of filing: 27.04.94

(96) International application number:
PCT/JP94/00708(97) International publication number:
WO 94/25432 (10.11.94 94/25)

(51) Int. Cl.⁶: **C07C 271/22**, C07C 271/32,
C07C 271/54, C07C 317/14,
C07C 327/20, C07D 213/28,
C07D 239/32, C07D 307/04,
C07D 307/40, C07D 307/77,
C07D 333/14

(30) Priority: 28.04.93 JP 125455/93

(43) Date of publication of application:
19.04.95 Bulletin 95/16(84) Designated Contracting States:
DE ES FR GB IT NL PT

(71) Applicant: KUMIAI CHEMICAL INDUSTRY CO.,
LTD.
4-26, Ikenohata 1-chome
Taitoh-ku
Tokyo 110-91 (JP)
Applicant: IHARA CHEMICAL INDUSTRY Co.,
Ltd.
4-26, Ikenohata 1-chome
Taitoh-ku,
Tokyo 110 (JP)

(72) Inventor: SHIBATA, Masaru, K. I Chem. Res.
Inst. Co., Ltd
408-1, Shioshinden,
Fukude-cho
Iwata-gun,
Shizuoka 437-12 (JP)
Inventor: SUGIYAMA, Kazuhiko, K. I Chem.
Res. Inst. Co., Ltd
408-01, Shioshinden,
Fukude-cho
Iwata-gun,

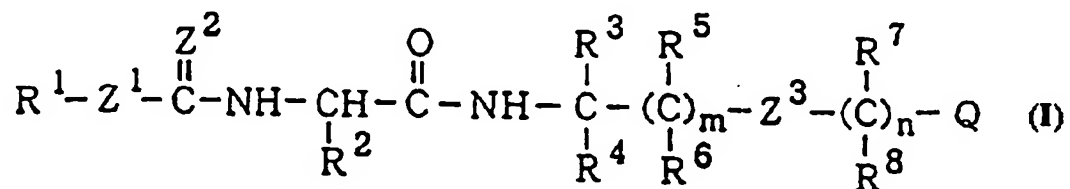
Shizuoka 437-12 (JP)
Inventor: YONEKURA, Norihisa, K. I Chem.
Res. Inst. Co., Ltd
408-01, Shioshinden,
Fukude-cho
Iwata-gun,
Shizuoka 437-12 (JP)
Inventor: SAKAI, Junetsu
1809, Kamo,
Kikugawa-cho
Ogasa-gun,
Shizuoka 439 (JP)
Inventor: KOJIMA, Yoshiyuki
69, Kohgoshō
Kakegawa-shi,
Shizuoka 436 (JP)
Inventor: HAYASHI, Shigeru
970-1, Niino,
Hamaoka-cho
Ogasa-gun,
Shizuoka 437-16 (JP)

(74) Representative: Hansen, Bernd, Dr.
Dipl.-Chem. et al
Hoffmann, Eitle & Partner,
Patentanwälte,
Arabellastrasse 4
D-81925 München (DE)

(54) AMINO ACID AMIDE DERIVATIVE, AGROHORTICULTURAL BACTERICIDE, AND PRODUCTION
PROCESS.

(57) An amino acid amide derivative represented by general formula (I) and an agrohorticultural bactericide

containing the same as the active ingredient. In said formula (I) R¹ represents lower alkyl which may be substituted by one or more substituents selected from the same or different halogen atoms, alkoxy groups and a cyano group; R² represents ethyl or n-propyl; R³ represents hydrogen or lower alkyl; R⁴ represents hydrogen; R⁵, R⁶ and R⁷ represent each independently hydrogen or lower alkyl; R⁸ represents hydrogen or lower alkyl; Z¹ and Z² represent each independently oxygen or sulfur; Z³ represents oxygen or sulfur; Q represents phenyl; m represents an integer of 0 to 2; and n represents an integer of 0 or 1. This derivative has a high control effect on downy mildew of cucumber, diseases of tomato and downy mildew of grape. Further it is excellent in the capability of penetration and migration, residual effect and rainfall resistance without causing crop injury.



[Field of the Invention]

The present invention relates to an amino-acid amide derivative as well as to an agricultural or horticultural fungicide containing the same as an active ingredient. The present invention also relates to a process for preparing the same.

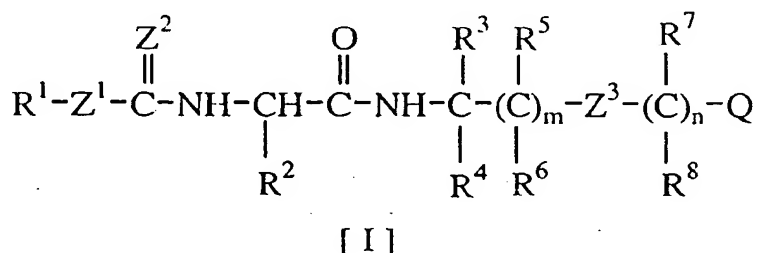
[Background of the Art]

Amino-acid amide derivatives have been disclosed as intermediates for medicines in Japanese Patent Application, First Publication Nos. Sho 56-8352 and Sho 62-89696. However, these documents fail to disclose the utility of the amino-acid amide derivatives. Although Japanese Patent Application First Publication Nos. Hei 3-5451, Hei 3-153657, Hei 4-230652, Hei 4-230653, Hei 4-283554, Hei 4-308507, and Hei 4-338372 disclose that some amino-acid amides are useful for biocides, the compounds disclosed in these documents are different from the amino-acid amide derivatives according to the present invention.

[Disclosure of the Invention]

The present inventors have synthesized various amino-acid amide derivatives and have carried out extensive research in connection with their effects on the physiological activities of fungi. As a result, we have found that the compounds according to the present invention exhibit a broad spectrum of anti-fungal activity especially against cucumber downy mildew, grape downy mildew, and tomato late blight, and at the same time they do not hinder desirable plant growth.

According to an aspect of the present invention, there is provided an amino-acid amide derivative represented by the formula:



wherein R¹ represents

a lower alkyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, an alkoxy group, and a cyano group),

a lower alkenyl group,

a lower alkynyl group,

a cycloalkyl group (optionally having at least one same or different substituent selected from the group consisting of methyl group and a halogen atom),

a cycloalkylalkyl group,

a cycloalkenyl group,

an alkylene oxide group,

an aralkyl group (optionally having at least one same or different substituent selected from the group consisting of a methyl group, a cyano group, and a nitro group),

a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom,

a lower alkyl group which may be substituted with a same or different halogen atom,

a lower alkoxy group which may be substituted with a same or different halogen atom,

a cyano group, and

a nitro group), or

a heterocyclic group,

R² represents an ethyl group, an *n*-propyl group, an isopropyl group, an isobutyl group, a *sec*-butyl group, a *tert*-butyl group, an alkenyl group, a cycloalkyl group, a phenyl group (optionally having at least one substituent of halogen atom),

R³ represents a hydrogen atom or a lower alkyl group,

R⁴ represents a hydrogen atom, a lower alkyl group, or a cyano group,

R⁵, R⁶, and R⁷ independently represent a hydrogen atom or a lower alkyl group,

R⁸ represents a hydrogen atom, a lower alkyl group, an aralkyl group, a phenyl group, an alkoxy-
 5 bonyl group, or a cyano group,

Z¹ and Z² independently represent an oxygen atom or a sulfur atom,

Z³ represents an oxygen atom, a sulfur atom, a group N-R¹⁰ (wherein R¹⁰ represents a hydrogen atom, a methyl group, a methylcarbonyl group, a phenylcarbonyl group, a methoxycarbonyl group, or a methoxymethyl group), a sulfinyl group, a sulfonyl group, a group COO, a group CONR¹¹ (wherein R¹¹
 10 represents a hydrogen atom or a lower alkyl group),

Q represents

a phenyl group [optionally having at least one same or different substituent selected from the group consisting of

a halogen atom,

15 a lower alkyl group which may be substituted with at least one same or different halogen atom,

a lower alkoxy group which may be substituted with a same or different halogen atom,

a cyano group,

a nitro group,

a lower alkoxy carbonyl group,

20 a methylsulfonyl group,

a methylsulfinyl group,

a methylthio group which may be substituted with a halogen atom,

a dimethylamino group,

a phenylsulfonyl group,

25 an acyl group, and a phenyl group],

an alkylene oxide group,

a heterocyclic group (optionally having a substituent selected from the group consisting of a halogen atom, an alkyl group, a trifluoromethyl group, and a nitro group), or

a condensed heterocyclic group optionally having a substituent selected from the group consisting of a
 30 halogen atom and a nitro group,

m represents an integer from 0 to 2, and

n represents 0 or 1,

and an agricultural or horticultural fungicide containing the same as the active ingredient.

The terms employed in the present invention are defined as follows. The term "alkyl group" is used
 35 herein to mean a straight or branched alkyl group possessing 1 to 6 carbon atoms including, but not limited to, a methyl group, ethyl group, *n*-propyl group, isopropyl group, *n*-butyl group, isobutyl group, *sec*-butyl group, *tert*-butyl group, *n*-pentyl group, 1-methylbutyl group, 2-methylbutyl group, 3-methylbutyl group, 2,2-dimethylpropyl group, 1,1-dimethylpropyl group, 1-ethylpropyl group, *n*-hexyl group, or the like.

The term "halogen atom" is used herein to mean a fluorine atom, chlorine atom, bromine atom, or
 40 iodine atom.

The term "lower alkenyl group" is used herein to mean a straight or branched alkenyl group possessing 2 to 6 carbon atoms and including, but not limited to, a vinyl group, 1-propenyl group, 2-propenyl group, isopropenyl group, 1-butenyl group, 2-butenyl group, 3-butenyl group, 1-methyl-1-propenyl group, 2-methylpropenyl group, 1-ethylvinyl group, or the like.

45 The term "lower alkynyl group" is used herein to mean a straight or branched alkynyl group possessing 2 to 6 carbon atoms and including, for example, an ethynyl group, propynyl group, butynyl group, 1-methyl-2-propynyl group, or the like.

The term "cycloalkyl group" is used herein to mean a cycloalkyl group possessing 3 to 8 carbon atoms and including, but not limited to, a cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl
 50 group, cycloheptyl group, or the like.

The term "cycloalkenyl group" is used herein to mean a cycloalkenyl group possessing 4 to 8 carbon atoms and including, for example, a cyclobutenyl group, cyclopentenyl group, cyclohexenyl group, cycloheptenyl group, or the like.

55 The term "aralkyl group" is used herein to mean an aralkyl group possessing 7 to 8 carbon atoms and including, but not limited to, a benzyl group, phenethyl group, or the like.

The term "alkylene oxide group" is used herein to mean an alkylene oxide group possessing 2 to 6 carbon atoms and including, for example, an oxiranyl group, oxetanyl group, tetrahydrofuranlyl group, tetrahydropyranyl group, or the like.

The preferred compounds of the present invention are represented by formula [I], wherein R¹ represents a straight or branched alkyl group possessing 2 to 6 carbon atoms, a straight or branched alkenyl group possessing 3 carbon atoms, a cycloalkyl group possessing 5 to 6 carbon atoms, or a phenyl group optionally having a substituent; R² represents an ethyl group, an *n*-propyl group, an isopropyl group, or a *sec*-butyl group; R³ represents a hydrogen atom or a methyl group; R⁴ represents a hydrogen atom or a methyl group; R⁵ represents a hydrogen atom or a methyl group; R⁶ represents a hydrogen atom or a methyl group; Q represents a phenyl group optionally having a substituent; m represents an integer of 0 or 1; n represents 0; Z¹, Z², and Z³ represent an oxygen atom or a sulfur atom; and the amino acid is an L-isomer.

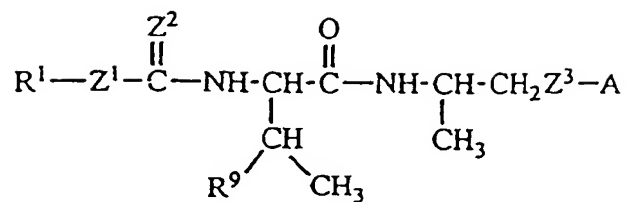
The compounds represented by formula [I] according to the present invention can exist in stereoisomers by virtue of the presence of two or more chiral centers. The present invention relates to all such stereoisomers, including diastereomers, enantiomers, and mixtures thereof, which can be separated by appropriate methods.

Next, the compounds represented by formula [I] according to the present invention are listed in Tables 1 to 12. However, it should be understood that the invention is not limited to these compounds. The compound Numbers given in Tables 1 to 12 will be referred to in the subsequent description.

In Tables 1 to 12, Compound Nos. 108, 433, 456, 459, 460, 461, 462, 464, 467, 470, 471, 472, and 475 possess D,L-configurational amino acid moieties; Compound No. 109 possesses a D-configurational amino acid moiety; Compound Nos. 233, 234, 235, 236, 237, 238, 425, 426, 427 possess (2S)-butyric acid moieties; and the compounds other than the compounds described above possess L-configurational amino acid moieties. Compound Nos. 33, 345, and 346; Compound Nos. 107, 116, and 117; Compound Nos. 135, 395, and 396; Compound Nos. 228, 414, and 415; and Compound Nos. 452, 453, and 454 are mixtures of diastereomers, and are also individual diastereomers. In addition, Compound Nos. 26 and 27; Compound Nos. 45 and 356; Compound Nos. 335 and 336; Compound Nos. 397 and 401; and Compound Nos. 409 and 410 are mixtures of diastereomers, and are also one of the individual diastereomers, respectively. Compound No. 108 is a mixture of four isomers and Compound No. 433 is a mixture of two isomers. Compound Nos. 483 to 501, 504, 505, 510 to 518, 521, and 522 are L-Val-DL-Ala; Compound Nos. 502, 503, 508, 509, 519, and 525 are L-Val-D-Ala; Compound No. 520 is L-Val-L-Ala; Compound Nos. 506 and 523 are L-Ile-D-Ala; Compound No. 526 is L-Val-Gly; and Compound Nos. 507 and 524 are (2S)-butyl-L-Ala.

In the tables of the present specification, the expressions "C₃H₇-i", "C₄H₉-t", "C₄H₉-s", and "C₄H₉-i" are used to indicate an isopropyl group, a *tert*-butyl group, a *sec*-butyl group, and an isobutyl group, respectively.

Table 1



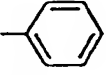
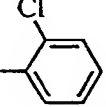
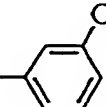
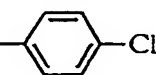
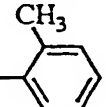
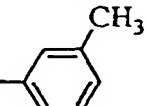
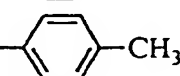
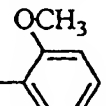
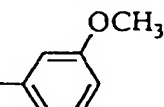
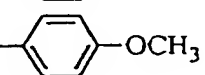
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
1	C ₄ H ₉ -t	CH ₃	O	O	O		88-92
2	C ₄ H ₉ -t	CH ₃	O	O	O		98-100
3	C ₄ H ₉ -t	CH ₃	O	O	O		1.5051
4	C ₄ H ₉ -t	CH ₃	O	O	O		97-98
5	C ₄ H ₉ -t	CH ₃	O	O	O		77-80
6	C ₄ H ₉ -t	CH ₃	O	O	O		1.5051
7	C ₄ H ₉ -t	CH ₃	O	O	O		99-101
8	C ₄ H ₉ -t	CH ₃	O	O	O		86-89
9	C ₄ H ₉ -t	CH ₃	O	O	O		1.4899
10	C ₄ H ₉ -t	CH ₃	O	O	O		86-89

Table 1 (continued)

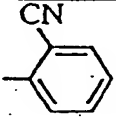
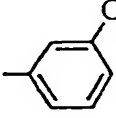
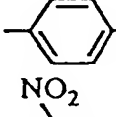
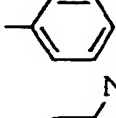
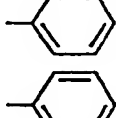
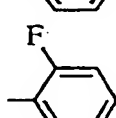
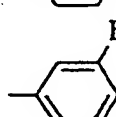
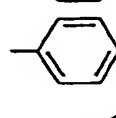
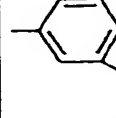
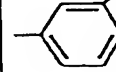

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
11	C ₄ H ₉ -t	CH ₃	O	O	O		
12	C ₄ H ₉ -t	CH ₃	O	O	O		83-87
13	C ₄ H ₉ -t	CH ₃	O	O	O		53-56
14	C ₄ H ₉ -t	CH ₃	O	O	O		1.5081
15	C ₄ H ₉ -t	CH ₃	O	O	O		112-114
16	C ₄ H ₉ -t	CH ₃	O	O	O		105-107
17	C ₄ H ₉ -t	CH ₃	O	O	O		95-97
18	C ₄ H ₉ -t	CH ₃	O	O	O		89-92
19	C ₄ H ₉ -t	CH ₃	O	O	O		85-89
20	C ₄ H ₉ -t	CH ₃	O	O	O		99-100
21	C ₄ H ₉ -t	CH ₃	O	O	O		102-104

Table 1 (continued)

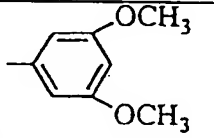
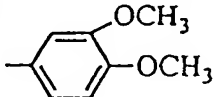
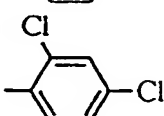
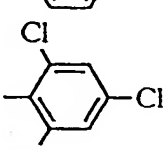
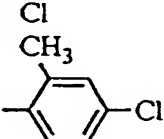
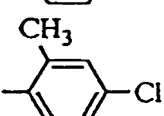
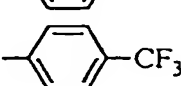
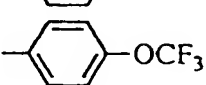
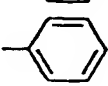
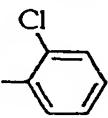
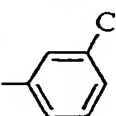
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
22	C ₄ H ₉ -t	CH ₃	O	O	O		87-91
23	C ₄ H ₉ -t	CH ₃	O	O	O		88-90
24	C ₄ H ₉ -t	CH ₃	O	O	O		98-103
25	C ₄ H ₉ -t	CH ₃	O	O	O		120-125
26	C ₄ H ₉ -t	CH ₃	O	O	O		108-110
27	C ₄ H ₉ -t	CH ₃	O	O	O		143-146
28	C ₄ H ₉ -t	CH ₃	O	O	O		115-117
29	C ₄ H ₉ -t	CH ₃	O	O	O		94-98
30	C ₃ H ₇ -i	CH ₃	O	O	O		
31	C ₃ H ₇ -i	CH ₃	O	O	O		
32	C ₃ H ₇ -i	CH ₃	O	O	O		

Table 1 (continued)

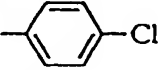
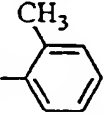
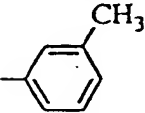
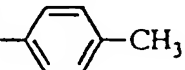
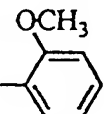
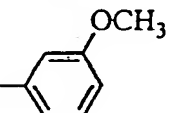
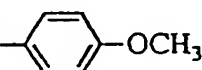
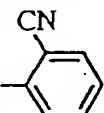
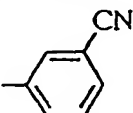
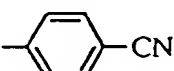
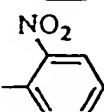
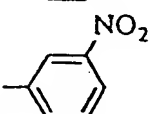
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
33	C ₃ H ₇ -i	CH ₃	O	O	O		149-152
34	C ₃ H ₇ -i	CH ₃	O	O	O		
35	C ₃ H ₇ -i	CH ₃	O	O	O		
36	C ₃ H ₇ -i	CH ₃	O	O	O		
37	C ₃ H ₇ -i	CH ₃	O	O	O		
38	C ₃ H ₇ -i	CH ₃	O	O	O		
39	C ₃ H ₇ -i	CH ₃	O	O	O		
40	C ₃ H ₇ -i	CH ₃	O	O	O		
41	C ₃ H ₇ -i	CH ₃	O	O	O		
42	C ₃ H ₇ -i	CH ₃	O	O	O		149-152
43	C ₃ H ₇ -i	CH ₃	O	O	O		
44	C ₃ H ₇ -i	CH ₃	O	O	O		

Table 1 (continued)

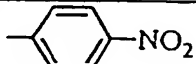
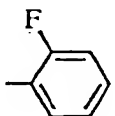
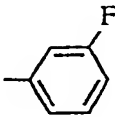
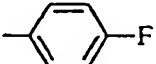
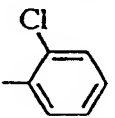
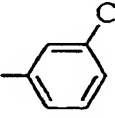
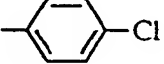
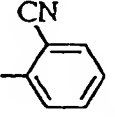
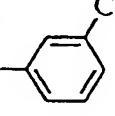
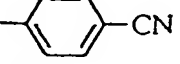
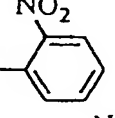
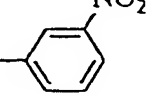
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
45	C ₃ H ₇ -i	CH ₃	O	O	O		not determined
46	C ₃ H ₇ -i	CH ₃	O	O	O		
47	C ₃ H ₇ -i	CH ₃	O	O	O		
48	C ₃ H ₇ -i	CH ₃	O	O	O		
49	C ₂ H ₅	CH ₃	O	O	O		
50	C ₂ H ₅	CH ₃	O	O	O		
51	C ₂ H ₅	CH ₃	O	O	O		
52	C ₂ H ₅	CH ₃	O	O	O		
53	C ₂ H ₅	CH ₃	O	O	O		
54	C ₂ H ₅	CH ₃	O	O	O		112-115
55	C ₂ H ₅	CH ₃	O	O	O		
56	C ₂ H ₅	CH ₃	O	O	O		

Table 1 (continued)

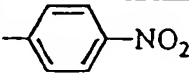
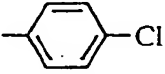
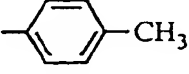
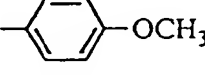
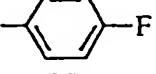
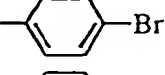
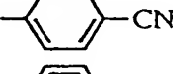
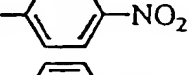
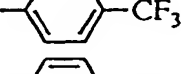
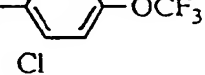
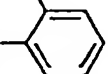
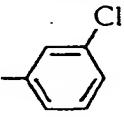
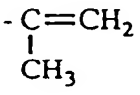
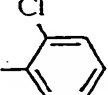
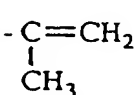
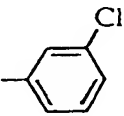
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
57	C ₂ H ₅	CH ₃	O	O	O		140-143
58	C ₄ H ₉ -s	CH ₃	O	O	O		
59	C ₄ H ₉ -s	CH ₃	O	O	O		
60	C ₄ H ₉ -s	CH ₃	O	O	O		
61	C ₄ H ₉ -s	CH ₃	O	O	O		
62	C ₄ H ₉ -s	CH ₃	O	O	O		
63	C ₄ H ₉ -s	CH ₃	O	O	O		
64	C ₄ H ₉ -s	CH ₃	O	O	O		
65	C ₄ H ₉ -s	CH ₃	O	O	O		
66	C ₄ H ₉ -s	CH ₃	O	O	O		
67	C ₄ H ₉ -s	CH ₃	O	O	O		
68	C ₄ H ₉ -s	CH ₃	O	O	O		
69		CH ₃	O	O	O		
70		CH ₃	O	O	O		

Table 1 (continued)

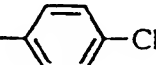
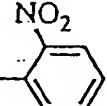
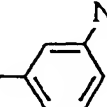
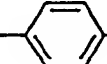
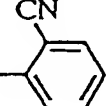
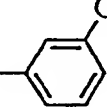
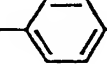
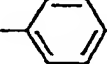
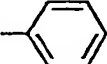
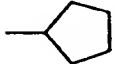
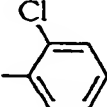
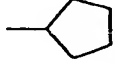
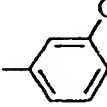
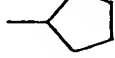
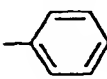
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
71	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		82-86
72	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
73	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
74	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
75	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
76	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
77	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
78	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
79	$\begin{array}{c} \text{-C=CH}_2 \\ \\ \text{CH}_3 \end{array}$	CH ₃	O	O	O		
80		CH ₃	O	O	O		
81		CH ₃	O	O	O		
82		CH ₃	O	O	O		

Table 1 (continued)


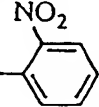

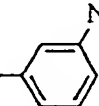

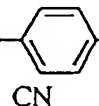

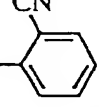

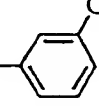

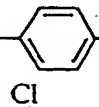

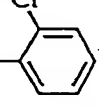

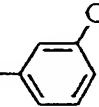

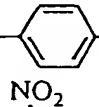

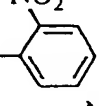

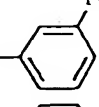


Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
83		CH ₃	O	O	O		145-148
84		CH ₃	O	O	O		
85		CH ₃	O	O	O		
86		CH ₃	O	O	O		
87		CH ₃	O	O	O		
88		CH ₃	O	O	O		
89		CH ₃	O	O	O		
90		CH ₃	O	O	O		
91		CH ₃	O	O	O		
92		CH ₃	O	O	O		
93		CH ₃	O	O	O		
94		CH ₃	O	O	O		

Table 1 (continued)

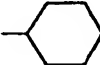
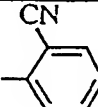
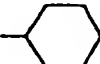
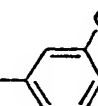
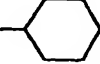
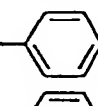
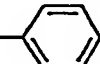

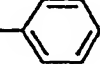
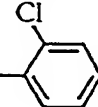
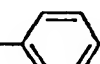
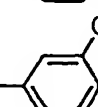

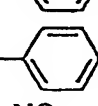
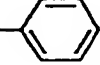
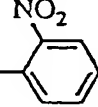
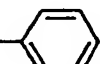
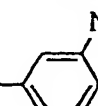

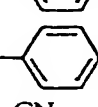
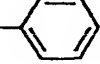
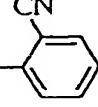
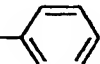
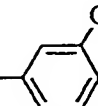
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
95		CH ₃	O	O	O		158-162
96		CH ₃	O	O	O		
97		CH ₃	O	O	O		
98		CH ₃	O	O	O		
99		CH ₃	O	O	O		123-126
100		CH ₃	O	O	O		
101		CH ₃	O	O	O		
102		CH ₃	O	O	O		
103		CH ₃	O	O	O		166-169
104		CH ₃	O	O	O		
105		CH ₃	O	O	O		
106		CH ₃	O	O	O		

Table 1 (continued)

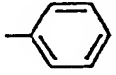
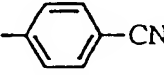
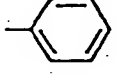
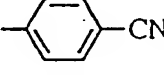
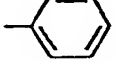
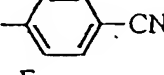
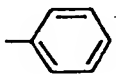
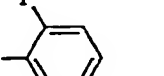

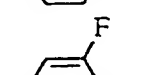
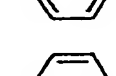


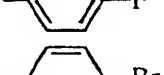

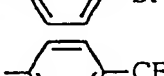
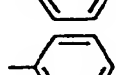
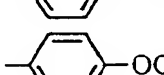
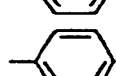
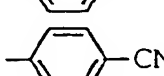
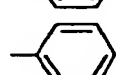
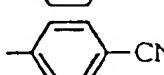
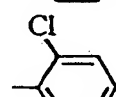
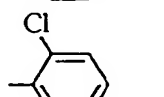
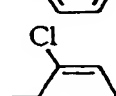
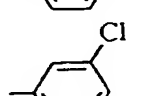
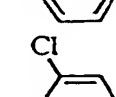
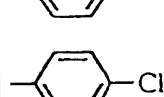
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
107		CH ₃	O	O	O		142-146
108		CH ₃	O	O	O		158-162
109		CH ₃	O	O	O		128-133
110		CH ₃	O	O	O		
111		CH ₃	O	O	O		
112		CH ₃	O	O	O		137-142
113		CH ₃	O	O	O		
114		CH ₃	O	O	O		151-155
115		CH ₃	O	O	O		144-147
116		CH ₃	O	O	O		145-147
117		CH ₃	O	O	O		166-170
118		CH ₃	O	O	O		
119		CH ₃	O	O	O		
120		CH ₃	O	O	O		

Table 1 (continued)

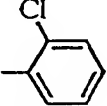
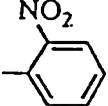
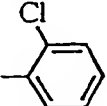
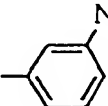
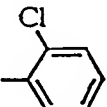
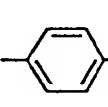
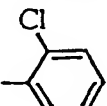
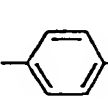
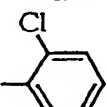
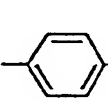
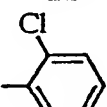
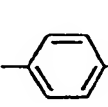
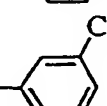
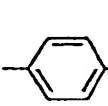
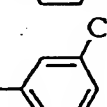
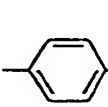
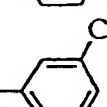
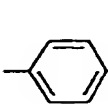
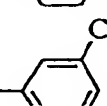
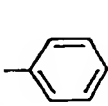
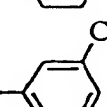
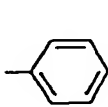
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
121		CH ₃	O	O	O		137-142
122		CH ₃	O	O	O		
123		CH ₃	O	O	O		
124		CH ₃	O	O	O		
125		CH ₃	O	O	O		
126		CH ₃	O	O	O		
127		CH ₃	O	O	O		114-117
128		CH ₃	O	O	O		
129		CH ₃	O	O	O		
130		CH ₃	O	O	O		
131		CH ₃	O	O	O		

Table 1 (continued)

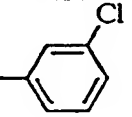
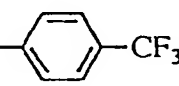
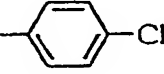
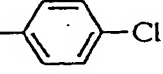
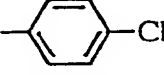
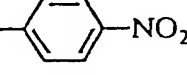
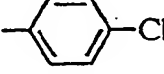
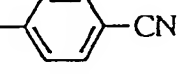
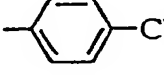
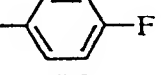
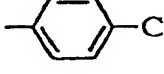
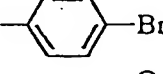
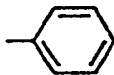
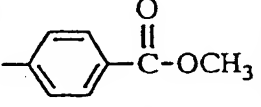
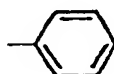
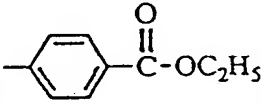
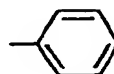
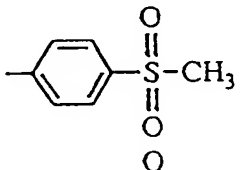
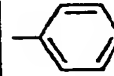
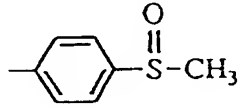
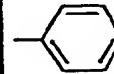
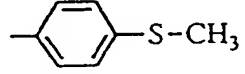
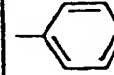
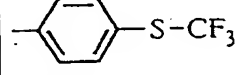
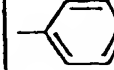
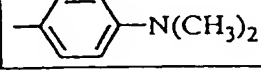
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
132		CH ₃	O	O	O		133-138 156-160
133		CH ₃	O	O	O		
134		CH ₃	O	O	O		
135		CH ₃	O	O	O		
136		CH ₃	O	O	O		
137		CH ₃	O	O	O		
138		CH ₃	O	O	O		
139		CH ₃	O	O	O		
140		CH ₃	O	O	O		
141		CH ₃	O	O	O		
142		CH ₃	O	O	O		
143		CH ₃	O	O	O		
144		CH ₃	O	O	O		

Table 1 (continued)

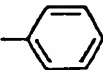
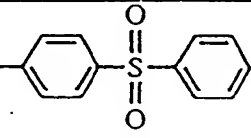
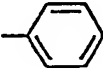
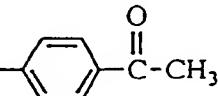
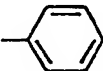
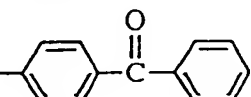
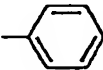
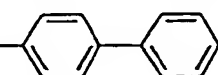
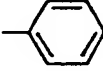
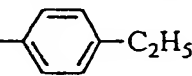
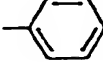
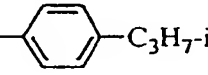
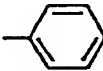
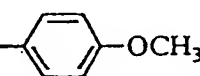
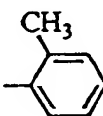
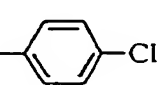
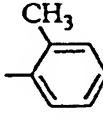
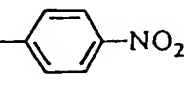
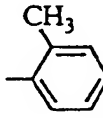
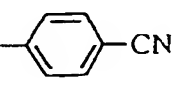
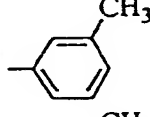
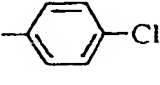
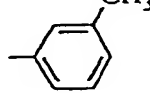
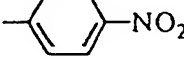
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
145		CH ₃	O	O	O		146-150
146		CH ₃	O	O	O		
147		CH ₃	O	O	O		
148		CH ₃	O	O	O		
149		CH ₃	O	O	O		
150		CH ₃	O	O	O		
151		CH ₃	O	O	O		
152		CH ₃	O	O	O		
153		CH ₃	O	O	O		
154		CH ₃	O	O	O		
155		CH ₃	O	O	O		
156		CH ₃	O	O	O		

Table 1 (continued)

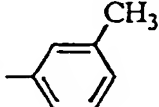
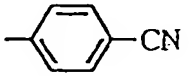
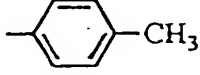
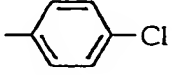
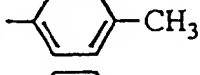
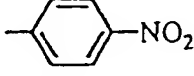
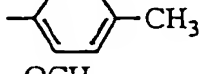
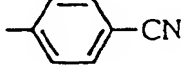
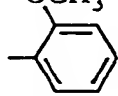
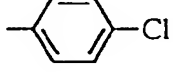
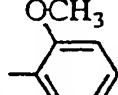
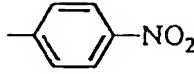
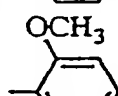
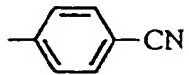
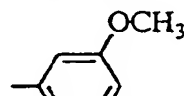
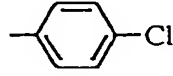
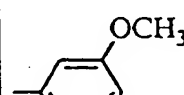
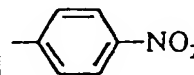
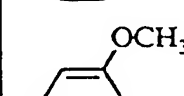
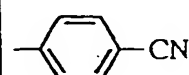
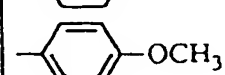
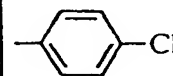
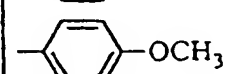
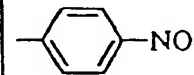
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
157		CH ₃	O	O	O		97-100
158		CH ₃	O	O	O		152-155
159		CH ₃	O	O	O		
160		CH ₃	O	O	O		
161		CH ₃	O	O	O		
162		CH ₃	O	O	O		137-140
163		CH ₃	O	O	O		
164		CH ₃	O	O	O		
165		CH ₃	O	O	O		
166		CH ₃	O	O	O		134-137
167		CH ₃	O	O	O		
168		CH ₃	O	O	O		

Table 1 (continued)

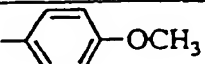
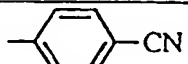
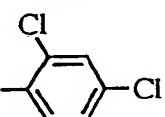
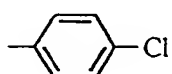
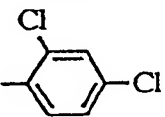
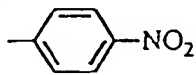
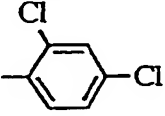
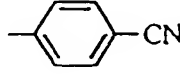
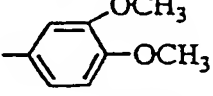
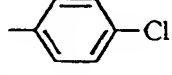
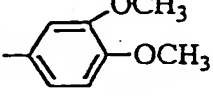
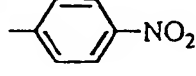
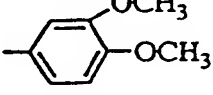
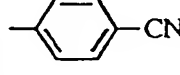
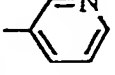
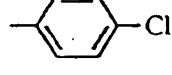
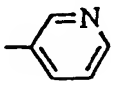
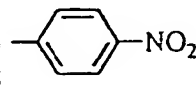
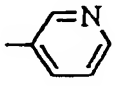
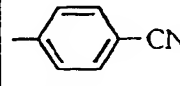
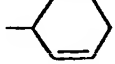

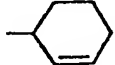
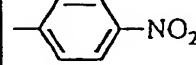
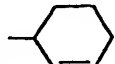
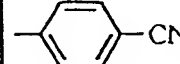
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
169		CH ₃	O	O	O		139-145
170		CH ₃	O	O	O		
171		CH ₃	O	O	O		
172		CH ₃	O	O	O		
173		CH ₃	O	O	O		
174		CH ₃	O	O	O		
175		CH ₃	O	O	O		
176		CH ₃	O	O	O		
177		CH ₃	O	O	O		
178		CH ₃	O	O	O		
179		CH ₃	O	O	O		
180		CH ₃	O	O	O		
181		CH ₃	O	O	O		

Table 1 (continued)

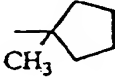
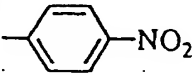
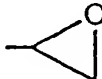
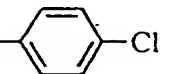
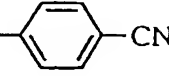
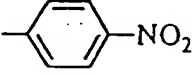
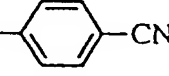
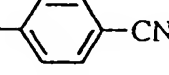
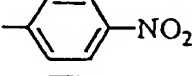
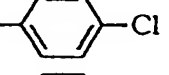
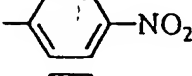
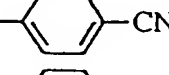

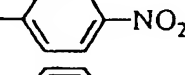

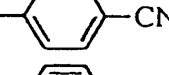
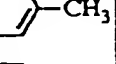
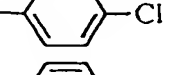
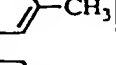
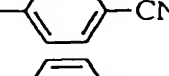
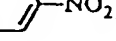

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
182		CH ₃	O	O	O		170-175
183		CH ₃	O	O	O		
184	CH ₂ CH ₂ Cl	CH ₃	O	O	O		
185	CH ₂ Cl	CH ₃	O	O	O		
186	CH(Cl)CH ₃	CH ₃	O	O	O		
187	CH ₂ CF ₃	CH ₃	O	O	O		
188	CH ₂ -C≡CH	CH ₃	O	O	O		
189	CH ₂ CH ₂ OCH ₃	CH ₃	O	O	O		
190	CH ₂ CH ₂ OCH ₃	CH ₃	O	O	O		
191	CH ₂ CH ₂ OCH ₃	CH ₃	O	O	O		
192	-CH ₂ - 	CH ₃	O	O	O		
193	-CH ₂ - 	CH ₃	O	O	O		125-128
194	-CH ₂ - 	CH ₃	O	O	O		
195	-CH ₂ - 	CH ₃	O	O	O		98-101
196	-CH ₂ - 	CH ₃	O	O	O		

Table 1 (continued)

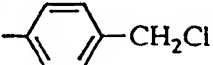
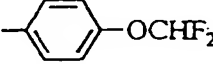
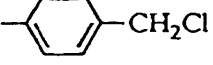
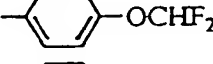
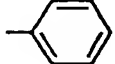
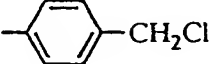
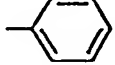
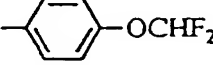
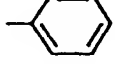
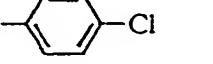
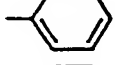
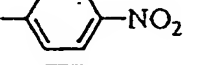
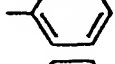
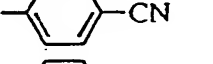
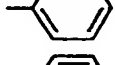
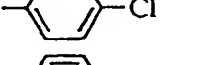
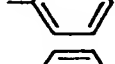
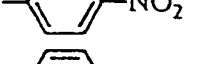
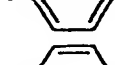
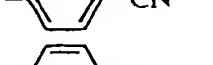
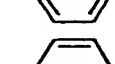

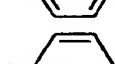
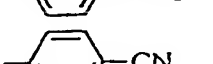
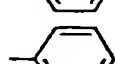
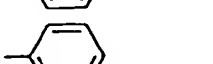
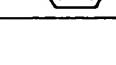
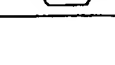
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
197	C ₄ H ₉ -t	CH ₃	O	O	O		
198	C ₄ H ₉ -t	CH ₃	O	O	O		
199	C ₃ H ₇ -i	CH ₃	O	O	O		
200	C ₃ H ₇ -i	CH ₃	O	O	O		
201		CH ₃	O	O	O		111-113
202		CH ₃	O	O	O		
203		CH ₃	S	O	O		
204		CH ₃	S	O	O		
205		CH ₃	S	O	O		146-149
206		CH ₃	O	S	O		
207		CH ₃	O	S	O		
208		CH ₃	O	S	O		
209		CH ₃	S	S	O		not determined
210		CH ₃	S	S	O		
211		CH ₃	S	S	O		
212		CH ₃	O	O	S		
							140-144

Table 1 (continued)

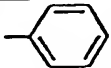

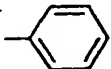
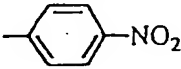
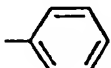
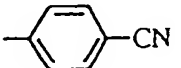
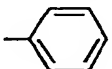
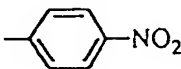
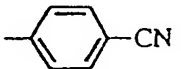
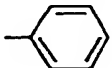
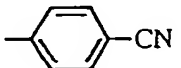

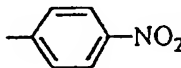
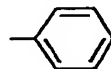
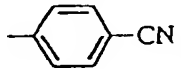
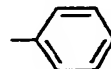


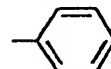
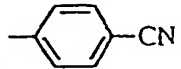

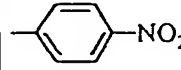
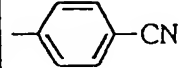
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
213		CH ₃	O	O	S		136-140
214		CH ₃	O	O	S		123-126
215		CH ₃	O	O	S		144-146
216	C ₄ H ₉ -t	CH ₃	O	O	S		74-78
217	C ₄ H ₉ -t	CH ₃	O	O	S		109-112
218	C ₄ H ₉ -t	CH ₃	O	O	S		
219	C ₃ H ₇ -i	CH ₃	O	O	S		122-126
220	C ₃ H ₇ -i	CH ₃	O	O	S		165-169
221	C ₃ H ₇ -i	CH ₃	O	O	NH		158-160
222	C ₄ H ₉ -t	CH ₃	O	O	NCH ₃		
223		CH ₃	O	O	NCOCH ₃		
224		CH ₃	O	O	NCO- 		
225		CH ₃	O	O	NCO ₂ CH ₃		
226	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		101-104
227	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		128-130
228	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		100-106

Table 1 (continued)


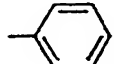
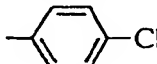
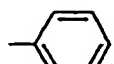
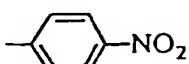
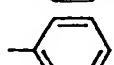
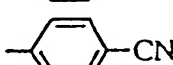
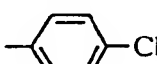
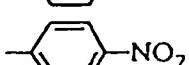

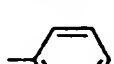


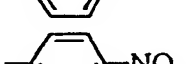


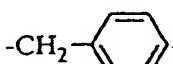
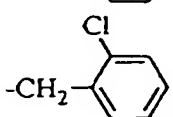
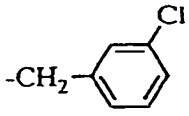
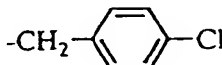

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
229	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		149-154
230		C ₂ H ₅	O	O	O		
231		C ₂ H ₅	O	O	O		
232		C ₂ H ₅	O	O	O		
233	C ₄ H ₉ -t	H	O	O	O		1.5081
234	C ₄ H ₉ -t	H	O	O	O		not determined
235	C ₄ H ₉ -t	H	O	O	O		
236		H	O	O	O		
237		H	O	O	O		
238		H	O	O	O		43-46
239	C ₄ H ₉ -t	CH ₃	O	O	O		
240	C ₄ H ₉ -t	CH ₃	O	O	O		
241	C ₄ H ₉ -t	CH ₃	O	O	O		
242	C ₄ H ₉ -t	CH ₃	O	O	O		
243	C ₄ H ₉ -t	CH ₃	O	O	O		

Table 1 (continued)

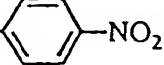
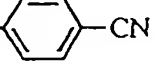
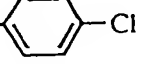
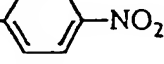
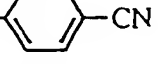

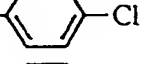
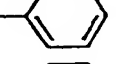
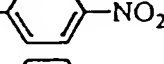
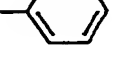
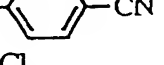
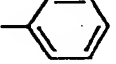
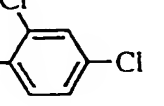
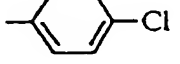
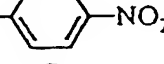

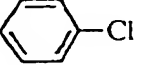
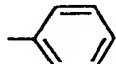
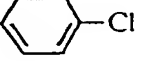

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
244	C ₄ H ₉ -t	CH ₃	O	O	O	-CH ₂ - 	104-109
245	C ₄ H ₉ -t	CH ₃	O	O	O	-CH ₂ - 	
246	C ₃ H ₇ -i	CH ₃	O	O	O	-CH ₂ - 	
247	C ₃ H ₇ -i	CH ₃	O	O	O	-CH ₂ - 	
248	C ₃ H ₇ -i	CH ₃	O	O	O	-CH ₂ - 	
249		CH ₃	O	O	O	-CH ₂ - 	
250		CH ₃	O	O	O	-CH ₂ - 	
251		CH ₃	O	O	O	-CH ₂ - 	
252		CH ₃	O	O	O	-CH ₂ - 	
253		CH ₃	O	O	O	-CH ₂ - 	
254	C ₃ H ₇ -i	CH ₃	O	O	O	-CH(CH ₃) 	
255	C ₃ H ₇ -i	CH ₃	O	O	O	-CH(CH ₃) 	
256		CH ₃	O	O	O	-CH(CH ₃) 	
257	C ₃ H ₇ -i	CH ₃	O	O	O	-C(CH ₃) 	

Table 1 (continued)

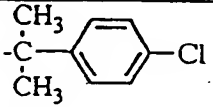
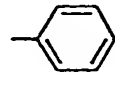
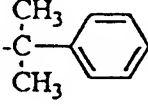
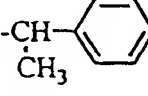
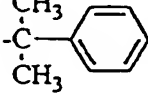
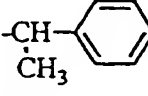
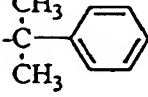
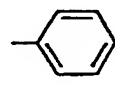
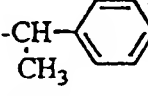
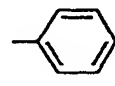
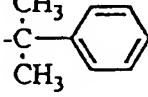
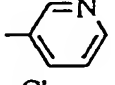
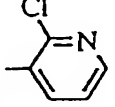
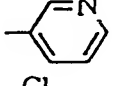
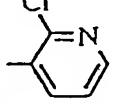
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
258	C ₃ H ₇ -i	CH ₃	O	O	O		
259		CH ₃	O	O	O		
260	C ₄ H ₉ -t	CH ₃	O	O	NH		
261	C ₄ H ₉ -t	CH ₃	O	O	NH		
262	C ₃ H ₇ -i	CH ₃	O	O	NH		
263	C ₃ H ₇ -i	CH ₃	O	O	NH		
264		CH ₃	O	O	NH		
265		CH ₃	O	O	NH		
266	C ₄ H ₉ -t	CH ₃	O	O	O		
267	C ₄ H ₉ -t	CH ₃	O	O	O		
268	C ₃ H ₇ -i	CH ₃	O	O	O		
269	C ₃ H ₇ -i	CH ₃	O	O	O		

Table 1 (continued)

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
270	C ₃ H ₇ -i	CH ₃	O	O	O		60-65
271		CH ₃	O	O	O		
272		CH ₃	O	O	O		
273		CH ₃	O	O	O		
274		CH ₃	O	O	O		
275		CH ₃	O	O	O		
276	C ₄ H ₉ -t	CH ₃	O	O	O		
277	C ₃ H ₇ -i	CH ₃	O	O	O		
278		CH ₃	O	O	O		
279	C ₄ H ₉ -t	CH ₃	O	O	O		
280	C ₄ H ₉ -t	CH ₃	O	O	O		
281	C ₃ H ₇ -i	CH ₃	O	O	O		
282	C ₃ H ₇ -i	CH ₃	O	O	O		
283		CH ₃	O	O	O		

Table 1 (continued)

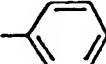
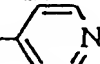


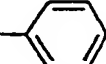

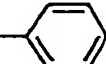

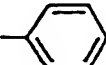



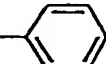

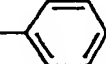

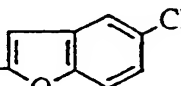
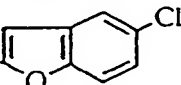
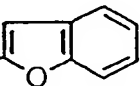
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
284		CH ₃	O	O	O	-CH ₂ - 	
285	C ₃ H ₇ -i	CH ₃	O	O	O	-CH ₂ - 	
286	C ₃ H ₇ -i	CH ₃	O	O	S	-CH ₂ - 	
287		CH ₃	O	O	O	-CH ₂ - 	
288		CH ₃	O	O	S	-CH ₂ - 	
289		CH ₃	O	O	NH	-CH ₂ - 	
290	C ₃ H ₇ -i	CH ₃	O	O	NH	-CH(CN)- 	
291	C ₃ H ₇ -i	CH ₃	O	O	NH	-CH(CN)- 	
292		CH ₃	O	O	NH	-CH(CN)- 	
293		CH ₃	O	O	NH	-CH(CN)- 	
294	C ₃ H ₇ -i	CH ₃	O	O	O	-CH ₂ - 	
295	C ₃ H ₇ -i	CH ₃	O	O	O	-CH(CH ₃)- 	
296	C ₃ H ₇ -i	CH ₃	O	O	O	-CH(CN)- 	

Table 1 (continued)

Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
297	C ₃ H ₇ -i	CH ₃	O	O	NH		
298		CH ₃	O	O	O		
299		CH ₃	O	O	O		
300		CH ₃	O	O	O		
301		CH ₃	O	O	NH		
302		CH ₃	O	O	NH		
303		CH ₃	O	O	NH		
304	C ₃ H ₇ -i	CH ₃	O	O	NH		
305	C ₃ H ₇ -i	CH ₃	O	O	NH		
306	C ₃ H ₇ -i	CH ₃	O	O	NH		
307	C ₃ H ₇ -i	CH ₃	O	O	NH		

Table 1 (continued)

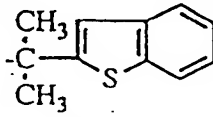
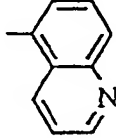
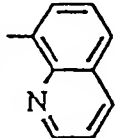
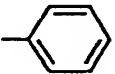
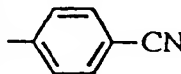
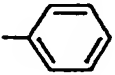
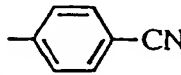
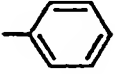
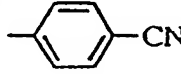
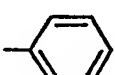
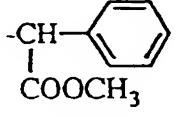
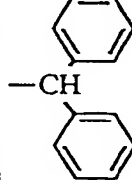
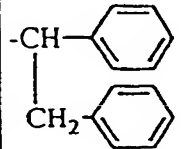
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
308	C ₃ H ₇ -i	CH ₃	O	O	NH		
309	C ₃ H ₇ -i	CH ₃	O	O	O		
310	C ₃ H ₇ -i	CH ₃	O	O	O		
311		CH ₃	O	O	NCH ₂ OCH ₃		
312		CH ₃	O	O	SO		
313		CH ₃	O	O	SO ₂		
314		CH ₃	O	O	NH		
315	C ₃ H ₇ -i	CH ₃	O	O	NH		
316	C ₃ H ₇ -i	CH ₃	O	O	O		

Table 1 (continued)

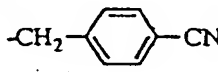
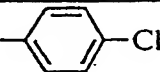
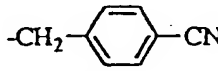
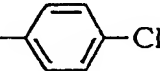
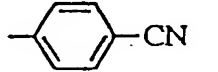
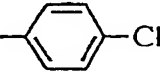
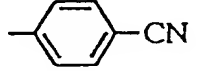
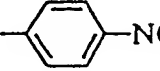
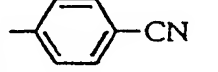
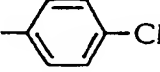
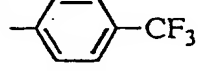
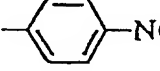
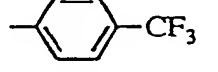
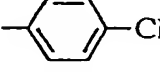
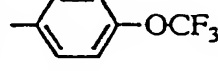
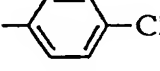
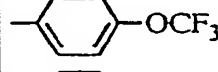
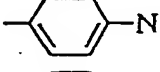
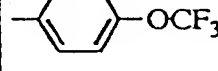
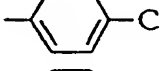
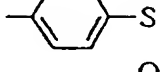
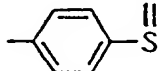
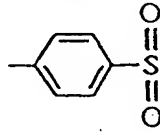
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
317		CH ₃	O	O	O		115-117
318		CH ₃	O	O	O		
319		CH ₃	O	O	O		
320		CH ₃	O	O	O		
321		CH ₃	O	O	O		
322		CH ₃	O	O	O		
323		CH ₃	O	O	O		
324		CH ₃	O	O	O		
325		CH ₃	O	O	O		
326		CH ₃	O	O	O		127-129
327	C ₄ H ₉ -t	CH ₃	O	O	O		93-96
328	C ₄ H ₉ -t	CH ₃	O	O	O		48-51
329	C ₄ H ₉ -t	CH ₃	O	O	O		122-125

Table 1 (continued)

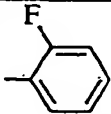
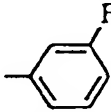
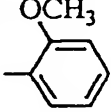
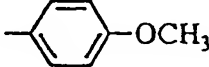
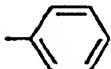
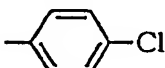
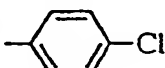
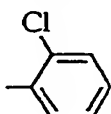
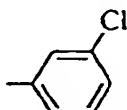
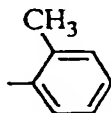
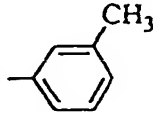
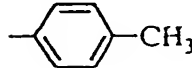
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
330	C ₄ H ₉ -t	CH ₃	O	O	S		74-77
331	C ₄ H ₉ -t	CH ₃	O	O	S		1.5164
332	C ₄ H ₉ -t	CH ₃	O	O	S		1.5319
333	C ₄ H ₉ -t	CH ₃	O	O	S		1.5361
334	C ₄ H ₉ -t	CH ₃	O	O	NH		102-104
335	C ₄ H ₉ -t	CH ₃	O	O	S		80-84
336	C ₄ H ₉ -t	CH ₃	O	O	S		133-137
337	C ₄ H ₉ -t	CH ₃	O	O	S		1.5360
338	C ₄ H ₉ -t	CH ₃	O	O	S		1.5361
339	C ₄ H ₉ -t	CH ₃	O	O	S		1.5274
340	C ₄ H ₉ -t	CH ₃	O	O	S		1.5245
341	C ₄ H ₉ -t	CH ₃	O	O	S		1.5269

Table 1 (continued)


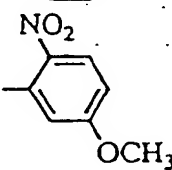
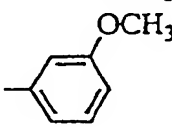
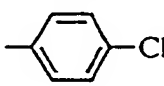
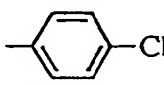
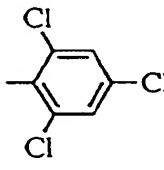
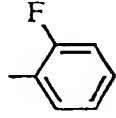
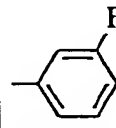
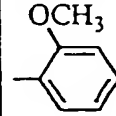
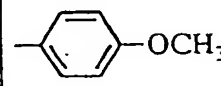
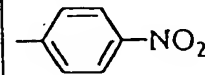
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
342	C ₄ H ₉ -t	CH ₃	O	O	S		66-69
343	C ₄ H ₉ -t	CH ₃	O	O	O		71-74
344	C ₄ H ₉ -t	CH ₃	O	O	S		1.5312
345	C ₃ H ₇ -i	CH ₃	O	O	O		161-163
346	C ₃ H ₇ -i	CH ₃	O	O	O		167-171
347	C ₃ H ₇ -i	CH ₃	O	O	O		166-172
348	C ₃ H ₇ -i	CH ₃	O	O	S		121-123
349	C ₃ H ₇ -i	CH ₃	O	O	S		125-129
350	C ₃ H ₇ -i	CH ₃	O	O	S		103-106
351	C ₃ H ₇ -i	CH ₃	O	O	S		122-125
352	C ₃ H ₇ -i	CH ₃	O	O	S		155-158

Table 1 (continued)

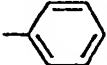
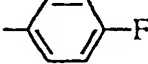
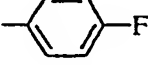
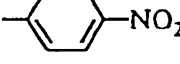
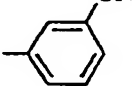
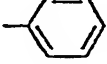
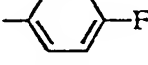
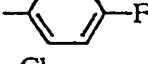
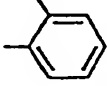
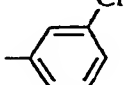
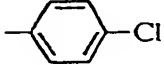
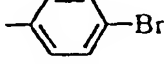
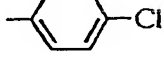
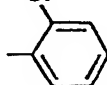
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
353	C ₃ H ₇ -i	CH ₃	O	O	NH		130-134
354	C ₃ H ₇ -i	CH ₃	O	O	SO		119-123
355	C ₃ H ₇ -i	CH ₃	O	O	SO ₂		151-153
356	C ₃ H ₇ -i	CH ₃	O	O	O		177-180
357	C ₃ H ₇ -i	CH ₃	O	O	S		137-140
358	C ₃ H ₇ -i	CH ₃	O	O	NCH ₃		145-148
359	C ₃ H ₇ -i	CH ₃	O	O	NH		155-156
360	C ₃ H ₇ -i	CH ₃	O	O	NCH ₃		141-143
361	C ₃ H ₇ -i	CH ₃	O	O	NH		85-90
362	C ₃ H ₇ -i	CH ₃	O	O	NH		143-145
363	C ₃ H ₇ -i	CH ₃	O	O	NCH ₃		65-67
364	C ₃ H ₇ -i	CH ₃	O	O	NH		146-149
365	C ₃ H ₇ -i	CH ₃	O	O	S		115-118
366	C ₃ H ₇ -i	CH ₃	O	O	S		124-127

Table 1 (continued)

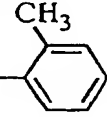
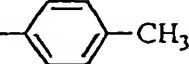
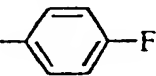
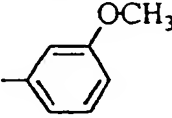
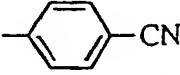
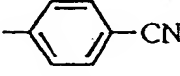
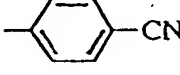
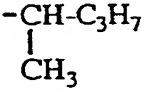
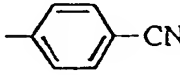

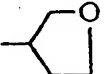
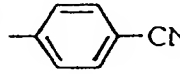
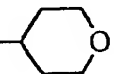
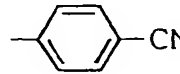
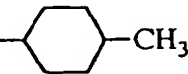
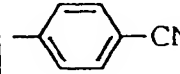
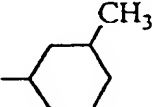
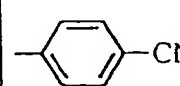
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
367	C ₃ H ₇ -i	CH ₃	O	O	S		119-121
368	C ₃ H ₇ -i	CH ₃	O	O	S		107-110
369	C ₃ H ₇ -i	CH ₃	O	O	S		111-115
370	C ₃ H ₇ -i	CH ₃	O	O	S		109-112
371	C ₄ H ₉ -i	CH ₃	O	O	O		125-130
372	C ₅ H ₁₁	CH ₃	O	O	O		109-111
373	C ₆ H ₁₃	CH ₃	O	O	O		107-110
374		CH ₃	O	O	O		122-125
375	C ₃ H ₇ -i	CH ₃	O	O	NCOCH ₃		56-60
376		CH ₃	O	O	O		181-184
377		CH ₃	O	O	O		201-204
378		CH ₃	O	O	O		111-116
379		CH ₃	O	O	O		141-142

Table 1 (continued)

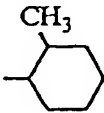
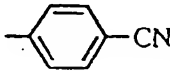
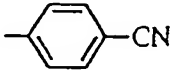
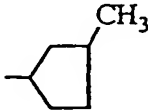
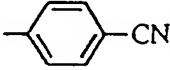
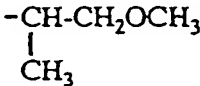
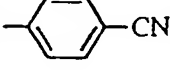
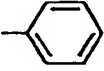
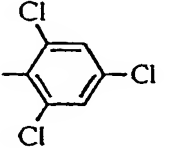
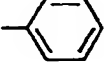
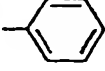
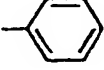
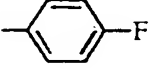
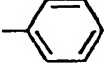
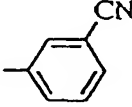
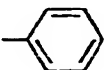
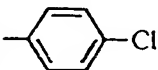
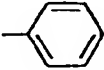
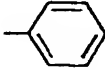
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
380		CH ₃	O	O	O		133-136
381	CH ₂ -C≡CH	CH ₃	O	O	O		148-151
382		CH ₃	O	O	O		161-164
383		CH ₃	O	O	O		102-107
384		CH ₃	O	O	O		159-162
385		CH ₃	O	O	NH		130-134
386		CH ₃	O	O	S		127-130
387		CH ₃	O	O	S		108-110
388		CH ₃	O	O	NH		154-156
389		CH ₃	O	O	NCH ₃		125-130

Table 1 (continued)

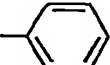
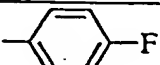

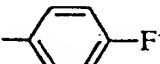
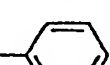
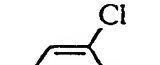
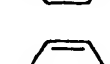
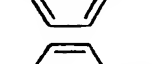


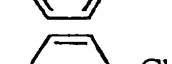

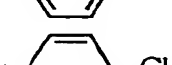







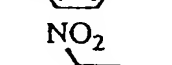

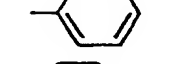

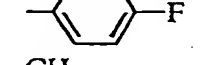
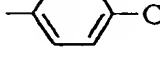
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
390		CH ₃	O	O	NH		147-149
391		CH ₃	O	O	NCH ₃		64-70
392		CH ₃	O	O	NH		117-119
393		CH ₃	O	O	NH		156-160
394		CH ₃	O	O	O		156-162
395		CH ₃	O	O	O		137-140
396		CH ₃	O	O	O		174-179
397		CH ₃	O	O	O		153-156
398		CH ₃	O	O	O		130-134
399		CH ₃	O	O	O		156-161
400		CH ₃	O	O	O		125-129
401		CH ₃	O	O	O		155-158
402		CH ₃	O	O	O		141-144

Table 1 (continued)

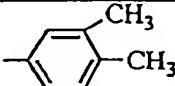
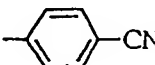
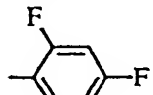
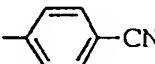
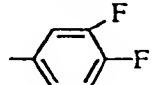
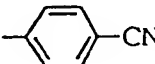
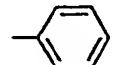

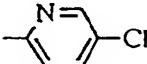
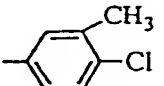
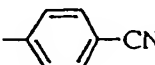


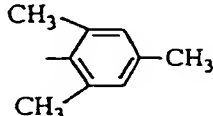
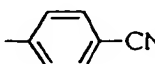
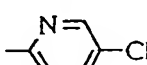
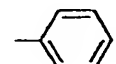
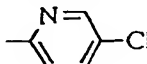
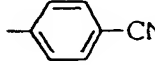
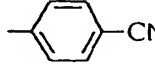
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
403		CH ₃	O	O	O		153-154
404		CH ₃	O	O	O		144-148
405		CH ₃	O	O	O		129-133
406		CH ₃	O	O	NH		60-62
407	C ₄ H ₉ -t	CH ₃	O	O	O		90-93
408		CH ₃	O	O	O		129-130
409	C ₄ H ₉ -t	CH ₃	O	O	O		111-112
410	C ₄ H ₉ -t	CH ₃	O	O	O		129-131
411		CH ₃	O	O	O		163-164
412	C ₃ H ₇ -i	CH ₃	O	O	O		118-120
413		CH ₃	O	O	O		123-124
414	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		122-125
415	C ₄ H ₉ -t	C ₂ H ₅	O	O	O		135-137

Table 1 (continued)




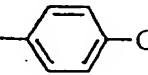
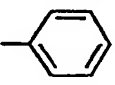
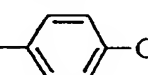
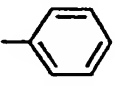
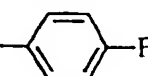
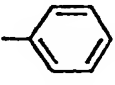
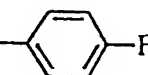
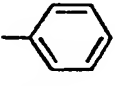
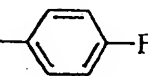
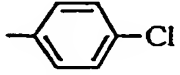
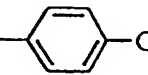
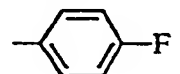
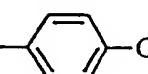
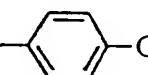

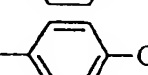
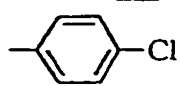
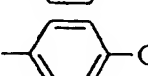
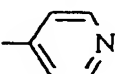
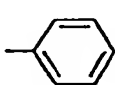
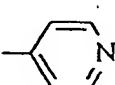
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
416	C ₃ H ₇ -i	C ₂ H ₅	O	O	S		85-86
417	C ₃ H ₇ -i	C ₂ H ₅	O	O	O		145-148
418	-CH ₂ - 	C ₂ H ₅	O	O	O		139-141
419		C ₂ H ₅	O	O	S		105-107
420		C ₂ H ₅	O	O	S		130-133
421		C ₂ H ₅	O	O	NH		137-139
422		C ₂ H ₅	O	O	NCH ₃		53-56
423		C ₂ H ₅	O	O	O		159-163
424		C ₂ H ₅	O	O	O		150-153
425	C ₃ H ₇ -i	H	O	O	O		118-121
426	-CH ₂ - 	H	O	O	O		127-132
427		H	O	O	O		141-145
428	C ₃ H ₇ -i	CH ₃	O	O	O		217-220
429		CH ₃	O	O	O		65-68

Table 1 (continued)

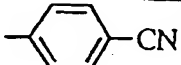
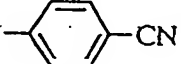
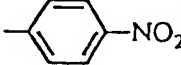
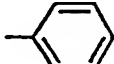
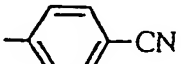
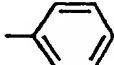
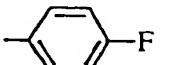
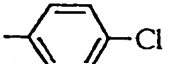

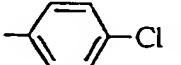
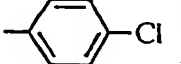
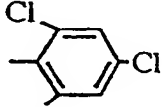
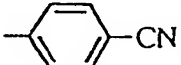
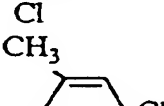
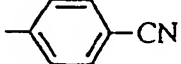

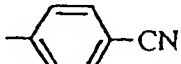
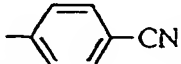
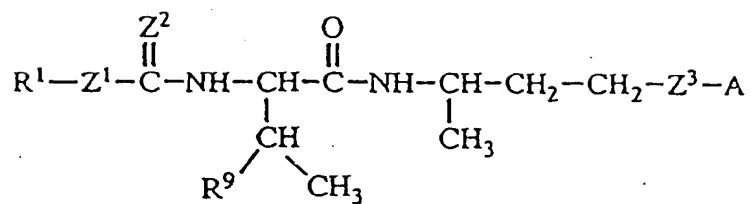
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
430	C ₃ H ₇ -i	CH ₃	S	O	O		161-163
431	C ₂ H ₅	CH ₃	S	O	O		152-154
432	C ₂ H ₅	CH ₃	S	O	O		164-166
433		CH ₃	O	O	O		118-120
434		CH ₃	O	O	NCOCH ₃		
435	C ₃ H ₇ -i	CH ₃	O	O	NCO ₂ CH ₃		
436	C ₃ H ₇ -i	CH ₃	O	O	NCO- 		71-73
437	C ₃ H ₇ -i	CH ₃	O	O	NCH ₂ OCH ₃		
438		CH ₃	O	O	O		
439		CH ₃	O	O	O		135-138
440	-CH ₂ CH ₂ - 	CH ₃	O	O	O		112-114
441	C ₃ H ₇ -i	CH ₃	O	O	NH		

Table 2



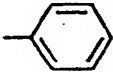
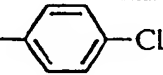
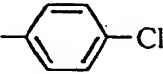
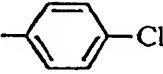
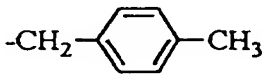
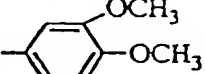
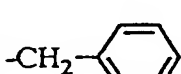
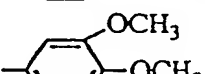
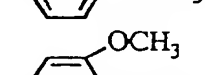
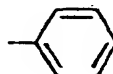
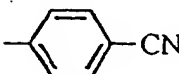
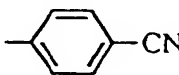
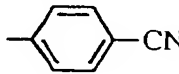
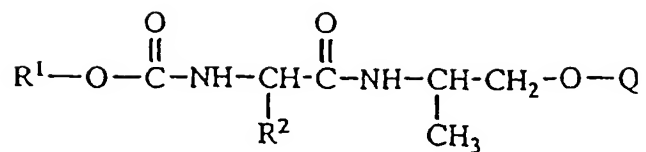
Compound No.	R ¹	R ⁹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
442		CH ₃	O	O	O		
443	C ₄ H ₉ -t	CH ₃	O	O	O		
444	C ₃ H ₇ -i	CH ₃	O	O	O		
445		CH ₃	O	O	O		
446		CH ₃	O	O	O		
447	C ₃ H ₇ -i	CH ₃	O	O	O		
448		CH ₃	O	O	O		
449	C ₄ H ₉ -t	CH ₃	O	O	O		
450	C ₃ H ₇ -i	CH ₃	O	O	O		

Table 3



Compound No.	R ¹	R ²	Q	Melting Point (°C) or Reflective Index (n _D ²⁰)
451		C ₃ H ₇		117-119
452	C ₄ H ₉ -t	C ₃ H ₇		78-80
453	C ₄ H ₉ -t	C ₃ H ₇		105-107
454	C ₄ H ₉ -t	C ₃ H ₇		93-95
455	C ₄ H ₉ -t	C ₄ H ₉ -i		not determined
456	C ₄ H ₉ -t			140-142
457	C ₄ H ₉ -t	C ₄ H ₉ -t		68-71
458	C ₄ H ₉ -t			61-64
459	C ₄ H ₉ -t			124-126
460	C ₄ H ₉ -t			1.5132
461	C ₄ H ₉ -t			107-109
462	C ₃ H ₇ -i			155-158
463	C ₃ H ₇ -i			149-151

Table 3 (continued)

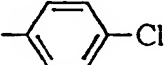
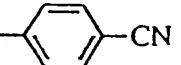
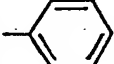
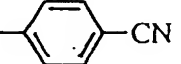
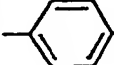
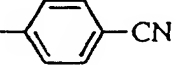
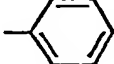
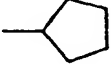
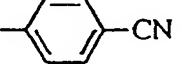
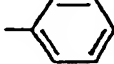
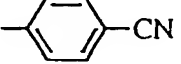
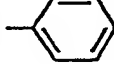
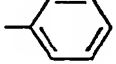
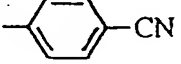
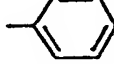
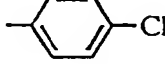
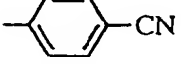
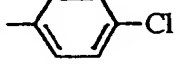
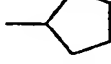
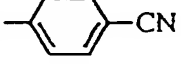

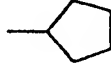
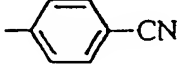

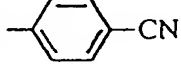

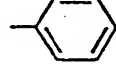
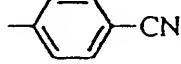


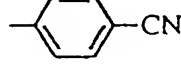
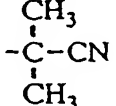
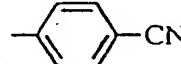
Compound No.	R ¹	R ²	Q	Melting Point (°C) or Reflective Index (n _D ²⁰)
464	C ₃ H ₇ -i			158-161
465		C ₃ H ₇		88-91
466		C ₄ H ₉ -i		43-47
467				153-156
468		C ₄ H ₉ -t		75-78
469				68-71
470				152-155
471				141-145
472	-CH ₂ - 			170-174
473	-CH ₂ - 	C ₄ H ₉ -t		46-49
474	-CH ₂ - 			155-157
475	-CH ₂ - 			128-129
476		C ₃ H ₇ -i		127-129

Table 3 (continued)

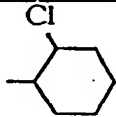
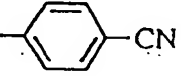
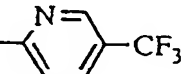
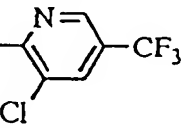
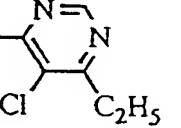
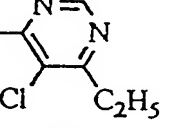
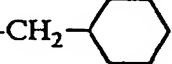
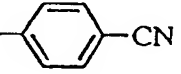
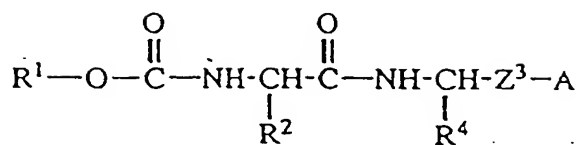
Compound No.	R ¹	R ²	Q	Melting Point (°C) or Reflective Index (n _D ²⁰)
477		C ₃ H ₇ -i		152-154
478	C ₄ H ₉ -t	C ₃ H ₇ -i		100-103
479	C ₄ H ₉ -t	C ₃ H ₇ -i		105-106
480	C ₄ H ₉ -t	C ₃ H ₇ -i		109-112
481	C ₃ H ₇ -i	C ₃ H ₇ -i		173-175
482	-CH ₂ - 	C ₃ H ₇ -i		128-129

Table 4



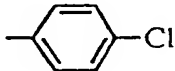
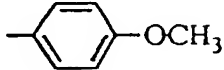
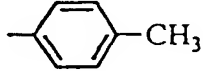
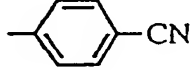
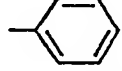
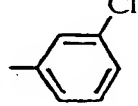
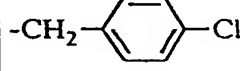

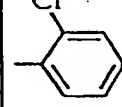

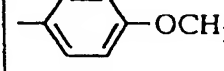
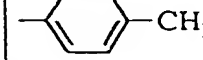
Compound No.	R ¹	R ²	R ⁴	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
483	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		82-87
484	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		156-159
485	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		145-149
486	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		96-100
487	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		157-158
488	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		83-86
489	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		144-146
490	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{CH}_3 \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		70-73
491	C ₄ H ₉ -t	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		140-143
492	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		179-182
493	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		251-255
494	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		219-222

Table 4 (continued)

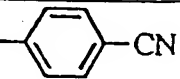
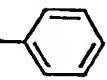
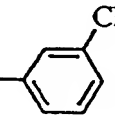
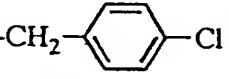
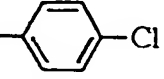
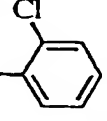
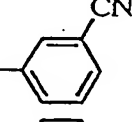
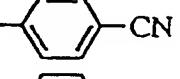
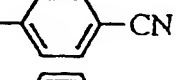
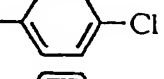
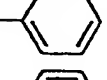
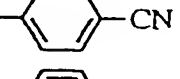
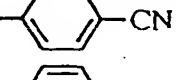
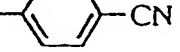
Compound No.	R ¹	R ²	R ⁴	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
495	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		88-92
496	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		211-212
497	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		210-213
498	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		200-203
499	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{CH}_3 \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		68-72
500	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		205-210
501	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		113-115
502	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		184-186
503	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		73-75
504	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	COO		184-185
505	C ₃ H ₇ -i	C ₃ H ₇ -i	CH ₃	COO		151-153
506	C ₃ H ₇ -i	C ₄ H ₉ -s	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		197-198
507	C ₃ H ₇ -i	C ₂ H ₅	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		84-87
508	C ₄ H ₉ -s	C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C}-\text{N} \end{array}$		165-167

Table 4 (continued)

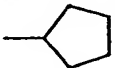
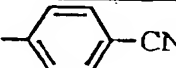
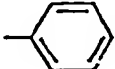
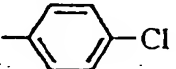
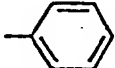
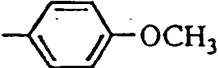
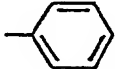
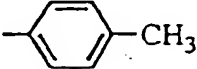
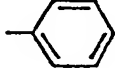
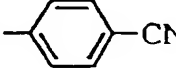
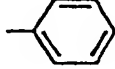
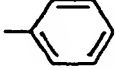
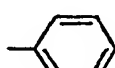
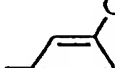
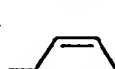
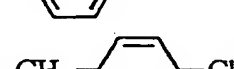
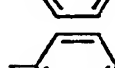

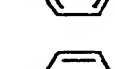
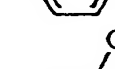
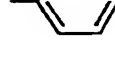
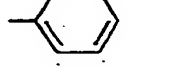
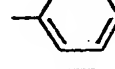
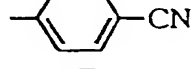
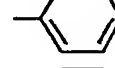
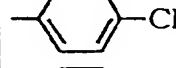
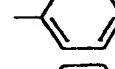
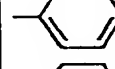
Compound No.	R ¹	R ²	R ⁴	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
509		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		197-199
510		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		201-204
511		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		219-221
512		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		245-250
513		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		225-230
514		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		199-202
515		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		194-197
516		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		173-175
517		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{CH}_3 \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		69-71
518		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		149-153
519		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		158-161
520		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		202-203
521		C ₃ H ₇ -i	CH ₃	COO		168-170
522		C ₃ H ₇ -i	CH ₃	COO		175-178

Table 4 (continued)

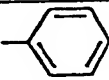
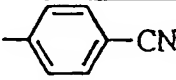
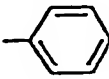
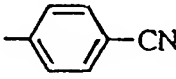
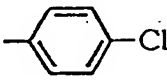
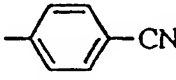
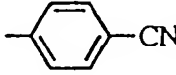
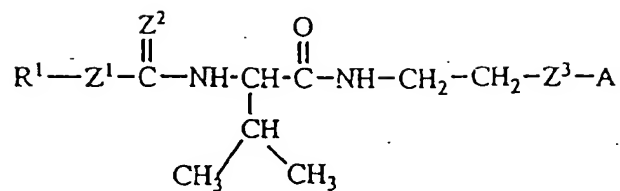
Compound No.	R ¹	R ²	R ⁴	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
523		C ₄ H ₉ -s	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		157-159
524		C ₂ H ₅	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		156-158
525		C ₃ H ₇ -i	CH ₃	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		182-184
526	C ₃ H ₇ -i	C ₃ H ₇ -i	H	$\begin{array}{c} \text{O} \quad \text{H} \\ \parallel \quad \\ \text{C} - \text{N} \end{array}$		181-185

Table 5




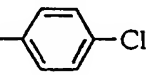
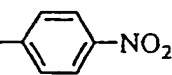
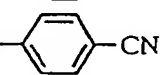
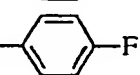
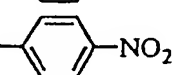
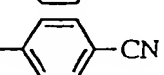
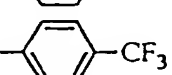

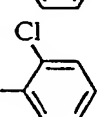

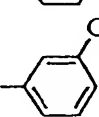

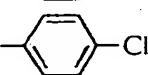

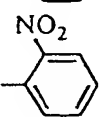
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
527	C ₄ H ₉ -t	O	O	O		158-160
528	C ₄ H ₉ -t	O	O	O		
529	C ₄ H ₉ -t	O	O	O		
530	C ₄ H ₉ -t	O	O	O		
531	C ₄ H ₉ -t	O	O	O		
532	C ₃ H ₇ -i	O	O	O		
533	C ₃ H ₇ -i	O	O	O		
534	C ₃ H ₇ -i	O	O	O		
535		O	O	O		
536		O	O	O		
537		O	O	O		
538		O	O	O		

Table 5 (continued)

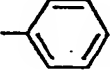
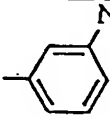
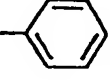
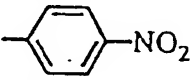
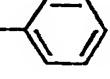
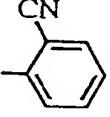
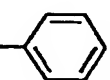
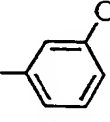
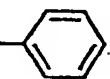
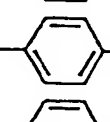
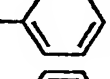
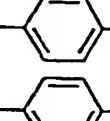

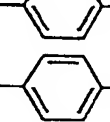
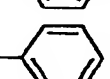
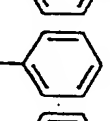
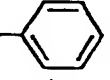
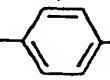
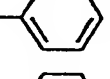
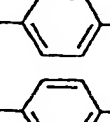
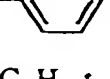
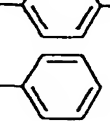



Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
539		O	O	O		
540		O	O	O		
541		O	O	O		
542		O	O	O		
543		O	O	O		
544		S	O	O		
545		O	S	O		
546		S	S	O		
547		O	O	S		
548		O	O	S		
549		O	O	S		
550		O	O	S		
551	C ₄ H ₉ -t	O	O	S		75-77

Table 5 (continued)

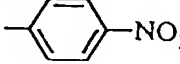
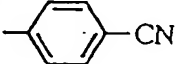
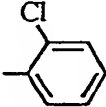
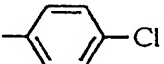
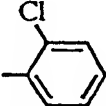
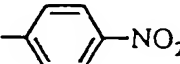
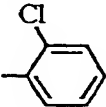
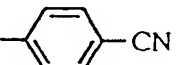
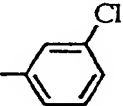
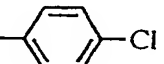
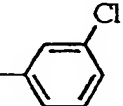
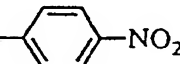
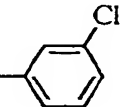
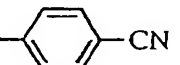
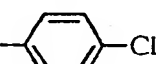
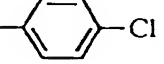
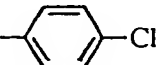
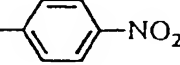
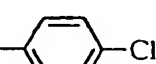
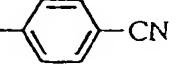
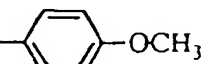
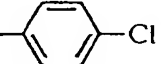
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
552	C ₄ H ₉ -t	O	O	S		
553	C ₄ H ₉ -t	O	O	S		
554		O	O	O		
555		O	O	O		
556		O	O	O		
557		O	O	O		
558		O	O	O		
559		O	O	O		
560		O	O	O		
561		O	O	O		
562		O	O	O		
563		O	O	O		

Table 5 (continued)

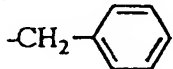
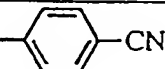
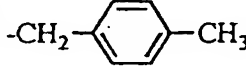
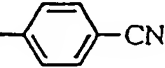
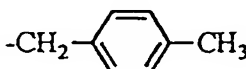
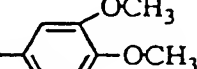
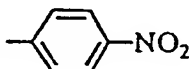
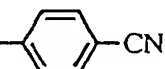
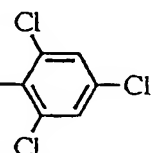
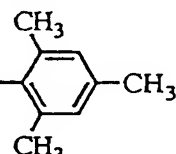
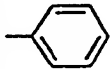
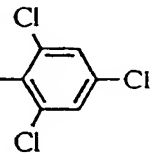
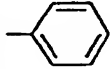
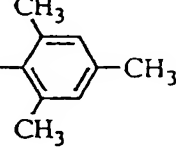
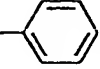
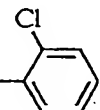
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
564		O	O	O		
565		O	O	O		
566		O	O	O		
567		O	O	O		
568	C ₃ H ₇ -i	O	O	O		
569	C ₃ H ₇ -i	O	O	O		
570		O	O	O		
571		O	O	O		
572	C ₃ H ₇ -i	O	O	NH		
573	C ₃ H ₇ -i	O	O	NH		

Table 5 (continued)

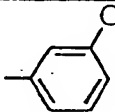
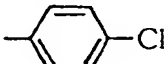
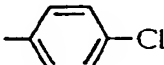
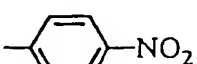

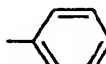
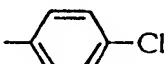
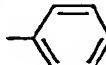
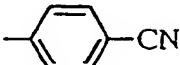
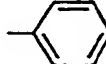
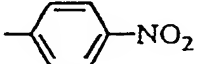
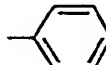
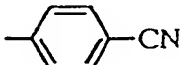
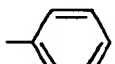
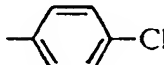
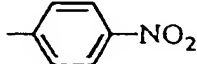
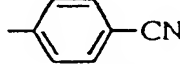
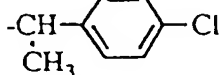
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
574	C ₃ H ₇ -i	O	O	NH		
575	C ₃ H ₇ -i	O	O	NH		
576	C ₃ H ₇ -i	O	O	NCH ₃		
577	C ₃ H ₇ -i	O	O	NCH ₃		
578	C ₃ H ₇ -i	O	O	NCH ₃		
579		O	O	NH		
580		O	O	NH		
581		O	O	NCH ₃		
582		O	O	NCH ₃		
583	C ₃ H ₇ -i	O	O	S		
584	C ₃ H ₇ -i	O	O	S		
585	C ₃ H ₇ -i	O	O	S		
586	C ₃ H ₇ -i	O	O	S		
587	C ₃ H ₇ -i	O	O	O		

Table 5 (continued)

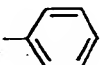
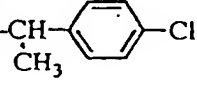
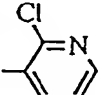
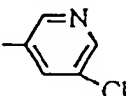
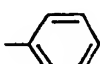
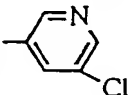
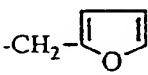
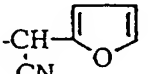
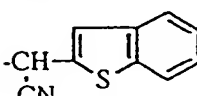
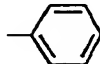
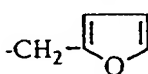
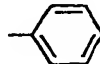
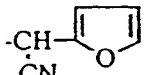
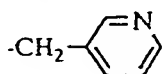
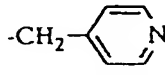
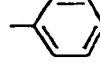
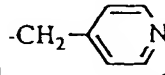
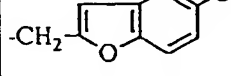
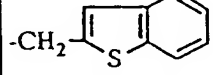
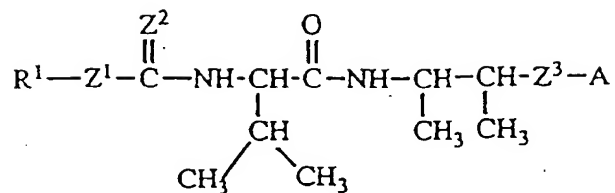
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
588		O	O	O		
589	C ₃ H ₇ -i	O	O	O		
590	C ₃ H ₇ -i	O	O	O		
591		O	O	O		
592	C ₃ H ₇ -i	O	O	O		
593	C ₃ H ₇ -i	O	O	O		
594	C ₃ H ₇ -i	O	O	O		
595		O	O	O		
596		O	O	O		
597	C ₃ H ₇ -i	O	O	O		
598	C ₃ H ₇ -i	O	O	O		
599		O	O	O		
600	C ₃ H ₇ -i	O	O	O		
601	C ₃ H ₇ -i	O	O	O		

Table 6




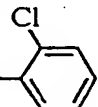
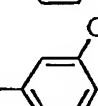
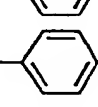
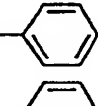
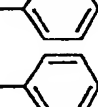
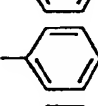
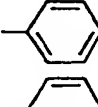
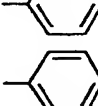
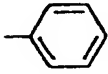

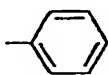

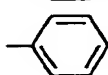

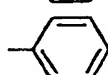

Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
602	C ₄ H ₉ -t	O	O	O		not determined
603	C ₄ H ₉ -t	O	O	O		
604	C ₄ H ₉ -t	O	O	O		
605	C ₄ H ₉ -t	O	O	O		
606	C ₄ H ₉ -t	O	O	O		1.4784
607	C ₄ H ₉ -t	O	O	O		not determined
608	C ₃ H ₇ -i	O	O	O		
609	C ₃ H ₇ -i	O	O	O		
610	C ₃ H ₇ -i	O	O	O		
611		O	O	O		
612		O	O	O		
613		O	O	O		
614		O	O	O		

Table 6 (continued)

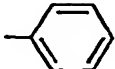
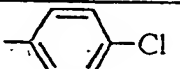
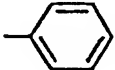
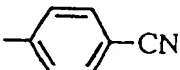
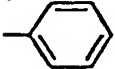
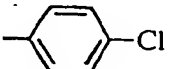
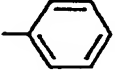
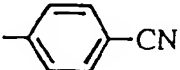
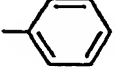
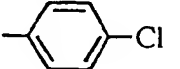
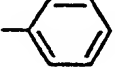
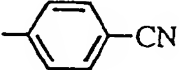
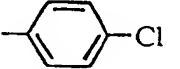
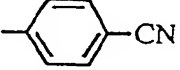
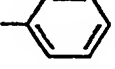
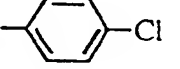
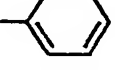
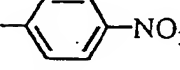
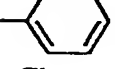
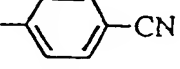
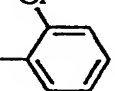
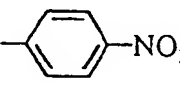
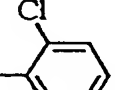
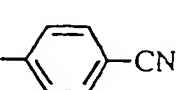
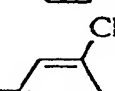
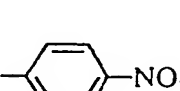
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
615		S	O	O		
616		S	O	O		
617		O	S	O		
618		O	S	O		
619		S	S	O		
620		S	S	O		
621	C ₃ H ₇ -i	O	O	S		
622	C ₃ H ₇ -i	O	O	S		
623		O	O	S		
624		O	O	S		
625		O	O	S		
626		O	O	O		
627		O	O	O		
628		O	O	O		

Table 6 (continued)

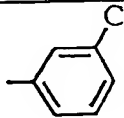
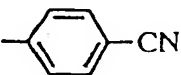
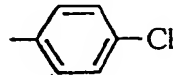
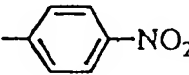
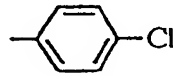
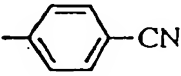
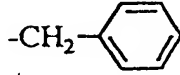
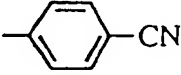
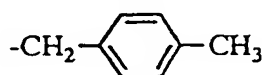
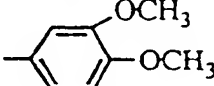
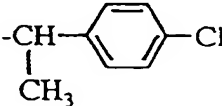
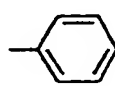
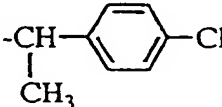
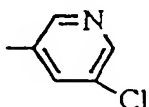
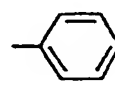
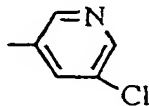
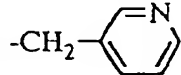
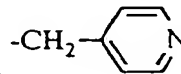
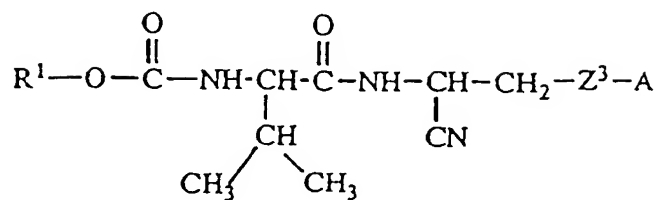
Compound No.	R ¹	Z ¹	Z ²	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
629		O	O	O		
630		O	O	O		
631		O	O	O		
632		O	O	O		
633		O	O	O		
634	C ₃ H ₇ -i	O	O	O		
635		O	O	O		
636	C ₃ H ₇ -i	O	O	O		
637		O	O	O		
638	C ₃ H ₇ -i	O	O	O		
639	C ₃ H ₇ -i	O	O	O		

Table 7



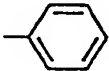
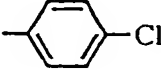
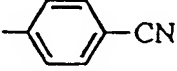
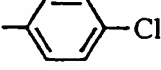
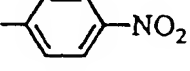
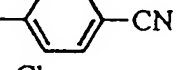
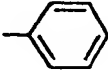
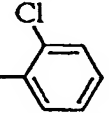
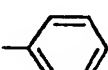
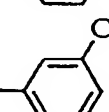
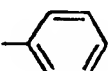
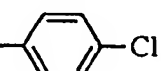
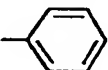
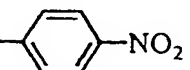
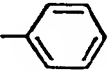
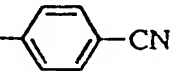
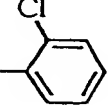
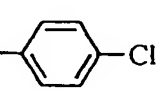
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
640	C ₄ H ₉ -t	O		153-155
641	C ₄ H ₉ -t	O		
642	C ₄ H ₉ -t	O		
643	C ₃ H ₇ -i	O		
644	C ₃ H ₇ -i	O		
645	C ₃ H ₇ -i	O		
646		O		157-160
647		O		
648		O		
649		O		
650		O		
651		O		

Table 7 (continued)

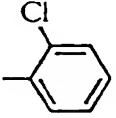
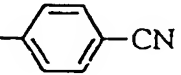
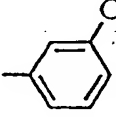
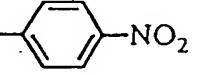
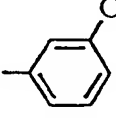
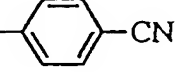
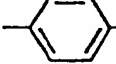
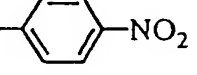
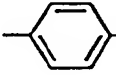
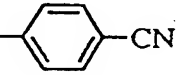
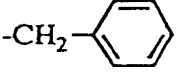
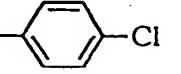
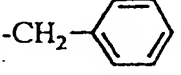
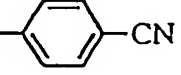

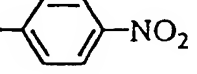

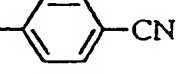
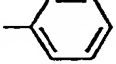

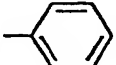
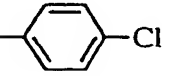
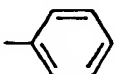
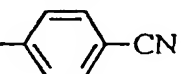
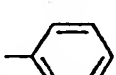
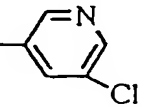
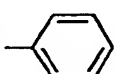
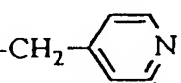
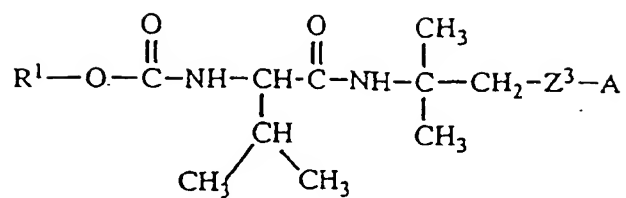
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
652		O		
653		O		
654		O		
655		O		
656		O		
657		O		
658		O		
659		O		
660		O		
661		S		
662		S		
663		S		
664		O		
665		O		

Table 8



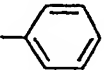
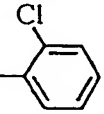
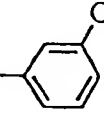
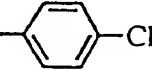
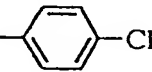
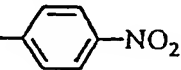
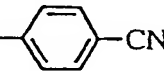
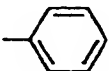
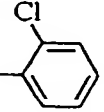
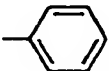
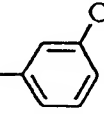
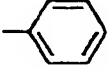
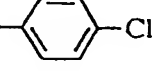
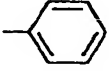
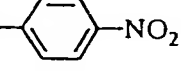
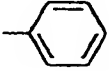
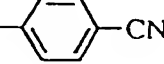
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
666	C ₄ H ₉ -t	O		1.5111
667	C ₄ H ₉ -t	O		
668	C ₄ H ₉ -t	O		
669	C ₄ H ₉ -t	O		
670	C ₃ H ₇ -i	O		
671	C ₃ H ₇ -i	O		
672	C ₃ H ₇ -i	O		
673		O		
674		O		
675		O		
676		O		
677		O		

Table 8 (continued)

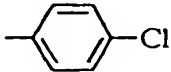
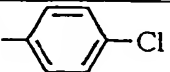
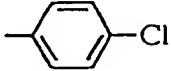
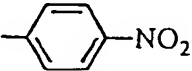
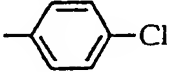
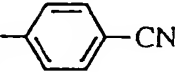
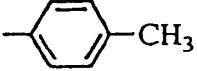
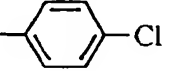
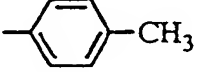
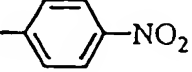
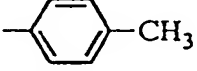
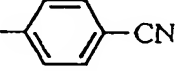
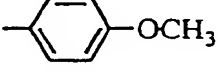
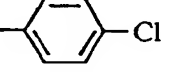
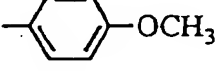
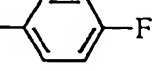
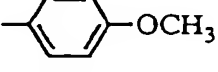
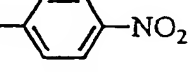
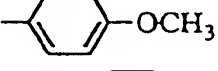
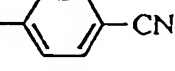
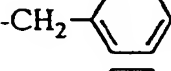
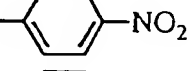
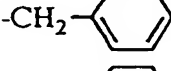
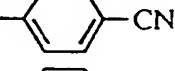
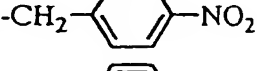
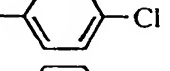

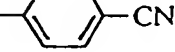
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
678		O		
679		O		
680		O		
681		O		
682		O		
683		O		
684		O		
685		O		
686		O		
687		O		
688		O		
689		O		
690		O		
691		O		

Table 8 (continued)

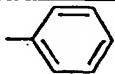
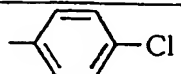
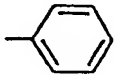
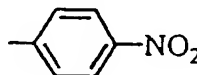
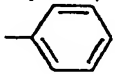
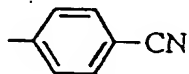

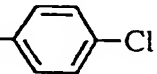
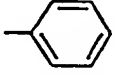

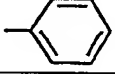
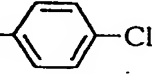
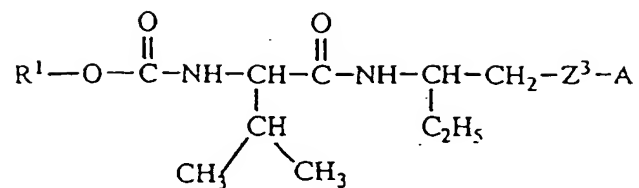
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
692		S		
693		S		
694		S		
695	C ₃ H ₇ -i	O	-CH ₂ - 	
696	C ₃ H ₇ -i	O	-CH ₂ - 	
697		O	-CH ₂ - 	
698		O	-CH ₂ - 	

Table 9



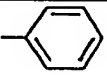
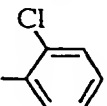
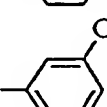
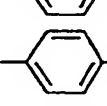
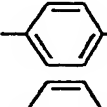
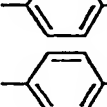
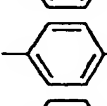
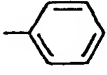
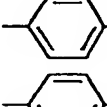
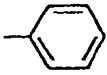
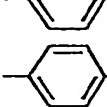
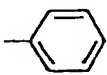
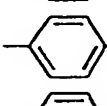
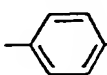
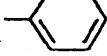
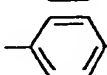

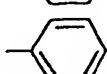

Compound No.	R ¹	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
699	C ₄ H ₉ -t	O		128-130
700	C ₄ H ₉ -t	O		
701	C ₄ H ₉ -t	O		
702	C ₄ H ₉ -t	O		
703	C ₃ H ₇ -i	O		
704	C ₃ H ₇ -i	O		
705	C ₃ H ₇ -i	O		
706		O		
707		O		
708		O		
709		O		
710		O		
711		O		

Table 9 (continued)


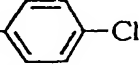

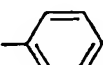
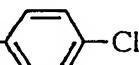
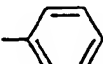
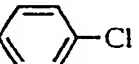
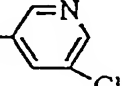

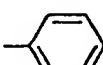
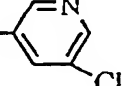
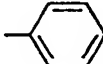

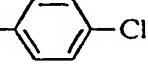
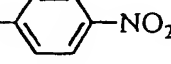
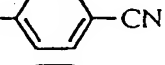
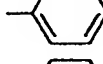
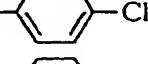
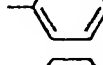
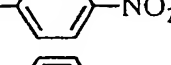


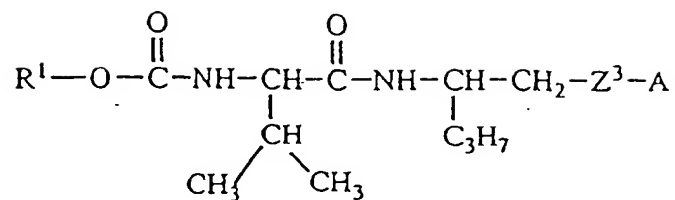
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
712	C ₃ H ₇ -i	O	-CH ₂ - 	
713	C ₃ H ₇ -i	O	-CH ₂ - 	
714	C ₃ H ₇ -i	O	-CH(CH ₃) 	
715		O	-CH ₂ - 	
716		O	-CH(CH ₃) 	
717	C ₃ H ₇ -i	O		
718	C ₃ H ₇ -i	O	-CH ₂ - 	
719		O		
720		O	-CH ₂ - 	
721	C ₃ H ₇ -i	S		
722	C ₃ H ₇ -i	S		
723	C ₃ H ₇ -i	S		
724		S		
725		S		
726		S		

Table 10



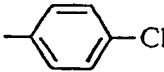
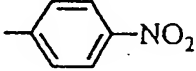
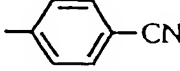
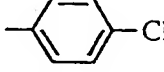
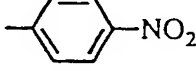
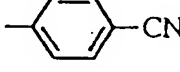
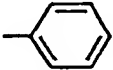

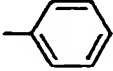
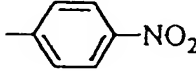
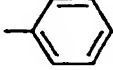
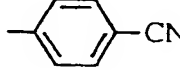

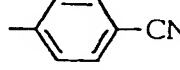
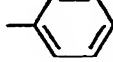
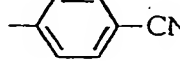
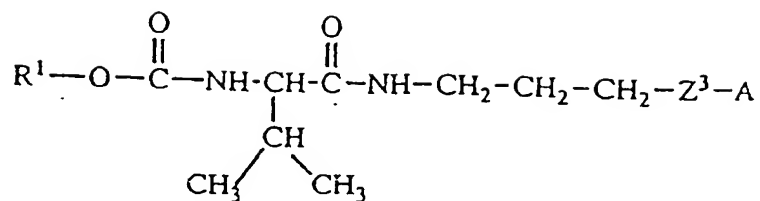
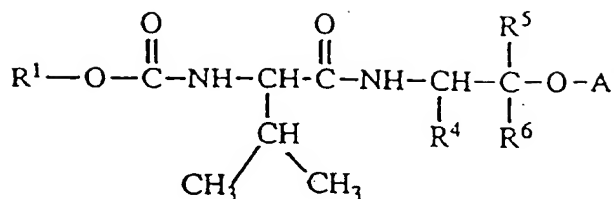
Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
727	C ₄ H ₉ -t	O		
728	C ₄ H ₉ -t	O		
729	C ₄ H ₉ -t	O		
730	C ₃ H ₇ -i	O		
731	C ₃ H ₇ -i	O		
732	C ₃ H ₇ -i	O		
733		O		
734		O		
735		O		
736	C ₃ H ₇ -i	S		
737	C ₃ H ₇ -i	S		
738		S		

Table 11



Compound No.	R ¹	Z ³	A	Melting Point (°C) or Refractive Index (n _D ²⁰)
739		O		150-151
740	C ₃ H ₇ -i	O		
741	C ₃ H ₇ -i	O		
742		O		
743		O		
744		O		
745	C ₃ H ₇ -i	S		
746		S		
747	C ₃ H ₇ -i	O		
748	C ₃ H ₇ -i	O		
749	C ₃ H ₇ -i	O		

Table 12



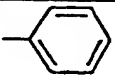
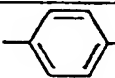
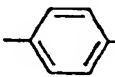
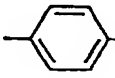
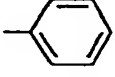
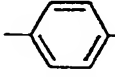
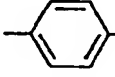
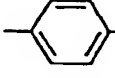
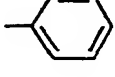
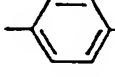
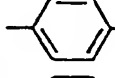
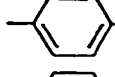
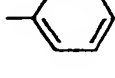
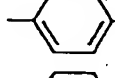
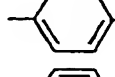
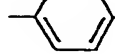
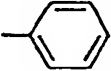
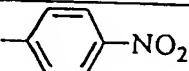
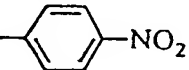
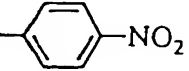
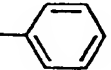
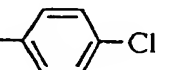
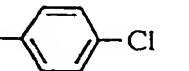
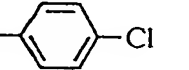

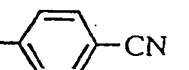
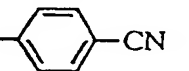
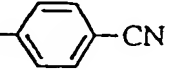

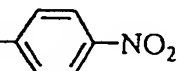
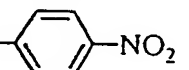
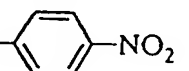

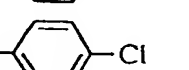
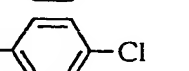
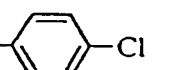
Compound No.	R ¹	R ⁴	R ⁵	R ⁶	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
750		H	H	CH ₃		not determined
751	C ₄ H ₉ -t	H	H	CH ₃		46-50
752	C ₃ H ₇ -i	H	H	CH ₃		
753		H	H	CH ₃		
754	C ₄ H ₉ -t	H	H	CH ₃		
755	C ₃ H ₇ -i	H	H	CH ₃		
756		H	H	CH ₃		
757	C ₄ H ₉ -t	H	H	CH ₃		
758	C ₃ H ₇ -i	H	H	CH ₃		
759		H	CH ₃	CH ₃		
760	C ₄ H ₉ -t	H	CH ₃	CH ₃		
761	C ₃ H ₇ -i	H	CH ₃	CH ₃		

Table 12 (continued)

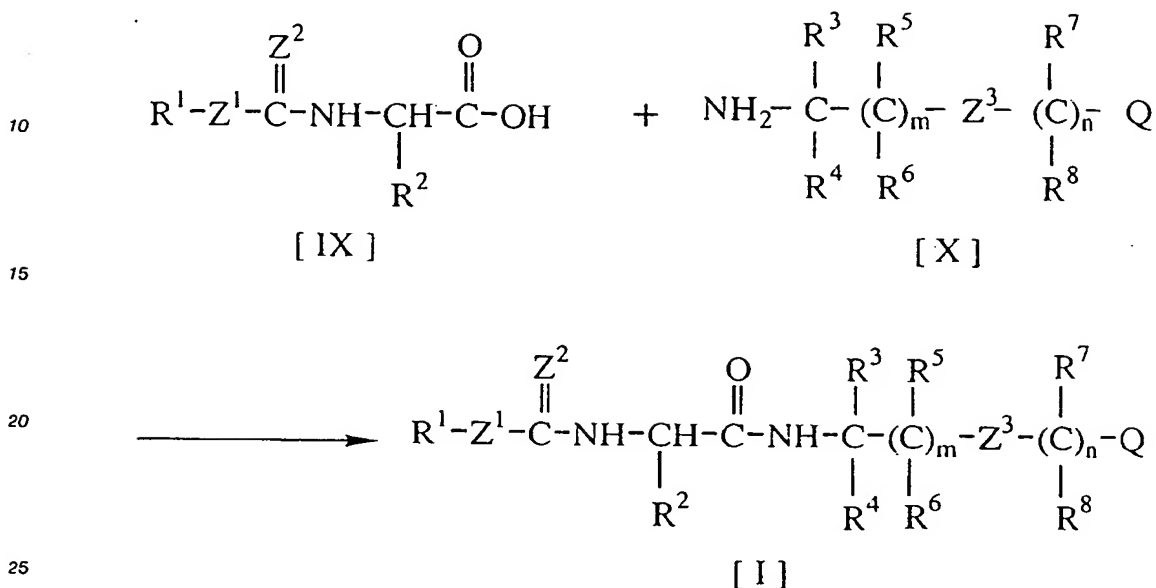
Compound No.	R ¹	R ⁴	R ⁵	R ⁶	A	Melting Point (°C) or Reflective Index (n _D ²⁰)
762		H	CH ₃	CH ₃		
763	C ₄ H ₉ -t	H	CH ₃	CH ₃		
764	C ₃ H ₇ -i	H	CH ₃	CH ₃		
765		H	CH ₃	CH ₃		
766	C ₄ H ₉ -t	H	CH ₃	CH ₃		
767	C ₃ H ₇ -i	H	CH ₃	CH ₃		150-152
768		C ₃ H ₇ -i	H	H		
769	C ₄ H ₉ -t	C ₃ H ₇ -i	H	H		
770	C ₃ H ₇ -i	C ₃ H ₇ -i	H	H		154-157
771		C ₃ H ₇ -i	H	H		
772	C ₄ H ₉ -t	C ₃ H ₇ -i	H	H		
773	C ₃ H ₇ -i	C ₃ H ₇ -i	H	H		
774		C ₃ H ₇ -i	H	H		
775	C ₄ H ₉ -t	C ₃ H ₇ -i	H	H		
776	C ₃ H ₇ -i	C ₃ H ₇ -i	H	H		

The compounds represented by Formula [I] according to the present invention can be prepared, for example, in the following manner.

Preparation Process A

(Reaction Scheme 1)

5



wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , Z^1 , Z^2 , Z^3 , Q , m , and n have the same meanings as defined above.

The compounds represented by Formula [I] according to the present invention can be prepared by reacting an amino acid derivative represented by Formula [IX] or the amino acid derivative wherein the carboxyl group is activated, with an amine represented by Formula [X] in the presence of a base and/or a catalyst, if necessary.

In the present reaction, as the amino acid derivative represented by Formula [IX] with an activated carboxyl group, there can be mentioned, for example, an acid halide such as an acid chloride, an acid anhydride derived from the two molecules of the amino acid derivatives represented by Formula [IX], a mixed acid anhydride derived from the amino acid derivative represented by Formula [IX] and other acid or an O-alkyl carbonic acid, and an activated ester such as p-nitrophenyl ester, 2-tetrahydropyranyl ester, and 2-pyridyl ester and the like. These amino acid derivatives with activated carboxyl groups can be synthesized according to conventional methods [for example, see *Methoden der Organischen Chemie*, Vol. 15, No. 2, from page 2; *Georg Thieme Verlag Stuttgart*: 1974; *Chemische Berichte*, Vol. 38, page 605 (1905); *Journal of the American Chemical Society*, Vol. 74; page 676 (1952); and *Journal of the American Chemical Society*, Vol. 86, page 1839 (1964)].

In addition, it is also possible to perform the present reaction using a condensing agent such as N, N'-dicyclohexylcarbodiimide, carbonyldiimidazole, 2-chloro-1,3-dimethylimidazolium chloride, or the like.

The present reaction can be performed in a conventional solvent: this solvent can be any solvent that does not hinder the reaction, for example, hydrocarbons such as pentane, hexane, heptane, cyclohexane, petroleum ether, ligroin, benzene, toluene, xylene and the like, halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like, ethers such as diethyl ether, diisopropyl ether, ethylene glycol dimethyl ether, tetrahydrofuran, dioxane and the like, ketones such as acetone, methyl ethyl ketone, methyl isopropyl ketone, methyl isobutyl ketone and the like, esters such as methyl acetate, ethyl acetate and the like, nitriles such as acetonitrile, propionitrile, benzonitrile and the like, aprotic polar solvents such as dimethylsulfoxide, dimethylformamide, sulfolane and the like, and mixed solvents combining solvents selected from the aforementioned.

The base can be any type of base generally used in this type of reaction. For example, there can be mentioned hydroxides of alkaline metals such as sodium hydroxide, potassium hydroxide and the like, hydroxides of alkaline earth metals such as calcium hydroxide and the like, carbonates of alkaline metals

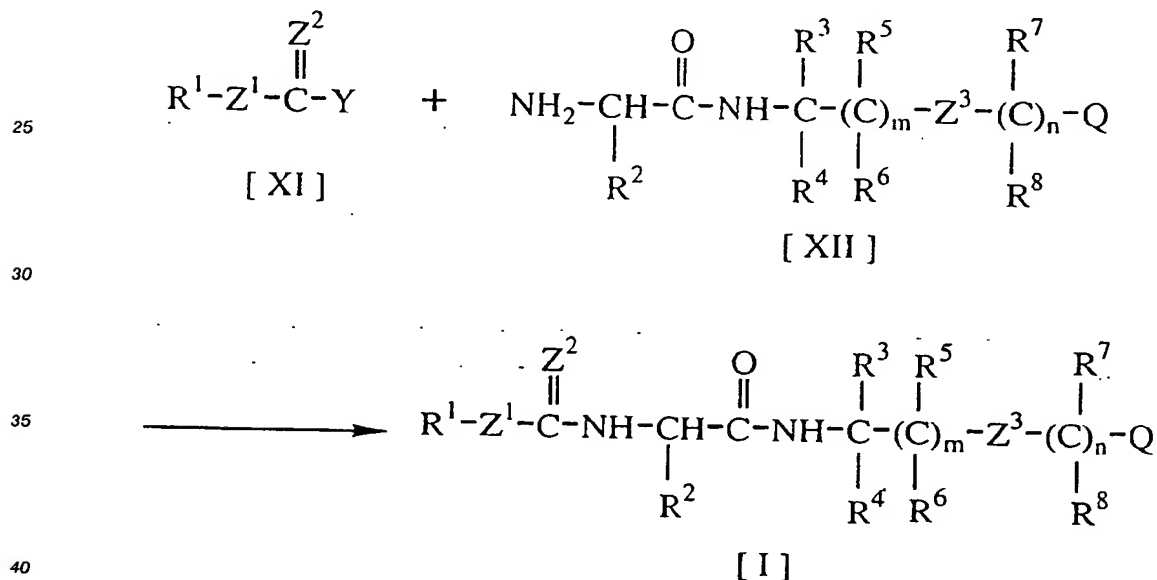
such as sodium carbonate, potassium carbonate and the like, bicarbonates of alkaline metals such as sodium bicarbonate, potassium bicarbonate and the like, organic bases such as triethylamine, trimethylamine, dimethylaniline, pyridine, N-methylmorpholine, N-methylpiperidine, 1,5-diazabicyclo [4.3.0] non-5-ene (DBN), 1,8-diazabicyclo[5.4.0] undec-7-ene (DBU), and the like, and preferably tertiary amines

As the catalyst, there can be mentioned 4-dimethylaminopyridine, 1-hydroxybenzotriazole, dimethylformamide and the like. The present reaction is carried out at a temperature of -75°C to 100°C, preferably -60°C to 40°C. The reaction time is preferably 1 to 20 hours.

Furthermore, compounds represented by formula [IX] as the starting material can generally be synthesized by conventional methods [for example, see *Methoden der Organischen Chemie*, Vol. 15, No. 2, from page 2; *Georg Thieme Verlag Stuttgart*: 1974; *Chemistry of the Amino Acids*, vol. 2, page 891; *John Wiley & Sons, N.Y.* (1964); and *Journal of the American Chemical Society*, Vol. 79, page 4686 (1957)]. Various manufacturing methods for compounds [X] can also be considered such as those methods stated in Japanese patent application First Publication No. Sho 63-146876, *Tetrahedron Letters*, page 21, 1973, and Japanese Patent Application, First Publication, No. Hei 5-271206).

Preparation Process B

(Reaction Scheme 2)



wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, Z¹, Z², Z³, Q, m, and n have the same meanings as defined above, and Y represents a halogen atom, a 4,6-dimethylpyrimidinylthio group, an R¹OC(O)O- group, or an -ON=C(CN)Ph group (in which Ph represents a phenyl group).

Compounds of the present invention represented by Formula [I] can be manufactured by means of reacting the compound represented by Formula [XI] with an amine represented by Formula [XII] or the salt of the amine derivative with an inorganic acid such as hydrochloride and the like, or a salt of the amine derivative with an organic acid such as tosylate and the like, in the presence of a base when required.

The present reaction can be performed in a conventional solvent: this solvent can be any solvent that does not hinder the reaction, for example, hydrocarbons such as pentane, hexane, heptane, cyclohexane, petroleum ether, ligroin, benzene, toluene, xylene and the like, halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform, carbon tetrachloride, chlorobenzene, tetrahydrofuran, dioxane and the like, ethers such as diethyl ether, diisopropyl ether, ethylene glycol dimethyl ether, tetrahydrofuran, dioxane and the like, ketones such as acetone, methyl ethyl ketone, methyl isopropyl ketone, methyl isobutyl ketone and the like, esters such as methyl acetate, ethyl acetate and the like, nitriles such as acetonitrile, propionitrile, benzonitrile and the like, aprotic polar solvents such as dimethylsulfoxide, dimethylformamide,

sulfolane and the like, water, and mixed solvents combining solvents selected from the aforementioned.

The base can be any type of base generally used in this type of reaction. For example, there can be mentioned hydroxides of alkaline metals such as sodium hydroxide, potassium hydroxide and the like, hydroxides of alkaline earth metals such as calcium hydroxide and the like, carbonates of alkaline metals
 5 such as sodium carbonate, potassium carbonate and the like, bicarbonates of alkaline metals such as sodium bicarbonate, potassium bicarbonate and the like, organic bases such as triethylamine, trimethylamine, dimethylaniline, N-methylmorpholine, pyridine, N-methylpiperidine, 1,5-diazabicyclo [4.3.0] non-5-ene (DBN), 1,8-diazabicyclo [5.4.0] undec-7-ene (DBU), and the like, and preferably tertiary amines such as triethylamine, pyridine, N-methylpiperidine and the like. The present reaction is carried out at a
 10 temperature of -20 °C to 100 °C, preferably 0 °C to 40 °C. The reaction time is preferably 30 minutes to 20 hours.

Compounds represented by Formula [XII] as the starting material represent novel compounds, and can be manufactured, for example, by means of treating carbamates of compounds [I] synthesized by the procedure of preparation process A using a conventional process for removing the amino protecting group
 15 of the amino acid such as catalytic reduction, or by treating with acids such as liquid hydrofluoric acid, sulfonic acids, hydrochloric acid, hydrobromic acid, formic acid and the like.

In the following, synthesis examples of amino-acid amide derivatives, which are novel intermediates of the compounds of the present invention represented by Formulae [X] and [XII], are provided as reference
 20 examples.

[Reference Example 1]

Synthesis of 2-(4-cyanophenoxy)-1-methylethylamine (Intermediate Compound No. 1)

25 293 g of ammonium acetate and 16.7 g of sodium cyanoborohydride were added to a solution containing 66.5 g of 4-cyanophenoxyacetone dissolved in 1500 mL of methanol, and the resultant mixture was stirred for 30 hours at room temperature. The reaction mixture was then concentrated under reduced pressure, and acidified with concentrated hydrochloric acid. 500 mL of diethyl ether and 300 mL of water
 30 were then added thereto. Subsequently, the resultant water layer was made basic with a 5% aqueous solution of sodium hydroxide, the solution was extracted with 1000 mL of diethyl ether, and then washed with water. The organic layer was then dried over anhydrous sodium sulfate, and the diethyl ether was removed under reduced pressure. The obtained residue was distilled under reduced pressure to yield 13.0 g of the desired product (19%). Boiling point: 132 °C / 0.26 mmHg.

35 [Reference Example 2]

Synthesis of 2-(4-chloro-2-methylphenoxy)-1-methylethylamine (Intermediate Compound No. 2)

40 120 g of ammonium acetate and 9.8 g of sodium cyanoborohydride were added to a solution containing 31 g of (4-chloro-2-methylphenoxy)acetone dissolved in 700 mL of methanol, and the resultant mixture was stirred for 20 hours at room temperature. After the reaction mixture was concentrated under reduced pressure, 180 mL of concentrated hydrochloric acid and 100 mL of water were added to the residue. The whole mixture was stirred for 1 hour, and then extracted with 300 mL of diethyl ether. The water layer was
 45 alkalified using a 5% aqueous solution of sodium hydroxide, and then extracted with 500 mL of ethyl acetate. The organic layer was washed with water, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure. A fraction possessing a low boiling point was removed from the obtained oily products to afford 25 g (yield 81 %) of the desired product. Refractive index: 1.5360.

[Reference Example 3]

50 Synthesis of 2-(4-chlorophenoxy)-1-methylpropylamine (Intermediate Compound No. 3)

82 g of ammonium acetate and 6.7 g of sodium cyanoborohydride were added to a solution containing
 55 21 g of 3-(4-chlorophenoxy)-2-butanone dissolved in 500 mL of methanol, and the reaction mixture was stirred for 20 hours at room temperature. The reaction mixture was then concentrated under reduced pressure, and 180 mL of concentrated hydrochloric acid and 100 mL of water were added to the residue. The whole mixture was extracted with 300 mL of diethyl ether. The obtained water layer was alkalified using a 5% aqueous solution of sodium hydroxide, and then extracted with 500 mL of ethyl acetate. The organic

layer was washed with water, dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure. A fraction possessing a low boiling point was removed from the obtained oily products to afford 18 g (yield 86%) of the desired product. Refractive index: 1.5360.

5 [Reference Example 4]

Synthesis of 1-methyl-2-(2-methylphenoxy)ethylamine (Intermediate Compound No. 4)

A solution containing 36 g of 2-(2-methylphenoxy)acetone oxime O-methyl ether dissolved in 150 mL of dimethoxyethane was added dropwise to a suspension containing 13 g of sodium borohydride in 500 mL of dimethoxyethane at room temperature. After the mixture was stirred for 15 minutes at room temperature, a solution containing 66 g of trifluoroborane diethyl ether complex dissolved in 100 mL of dimethoxyethane was added dropwise to the mixture at room temperature. The reaction mixture was stirred for 30 minutes at room temperature and then refluxed for 3 hours. The resultant mixture was allowed to sit and cool naturally to room temperature and then acidified using a 10% hydrochloric acid. The dimethoxyethane layer was concentrated and combined with the water layer. The mixture was alkalified using sodium carbonate, and then extracted with dichloromethane, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate, and then the dichloromethane was removed under reduced pressure. The residue was distilled under reduced pressure to obtain 6.4 g (yield 21%) of the desired product. Boiling point: 65 °C / 0.08 mmHg.

[Reference Example 5]

Synthesis of 2-(4-cyanophenoxy)-1-methylethylamine (Intermediate Compound No. 1)

50.0 g of 2-amino-1-propanol was added dropwise to a stirred mixture of 29.3 g of 60% sodium hydride and 300 mL of N,N-dimethylformamide at 0 °C. After the reaction mixture was stirred for 30 minutes at 0 °C, a solution containing 121.2 g of 4-bromobenzonitrile dissolved in N,N-dimethylformamide was added dropwise to the reaction mixture. The resultant mixture was stirred for 20 hours at room temperature. After completion of the reaction, the resultant mixture was poured into water and extracted with ethyl acetate. The organic layer was washed with water and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed under reduced pressure. The residue was distilled under reduced pressure to obtain 48.0 g of the desired product (yield 41%). Boiling point: 132 °C / 0.26 mmHg.

35 [Reference Example 6]

Synthesis of (-)-2-(4-cyanophenoxy)-1-methylethylamine (Intermediate Compound No. 5)

25.0 g of R-(-)-2-amino-1-propanol was added dropwise to a stirred mixture of 14.0 g of 60% sodium hydride and 200 mL of N,N-dimethylformamide at a temperature of 5 °C to 10 °C. After the reaction mixture was stirred for 30 minutes, a solution containing 45.0 g of 4-chlorobenzonitrile dissolved in N,N-dimethylformamide was added dropwise to the reaction mixture. The reaction mixture was stirred for 20 hours at room temperature. After completion of the reaction, the reaction mixture was poured into water and extracted with ethyl acetate. The organic layer was washed with water and then dried over anhydrous magnesium sulfate. The ethyl acetate was removed under reduced pressure. The residue was distilled under reduced pressure to obtain 33.0 g of the desired product (yield: 56%). Boiling point: 60 - 66 °C / 0.08 mmHg, $[\alpha]_D^{20}$ -15.7° (C 1.0 CH₃OH).

[Reference Example 7]

Synthesis of 1-methyl-2-(2-pyrimidyloxy)ethylamine (Intermediate Compound No. 6)

2.0 g of 2-amino-1-propanol was added dropwise to a stirred mixture of 1.3 g of 60% sodium hydride and 30 mL of N,N-dimethylformamide at room temperature. After the reaction mixture was stirred for 30 minutes, a solution containing 3.7 g of 2-chloropyrimidine dissolved in N,N-dimethylformamide was added dropwise to the reaction mixture. The mixture was stirred for 2 hours at 100 °C. After completion of the reaction, the reaction mixture was cooled. The solids were filtered off. The solvent in the filtrate was removed under reduced pressure. The residue was purified by column chromatography on silica gel to

obtain 2.1 g of the desired product (yield: 50%). Refractive index: 1.5481.

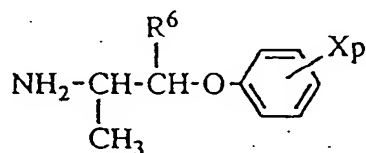
[Reference Example 8]

5 Synthesis of 1-methyl-2-(4-pyridyloxy)ethylamine (Intermediate Compound No. 7)

6.2 g of 2-amino-1-propanol was added dropwise to a stirred mixture of 4.0 g of 60% sodium hydride and 50 mL of N,N-dimethylformamide at 5°C - 10°C. After the reaction mixture was stirred for 30 minutes, 12.5 g of 4-chloropyridine hydrochloride in limited amounts was added to the reaction mixture. The mixture
10 was stirred for 20 hours at room temperature. After completion of the reaction, the solids were filtered off. The solvent in the filtrate was removed under reduced pressure. The residue was purified by column chromatography on silica gel to obtain 3.8 g of the desired product (yield: 30%). Refractive index: 1.5469.

Specific examples of intermediate [X] obtained through the operations of Reference Examples 1 to 8 are shown in Table 13.

15 Table 13



25

Inter- mediate Com- pound No.	R ⁶	Xp	Refractive Index (n _D ²⁰) or Boiling Point (°C/mmHg)
8	H	2-OCH ₃	96.5/0.15
9	H	3-OCH ₃	1.5158
10	H	4-OCH ₃	95/0.10
11	H	2-CN	1.5566
12	H	3-CN	1.5409
13	H	2-F	70/0.22
14	H	3-F	74/0.15
15	H	2-NO ₂	1.5582
16	H	2,4-Cl ₂	1.5475
17	H	3,4-Cl ₂	107/0.16
18	H	3,5-Cl ₂	100/0.12
19	H	3,4-(OCH ₃) ₂	1.5361
20	H	3,5-(OCH ₃) ₂	12.5/0.10
21	CH ₃	4-CN	1.5480
22	CH ₃	4-NO ₂	1.6263

55

[Reference Example 9]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride (Intermediate Compound No. 23)

5

Hydrogen chloride gas was introduced into a solution containing 3.7g of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide dissolved in 100 mL of methylene chloride for 1 hour at room temperature. After completion of the reaction, the methylene chloride was removed under reduced pressure, thus obtaining a crude crystal. The crude crystal was washed with acetone to afford 3.1 g of the desired product (yield: 100%). Melting point: 59 - 63 °C.

10

[Reference Example 10]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-isoleucinamide (Intermediate Compound No. 24)

15

Hydrogen chloride gas was introduced into a solution containing 15.0 g of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-isoleucinamide dissolved in 300 mL of methylene chloride for 1 hour at room temperature. After completion of the reaction, the methylene chloride was removed under reduced pressure, thus obtaining a crude crystal. 200 ml of a saturated aqueous solution of sodium bicarbonate and 200 ml of methylene chloride were added to the crude crystal, and the mixture was stirred for 30 minutes and extracted with methylene chloride. The organic layer was washed with water, and dried over anhydrous sodium sulfate. The methylene chloride was removed under reduced pressure. The obtained crude product was washed with acetone to afford 10.0 g of the desired product (yield: 90%). Melting point: 64 - 67 °C.

20

Specific examples of Intermediate [XII] obtained through the operations of Reference Examples 9 and 10 are shown in Table 14.

25

30

35

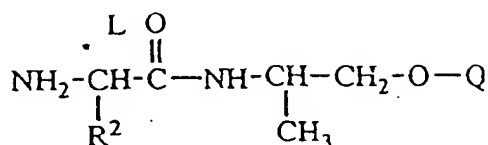
40

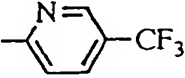
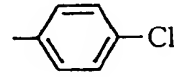
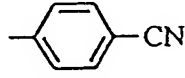
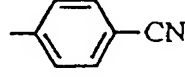
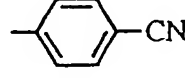
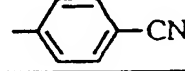
45

50

55

Table 14



Intermediate Compound No.	R ²	Q	Refractive Index (n _D ²⁰) or Boiling Point (°C/mmHg)
25	C ₃ H ₇ -i		73-75
26	C ₂ H ₅		43-44
27	C ₂ H ₅		1.5391
28	C ₃ H ₇		1.5299
29	C ₄ H ₉ -t		1.5251
30	C ₃ H ₇ -i		1.5250

[The Best Mode for Carrying Out the Invention]

The methods for producing the compounds according to the present invention as well as the use of the compounds will be described in detail in the following Synthesis Examples.

[Synthesis Example 1]

Synthesis of N²-*tert*-butoxycarbonyl-N¹-[1-methyl-2-(4-nitrophenoxy)ethyl]-L-valinamide (Compound No. 16)

0.5 g of N-methylpiperidine was added to a solution containing 1.1 g of N-*tert*-butoxycarbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 1 g of 1-methyl-2-(4-nitrophenoxy)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the dichloromethane layer was successively washed with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was a crude crystal, was purified by column chromatography on silica gel, thus obtaining 0.7 g of the desired product in the form of a yellow powder (yield: 55%).

[Synthesis Example 2]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-isopropenyloxycarbonyl-L-valinamide (Compound No. 77)

5

0.6 g of N-methylmorpholine, and subsequently 0.4 g of isopropyl chloroformate were added to a solution containing 0.9 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride dissolved in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the dichloromethane layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.23 g of the desired product in the form of colorless grains (yield: 13%).

15 [Synthesis Example 3]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-phenoxycarbonyl-L-valinamide (Compound No. 107)

20 1.3 g of N-methylpiperidine was added to a solution containing 3 g of N-phenoxycarbonyl-L-valine dissolved in 50 mL of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 2.2 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature, with stirring, and stirred for 25 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was a crude crystal, was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired product in the form of a white powder (yield: 22%).

30

[Synthesis Example 4]

Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-isoleucinamide (Compound No. 228)

35

1.3 g of N-methylpiperidine was added to a solution containing 3 g of N-tert-butoxycarbonyl-L-isoleucine dissolved in 60 mL of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.8 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 2.3 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of a white powder (yield: 12%).

45

[Synthesis Example 5]

Synthesis of N²-tert-butoxycarbonyl-N¹-(2-phenylthioethyl)-L-valinamide (Compound No. 551)

50

1 g of N-methylpiperidine was added to a solution containing 2.1 g of N-tert-butoxycarbonyl-L-valine dissolved in 40 mL of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.3 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1.5 g of 2-phenylthioethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under

55

reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.4 g of the desired product in the form of cream yellow grains (yield: 12%).

[Synthesis Example 6]

5

Synthesis of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-nitrophenoxy)propyl]-L-valinamide (Compound No. 606)

0.5 g of N-methylpiperidine was added to a solution containing 1 g of N-tert-butoxycarbonyl-L-valine dissolved in 40 mL of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1 g of 1-methyl-2-(4-nitrophenoxy)propylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was an oily substance, was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired product in the form of yellow viscous liquid (yield: 56%).

20

[Synthesis Example 7]

Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(3,5-dimethoxyphenoxy)-1-methylethyl]-L-valinamide (Compound No. 22)

25

0.5 g of N-methylpiperidine was added to a solution containing 1.0 g of N-tert-butoxycarbonyl-L-valine dissolved in 100 mL of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 1 g of 2-(3,5-cyanophenoxy)-1-methylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was a crude crystal, was purified by column chromatography on silica gel, thus obtaining 1.3 g of the desired product in the form of white powder (yield: 64%).

35

[Synthesis Example 8]

40 Synthesis of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(2,4,6-trichlorophenoxy)ethyl]-L-valinamide (Compound No. 25)

1.7 g of N-methylpiperidine was added to a solution containing 3.8 g of N-tert-butoxycarbonyl-L-valine dissolved in 80 mL of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 2.4 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 4.5 g of 1-methyl-2-(2,4,6-trichlorophenoxy)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 4.6 g of the desired product in the form of a colorless needle crystal (yield: 58%).

55

[Synthesis Example 9]

Synthesis of N²-isopropoxycarbonyl-N¹-[1-methyl-2-(4-nitrophenoxy)ethyl]-L-valinamide (Compound No. 45)

- 5 1.2 g of N-methylpiperidine was added to a solution containing 2.5 g of N-isopropoxycarbonyl-L-valine dissolved in 100 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.7 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 2.2 g of 2-(4-nitrophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was
- 10 stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.3 g of the desired product in the form of a yellow vitrified substance (yield: 6%).
- 15 ¹H-NMR: (CDCl₃, δ)
 1.16 - 1.33 (6H, m)
 1.43 - 1.36 (9H, m)
 2.56 (1H, m)
 4.01 (2H, m)
- 20 4.00 - 5.33 (3H, m)
 6.17 (1H, d)
 6.87 (2H, d)
 8.06 (2H, d)

25 [Synthesis Example 10]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-cyclohexyloxycarbonyl-L-valinamide (Compound No. 97)

- 30 0.8 g of N-methylpiperidine was added to a solution containing 2.0 g of N-cyclohexyloxycarbonyl-L-valine dissolved in 150 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.1 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1.5 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was
- 35 stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.5 g of the desired product in the form of light brown powder (yield: 16%).
- 40

[Synthesis Example 11]

Synthesis of N¹-[1-methyl-2-(4-trifluoromethylphenoxy)ethyl]-N²-phenoxycarbonyl-L-valinamide (Compound No. 114)

- 45 1.6 g of N-methylpiperidine was added to a solution containing 4.0 g of N-phenoxycarbonyl-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 2.2 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.5 g of 1-methyl-2-(4-trifluoromethylphenoxy)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was
- 50 stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus
- 55 obtaining 2.8 g of the desired product in the form of a white crystal (yield: 40%).

[Synthesis Example 12]

Synthesis of N¹-[1-methyl-2-(4-trifluoromethoxyphenoxy)ethyl]-N²-phenoxycarbonyl-L-valinamide (Compound No. 115)

1.7 g of N-methylpiperidine was added to a solution containing 4.0 g of N-phenoxycarbonyl-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 2.3 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C.

4.0 g of 1-methyl-2-(4-trifluoromethoxyphenoxy)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 3.4 g of the desired product in the form of a white crystal (yield: 45%).

[Synthesis Example 13]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-phenoxycarbonyl-L-valinamide (Compound Nos. 116 and 117)

1.8 g of N-methylpiperidine was added to a solution containing 4.2 g of N-phenoxycarbonyl-L-valine dissolved in 100 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.4 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.1 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.0 g of a white powder. 0.6 g of the obtained white powder was purified using high pressure liquid chromatography (hereinafter, referred to as "HPLC") (YMC-063-15, hexane / ethyl acetate = 55 / 45) to separate two fractions. The ingredient of the first fraction possessing a short retention time was 0.3 g of a white powder (yield: 7%) possessing 145 to 147 °C of melting point and the ingredient of the second fraction possessing a long retention time was 0.3 g of a white powder (yield: 7%) possessing a melting point of 166 to 170 °C of melting point.

[Synthesis Example 14]

Synthesis of N²-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(3-methoxyphenoxy)carbonyl-L-valinamide (Compound No. 166)

1.0 g of N-methylmorpholine was added to a solution containing 1.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride dissolved in 100 ml of methylene chloride, at -20 °C. After 0.9 g of 3-methoxyphenyl chloroformate was added to the mixture at -20 °C, the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 2 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.25 g of the desired product in the form of a white plated crystal (yield: 12%).

[Synthesis Example 15]

Synthesis of N²-(2-chloroethoxycarbonyl)-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide (Compound No. 184)

0.5 g of N-methylpiperidine was added to a solution containing 1.1 g of N-(2-chloroethoxycarbonyl)-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at

the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 0.9 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture.

5 After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was an oily substance, was purified by column chromatography on silica gel, thus obtaining 1.0 g of the desired product in the form of colorless grains (yield: 52%).

10 [Synthesis Example 16]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(4-methylbenzyloxycarbonyl)-L-valinamide (Compound No. 195)

15 0.6 g of N-methylpiperidine was added to a solution containing 1.5 g of N-(4-methylbenzyloxycarbonyl)-L-valine dissolved in 100 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.8 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1.0 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue, which was a crude crystal, was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of light white powder (yield: 28%).

20 25

[Synthesis Example 17]

30 Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-phenoxythiocarbonyl-L-valinamide (Compound No. 208)

0.4 g of N-methylmorpholine was added to a suspension containing 1.1 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 40 ml of methylene chloride, at -15 °C. After 0.7 g of phenyl chlorothionoformate was added to the mixture at -15 °C, the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.2 g of the desired product in the form of a yellow glutinous substance (yield: 75%).

35 40

¹H-NMR: (CDCl₃, δ)

1.05 (6H, m)

1.35 (3H, m)

2.30 (1H, m)

4.00 (2H, m)

4.44 (1H, m)

4.54 (1H, m)

6.16, 6.25 (1H, d)

7.26 (9H, m)

7.51 (1H, br)

45 50

[Synthesis Example 18]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(phenylthio)thiocarbonyl-L-valinamide (Compound No. 211)

55

0.5 g of N-methylmorpholine was added to a suspension containing 1.4 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 40 ml of methylene chloride, at -15 °C. After 0.9 g of phenyl

chlorodithioformate was added to the mixture at -15 °C, the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.4 g of the desired product in the form of a yellow glutinous substance (yield: 66%).

¹H-NMR: (CDCl₃, δ)

0.83 (6H, m)

1.30, 1.32 (3H, d)

2.13 (1H, m)

3.96 (2H, m)

4.35 (1H, m)

4.78 (1H, dd)

6.04, 6.13 (1H, d)

6.93, 6.98 (2H, d)

7.15, 7.22 (1H, d)

7.57 (7H, m)

[Synthesis Example 19]

Synthesis of N¹-(1-methyl-2-phenylthioethyl)-N²-phenoxycarbonyl-L-valinamide (Compound No. 212)

1.3 g of N-methylmorpholine was added to a suspension containing 3.0 g of N¹-(1-methyl-2-phenylthioethyl)-L-valinamide hydrochloride suspended in 80 ml of methylene chloride, at -15 °C. After 1.9 g of phenyl chloroformate was added to the mixture at -15 °C, the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 2.3 g of the desired product in the form of a white crystal (yield: 54%).

[Synthesis Example 20]

Synthesis of N¹-[2-(4-chloroanilino)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide (Compound No. 221)

1.9 g of N-methylpiperidine was added to a solution containing 3.8 g of N-isopropoxycarbonyl-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 2.6 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.5 g of 2-(4-chloroanilino)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 3.3 g of the desired product in the form of a white crystal (yield: 47%).

[Synthesis Example 21]

Synthesis of 2-tert-butoxycarbonylamino-N-[2-(4-chlorophenoxy)-1-methylethyl]-(2S)-butyramide (Compound No. 233)

2.0 g of N-methylpiperidine was added to a solution containing 4.1 g of (2S)-2-tert-butoxycarbonylaminovaleric acid dissolved in 60 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 3.7 g of 2-(4-chlorophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the

reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 5.6 g of the desired product in the form of a colorless glutinous substance (yield: 76%).

[Synthesis Example 22]

Synthesis of 2-tert-butoxycarbonylamino-N-[2-(4-cyanophenoxy)-1-methylethyl]-(2S)-butyramide (Compound No. 235)

0.5 g of N-methylpiperidine was added to a solution containing 1.0 g of (2S)-2-tert-butoxycarbonylamino butyric acid dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -20 °C, and stirred for 1 hour at -20 °C. 0.9 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.0 g of the desired product in the form of a glutinous substance (yield: 54%).

¹H-NMR: (CDCl₃, δ)

0.94 (3H, t)

1.20 - 1.50 (12H, m)

1.69 (2H, m)

3.83 - 4.56 (4H, m)

5.30 (1H, d)

6.60 (1H, m)

6.90 (2H, d)

7.50 (2H, d)

[Synthesis Example 23]

Synthesis of N¹-[2-(4-chlorobenzoyloxy)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide (Compound No. 246)

0.5 g of N-methylpiperidine was added to a solution containing 1 g of N-isopropoxycarbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 1 g of 2-(4-chlorobenzoyloxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained oily residue was purified by column chromatography on silica gel, thus obtaining 0.9 g of the desired product in the form of a colorless plated crystal (yield: 48%).

[Synthesis Example 24]

Synthesis of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-methylthiophenoxy)ethyl]-L-valinamide (Compound No. 327)

3.4 g of N-methylpiperidine was added to a solution containing 7.5 g of N-tert-butoxycarbonyl-L-valine dissolved in 100 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 4.7 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 6.8 g of 1-methyl-2-(4-methylthiophenoxy)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was

stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained oily residue was purified by column chromatography on silica gel, thus obtaining 6.2 g of the desired product in the form of a colorless prism-shaped crystal (yield: 46%).

[Synthesis Example 25]

Synthesis of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-methylsulfinylphenoxy)ethyl]-L-valinamide (Compound No. 328)

1.5 g of m-chloroperbenzoic acid was added to a solution containing 3.0 g of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-methylthiophenoxy)ethyl]-L-valinamide dissolved in 60 ml of methylene chloride, at 0 °C. After the mixture was stirred for 5 hours at room temperature, the reaction mixture was filtered. The filtrate was washed successively with a saturated aqueous solution of potassium carbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained oily residue was purified by column chromatography on silica gel, thus obtaining 1.7 g of the desired product in the form of a colorless crystal (yield: 56%).

[Synthesis Example 26]

Synthesis of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-methylsulfonylphenoxy)ethyl]-L-valinamide (Compound No. 329)

2.1 g of m-chloroperbenzoic acid was added to a solution containing 2.0 g of N²-tert-butoxycarbonyl-N¹-[1-methyl-2-(4-methylthiophenoxy)ethyl]-L-valinamide dissolved in 50 ml of methylene chloride, at 0 °C. After the mixture was stirred for 8 hours at a reflux temperature, the reaction mixture was allowed to sit and cool naturally to room temperature and filtered. The filtrate was washed successively with a saturated aqueous solution of potassium carbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained residue was purified by column chromatography on silica gel, thus obtaining 1.3 g of the desired product in the form of a colorless prism-shaped crystal (yield: 60%).

[Synthesis Example 27]

Synthesis of N¹-[2-(4-fluorophenylsulfinyl)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide (Compound No. 354)

1.3 g of m-chloroperbenzoic acid was added to a solution containing 2.5 g of N¹-[2-(4-fluorophenylthio)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide dissolved in 50 ml of methylene chloride, at 0 °C. After the mixture was stirred for 5 hours at room temperature, the reaction mixture was filtered. The filtrate was washed successively with a saturated aqueous solution of potassium carbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained residue was purified by column chromatography on silica gel, thus obtaining 1.8 g of the desired product in the form of a colorless prism-shaped crystal (yield: 69%).

[Synthesis Example 28]

Synthesis of N¹-[2-(4-fluorophenylsulfonyl)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide (Compound No. 355)

3.4 g of m-chloroperbenzoic acid was added to a solution containing 2.2 g of N¹-[2-(4-fluorophenylthio)-1-methylethyl]-N²-isopropoxycarbonyl-L-valinamide dissolved in 50 ml of methylene chloride, at 0 °C. After the mixture was stirred for 8 hours at a reflux temperature, the reaction mixture was allowed to sit and cool to room temperature, and then filtered. The filtrate was washed successively with a saturated aqueous solution of potassium carbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained residue was purified by column chromatography on silica gel, thus obtaining 2.0 g of the desired product in the form of a white crystal

(yield: 83%).

[Synthesis Example 29]

- 5 Synthesis of N²-isopropoxycarbonyl-N¹-[1-methyl-2-(2-methylphenylthio)ethyl]-L-valinamide (Compound No. 367)

1.9 g of N-methylpiperidine was added to a solution containing 3.9 g of N-isopropoxycarbonyl-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same
10 temperature, 2.6 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.5 g of 1-methyl-2-(2-methylphenylthio)ethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the
15 organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 3.6 g of the desired product in the form of a white crystal (yield: 51%).

[Synthesis Example 30]

- 20 Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(3-tetrahydrofuranyl)oxycarbonyl-L-valinamide (Compound No. 376)

1.0 g of N-methylmorpholine, and subsequently 0.7 g of 3-tetrahydrofuranyl chloroformate were added to a suspension containing 1.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride suspended in 100 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 2 hours at room temperature. Water was subsequently added to the reaction mixture. After the dichloromethane layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The
30 residue was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired product in the form of white powder (yield: 61%).

[Synthesis Example 31]

- 35 Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(3-methylcyclohexyloxy)carbonyl-L-valinamide (Compound No. 379)

0.4 g of N-methylmorpholine, and subsequently 0.8 g of 3-methylcyclohexyl chloroformate were added to a suspension containing 1.0 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.2 g of the desired product in the
45 form of a white crystal (yield: 80%).

[Synthesis Example 32]

- 50 Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-propargyloxycarbonyl-L-valinamide (Compound No. 381)

0.2 g of N-methylmorpholine, and subsequently 0.2 g of propargyl chloroformate were added to a suspension containing 0.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 30 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and
55 stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.5 g of the desired product in the form of white

powder (yield: 78%).

[Synthesis Example 33]

- 5 Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(2-methoxy-1-methylethyl)oxycarbonyl-L-valinamide (Compound No. 383)

1.0g of N-methylmorpholine, and subsequently 0.7 g of 2-methoxy-1-methylethylchloroformate were added to a suspension containing 1.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in
 10 150 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 2 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.37 g of the desired product
 15 in the form of a white plated crystal (yield: 20%).

[Synthesis Example 34]

- 20 Synthesis of N¹-[2-(4-fluoro-N-methylanilino)-1-methylethyl]-N²-phenoxycarbonyl-L-valinamide (Compound No. 391)

1.6 g of N-methylpiperidine was added to a solution containing 3.9 g of N-phenoxycarbonyl-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.2 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.0
 25 g of 2-(4-fluoro-N-methylanilino)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed
 30 under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.2 g of the desired product in the form of a white crystal (yield: 19%).

[Synthesis Example 35]

- 35 Synthesis of N²-(4-chlorophenoxycarbonyl)-N¹-[2-(4-cyanophenoxy-1-methylethyl)-L-valinamide (Compound Nos. 395 and 396)

1.7 g of N-methylpiperidine was added to a solution containing 4.7 g of N-(4-chlorophenoxycarbonyl)-L-valine dissolved in 250 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at
 40 the same temperature, 2.3 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.0 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and
 45 water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 0.4 g of the desired product in the form of white powder. In addition, the powder was purified by HPLC (YMC-063-15, hexane / ethyl acetate = 55 / 45) to separate two fractions. One fraction possessing a short retention time was 0.17 g of white powder possessing 137 - 140 °C of melting
 50 point (yield: 2 %), and another fraction possessing a long retention time was 0.17 g of white powder possessing a melting point of 174 - 179 °C (yield: 2 %).

[Synthesis Example 36]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(2-nitrophenoxy-carbonyl)-L-valinamide (Compound No. 400)

5

1.3g of N-methylmorpholine, and subsequently 2.5 g of 2-nitrophenyl chloroformate were added to a suspension containing 3.4g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 100 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 2 hours at room temperature. Water was subsequently added to the reaction mixture. After the
10 methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.0 g of the desired product in the form of a yellow plated crystal (yield: 18 %).

15 [Synthesis Example 37]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(4-fluorophenoxy-carbonyl)-L-valinamide (Compound No. 401)

20

1.2 g of N-methylpiperidine was added to a solution containing 3.0 g of N-(4-fluorophenoxy-carbonyl)-L-valine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 1.6 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 2.3 g of (-)-2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was
25 stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired product in the form of a white crystal (yield: 23 %).

30

[Synthesis Example 38]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-(3,4-dimethylphenoxy-carbonyl)-L-valinamide (Compound No. 403)

35

0.6 g of N-methylmorpholine, and subsequently 1.2 g of 3,4-dimethylphenyl chloroformate were added to a suspension containing 1.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After
40 the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.7 g of the desired product in the form of a white crystal (yield: 74 %).

45 [Synthesis Example 39]

Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(2-pyridyloxy)-1-methylethyl]-L-valinamide (Compound No. 409)

2.0 g of N-methylpiperidine was added to a solution containing 4.3 g of N-tert-butoxycarbonyl-L-valine
50 dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 3.3 g of 2-(2-pyridyloxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was
55 stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 2.0 g of the desired product in the form of colorless grains (yield: 28 %).

[Synthesis Example 40]

Synthesis of N¹-[2-(5-chloro-2-pyridyloxy)-1-methylethyl]-N²-isopropylloxycarbonyl-L-valinamide (Compound No. 412)

5

0.8 g of N-methylmorpholine, and subsequently 0.5 g of isopropyl chloroformate were added to a suspension containing 1.4 g of N¹-[2-(5-chloro-2-pyridyloxy)-1-methylethyl]-L-valinamide hydrochloride suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of colorless grains (yield: 38 %).

15 [Synthesis Example 41]

Synthesis of N¹-[2-(5-chloro-2-pyridyloxy)-1-methylethyl]-N²-phenoxycarbonyl-L-valinamide (Compound No. 413)

20

0.8 g of N-methylmorpholine, and subsequently 0.7 g of phenyl chloroformate were added to a suspension containing 1.4 g of N¹-[2-(5-chloro-2-pyridyloxy)-1-methylethyl]-L-valinamide hydrochloride suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of colorless grains (yield: 34 %).

[Synthesis Example 42]

30

Synthesis of N¹-[2-(4-fluoro-N-methylanilino)-1-methylethyl]-N²-phenoxycarbonyl-L-isoleucinamide (Compound No. 422)

1.9 g of N-methylpiperidine was added to a solution containing 4.8 g of N-phenoxycarbonyl-L-isoleucine dissolved in 80 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.6 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 3.5 g of 2-(4-fluoro-N-methylanilino)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel thus obtaining 1.1 g of the desired product in the form of a white crystal (yield: 13 %).

45 [Synthesis Example 43]

Synthesis of N²-(ethylthio)carbonyl-N¹-[1-methyl-2-(4-nitrophenoxy)ethyl]-L-valinamide (Compound No. 432)

0.3 g of N-methylmorpholine, and subsequently 0.4 g of ethyl chlorothioformate were added to a suspension containing 0.9 g of N¹-[1-methyl-2-(4-nitrophenoxy)ethyl]-L-valinamide suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.0 g of the desired product in the form of yellow grains (yield: 79 %).

[Synthesis Example 44]

Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-leucinamide (Compound No. 455)

5

1.5 g of N-methylpiperidine was added to a solution containing 3.4 g of N-tert-butoxycarbonyl-L-leucine dissolved in 60 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.0 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 2.6 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 5.1 g of the desired product in the form of a colorless glutinous substance (yield: 86 %).

¹H-NMR: (CDCl₃, δ)
 0.92 (6H, m)
 1.28, 1.32 (3H, d)
 1.39, 1.43 (9H, s)
 1.46, 1.65 (2H, m)
 1.65 (1H, m)
 3.98 (2H, m)
 4.06 (1H, m)
 4.35 (1H, m)
 4.91 (1H, br)
 6.46 (1H, br)
 6.97 (2H, d)
 7.57 (2H, dd)

30

[Synthesis Example 45]

Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-tert-leucinamide (Compound No. 457)

35

1.7 g of N-methylpiperidine was added to a solution containing 4 g of N-tert-butoxycarbonyl-L-tert-leucine dissolved in 50 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.4 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 3.1 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 3.9 g of the desired product in the form of a colorless amorphous substance (yield: 58 %).

[Synthesis Example 46]

Synthesis of 2-tert-butoxycarbonylamino-3-methyl-N-[2-(4-cyanophenoxy)-1-methylethyl]-3-butenic acid amide (Compound No. 460)

0.5 g of N-methylpiperidine was added to a solution containing 1.1 g of 2-tert-butoxycarbonylamino-3-methyl-3-butenic acid dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour at -20 °C. 1.9 g of 2-(4-cyanophenoxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the

reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 0.3 g of the desired product in the form of a colorless glutinous substance (yield: 32 %).

[Synthesis Example 47]

Synthesis of N-[2-(4-cyanophenoxy)-1-methylethyl]-2-isopropoxycarbonylaminocyclopentylacetic acid amide (Compound No. 462)

0.4 g of N-methylmorpholine, and subsequently 0.5 g of isopropyl chloroformate were added to a suspension containing 1.2 g of 2-amino-N-[2-(4-cyanophenoxy)-1-methylethyl]cyclopentylacetic acid amide suspended in 40 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.4 g of the desired product in the form of a colorless plated crystal (yield: 90 %).

[Synthesis Example 48]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-phenoxycarbonyl-L-norvalinamide (Compound No. 465)

0.5 g of N-methylmorpholine, and subsequently 0.8 g of phenyl chloroformate were added to a suspension containing 1.4 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-norvalinamide suspended in 40 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired product in the form of a colorless plated crystal (yield: 57 %).

[Synthesis Example 49]

Synthesis of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-N²-phenoxycarbonyl-L-leucinamide (Compound No. 466)

0.5 g of N-methylmorpholine, and subsequently 0.8 g of phenyl chloroformate were added to a suspension containing 1.5 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-leucinamide suspended in 40 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.5 g of the desired product in the form of colorless powder (yield: 73 %).

[Synthesis Example 50]

Synthesis of 2-(4-chlorophenoxy)carbonylamino-N-[2-(4-cyanophenoxy)-1-methylethyl]cyclopentylacetic acid amide (Compound No. 471)

0.4 g of N-methylmorpholine, and subsequently 0.8 g of 4-chlorophenyl chloroformate were added to a suspension containing 1.2 g of 2-amino-N-[2-(4-cyanophenoxy)-1-methylethyl]cyclopentylacetic acid amide suspended in 40 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried

over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of colorless grains (yield: 30 %).

5 [Synthesis Example 51]

Synthesis of N²-benzyloxycarbonyl-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-(4-chlorophenyl)glycinamide (Compound No. 475)

10 0.4 g of N-methylmorpholine, and subsequently 0.6 g of benzyl chloroformate were added to a suspension containing 1.3 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-(4-chlorophenyl)glycinamide suspended in 40 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over
15 anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.2 g of the desired product in the form of colorless grains (yield: 70 %).

[Synthesis Example 52]

20 Synthesis of N²-(1-cyano-1-methylethoxycarbonyl)-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide (Compound No. 476)

0.5 g of N-methylmorpholine, and subsequently 0.4 g of 1-cyano-1-methylethyl chloroformate were
25 added to a suspension containing 0.7 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride suspended in 50 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 3 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure.
30 The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of colorless grains (yield: 71 %).

[Synthesis Example 53]

35 Synthesis of N²-(2-chlorocyclohexyloxycarbonyl)-N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide (Compound No. 477)

0.4 g of N-methylmorpholine, and subsequently 0.9 g of 2-chlorocyclohexyl chloroformate were added to a suspension containing 1.0 g of N¹-[2-(4-cyanophenoxy)-1-methylethyl]-L-valinamide hydrochloride
40 suspended in 50 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.1 g of the desired
45 product in the form of a white crystal (yield: 71 %).

[Synthesis Example 54]

50 Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(3-chloro-5-trifluoromethyl-2-pyridyloxy)-1-methylethyl]-L-valinamide (Compound No. 479)

2.0 g of N-methylpiperidine was added to a solution containing 5.6 g of N-tert-butoxycarbonyl-L-valine dissolved in 100 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 2.7 g of isobutyl chloroformate was added to the mixture at -40 °C, and stirred for 1 hour
55 at -20 °C. 1.5 g of 2-(3-chloro-5-trifluoromethyl-2-pyridyloxy)-1-methylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature, with stirring, and stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium

bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 7.0 g of the desired product in the form of colorless grains (yield: 77 %).

[Synthesis Example 55]

Synthesis of N¹-[1-(5-chloro-6-ethyl-4-pyrimidinyl-2-propyl)]-N²-isopropoxycarbonyl-L-valinamide (Compound No. 481)

0.34 g of N-methylpiperidine was added to a solution containing 0.7 g of N-isopropoxycarbonyl-L-valine dissolved in 50 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.47 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 0.74 g of 1-(5-chloro-6-ethyl-4-pyrimidinyl-2-propyl)amine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of a white prism-shaped crystal (yield: 43 %).

[Synthesis Example 56]

Synthesis of N-tert-butoxycarbonyl-L-valyl-N-(4-chlorophenyl)-N-methyl-DL-alaninamide (Compound No. 490)

0.9 g of N-methylpiperidine was added to a solution containing 2.0 g of N-tert-butoxycarbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 1.3 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 2.0 g of N¹-(4-chlorophenyl)-N¹-methyl-DL-alaninamide was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 3.4 g of the desired product in the form of a colorless needle crystal (yield: 87 %).

[Synthesis Example 57]

Synthesis of N-isopropoxycarbonyl-L-isoleucyl-N-(4-cyanophenyl)-D-alaninamide (Compound No. 506)

0.26 g of N-methylpiperidine was added to a solution containing 0.57 g of N-isopropoxycarbonyl-L-isoleucine dissolved in 60 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.36 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 0.5 g of N¹-(4-cyanophenyl)-D-alaninamide was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.5 g of the desired product in the form of white powder (yield: 49 %).

[Synthesis Example 58]

Synthesis of N-cyclohexyloxycarbonyl-L-valyl-N-(4-cyanophenyl)-D-alaninamide (Compound No. 509)

5 0.6 g of N-methylmorpholine, and subsequently 0.6 g of cyclopentyl chloroformate were added to a suspension containing 1.0 g of L-valyl-N-(4-cyanophenyl)alaninamide, hydrochloride suspended in 50 ml of methylene chloride at -20 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.6 g of the desired product in the form of a white crystal (yield: 49 %).

[Synthesis Example 59]

15

Synthesis of N-phenoxy carbonyl-L-valyl-N-(4-chlorobenzyl)-DL-alaninamide (Compound No. 516)

0.55 g of N-methylmorpholine, and subsequently 0.43 g of phenyl chloroformate were added to a suspension containing 0.95 g of L-valyl-N-(4-chlorobenzyl)-DL-alaninamide, hydrochloride suspended in 50 ml of methylene chloride at -15 °C. The mixture was allowed to sit and warm naturally to room temperature and stirred for 15 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed with water, the organic layer was dried over anhydrous magnesium sulfate and then the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.9 g of the desired product in the form of white powder (yield: 75 %).

[Synthesis Example 60]

Synthesis of N-phenoxy carbonyl-L-valyl-DL-alanine phenyl ester (Compound No. 522)

30

0.24 g of N-methylpiperidine was added to a solution containing 0.57 g of N-phenoxy carbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.33 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 0.5 g of DL-alanine phenyl ester was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.2 g of the desired product in the form of white powder (yield: 20 %).

[Synthesis Example 61]

Synthesis of N¹-(4-cyanophenyl)-N²-(2-phenoxy carbonylamino)-(2S)-butyryl-D-alaninamide (Compound No. 524)

45

0.45 g of N-methylpiperidine was added to a solution containing 1.0 g of (2S)-2-phenoxy carbonylaminobutyric acid dissolved in 50 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.61 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 0.85 g of N¹-(4-cyanophenyl)-D-alaninamide was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained crude crystal was purified by column chromatography on silica gel, thus obtaining 0.8 g of the desired product in the form of white powder (yield: 45 %).

[Synthesis Example 62]

Synthesis of N-isopropoxycarbonyl-L-valyl-N-(4-cyanophenyl)glycinamide (Compound No. 526)

5 0.3 g of N-methylpiperidine was added to a solution containing 0.6 g of N-isopropoxycarbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.4 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 0.5 g of N¹-(4-cyanophenyl)glycinamide was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at
 10 room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 0.5 g of the desired product in the form of colorless powder (yield: 49 %).

[Synthesis Example 63]

Synthesis of N²-tert-butoxycarbonyl-N¹-(1,2-dimethyl-2-phenoxyethyl)-L-valinamide (Compound No. 602)

20 0.6 g of N-methylpiperidine was added to a solution containing 1.3 g of N-tert-butoxycarbonyl-L-valine dissolved in 40 ml of methylene chloride, at -20 °C. After the mixture was stirred for 15 minutes at the same temperature, 0.8 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1 g of 1,2-dimethyl-2-phenoxyethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at
 25 room temperature. Water was subsequently added to the reaction mixture. After the methylene chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous magnesium sulfate and the methylene chloride was removed under reduced pressure. The obtained oily substance was purified by column chromatography on silica gel, thus obtaining 1.3 g of the desired product in the form of a white glutinous substance (yield: 57 %).

30 ¹H-NMR: (CDCl₃, δ)
 0.8 - 1.02 (6H, m)
 1.18 - 1.45 (15H, m)
 2.10 (1H, m)
 3.65 - 4.45 (3H, m)
 35 5.18 (1H, m)
 6.38 (1H, m)
 6.72 - 7.35 (5H, m)

[Synthesis Example 64]

40 Synthesis of N²-tert-butoxycarbonyl-N¹-[2-(4-cyanophenoxy)-1,2-dimethylethyl]-L-valinamide (Compound No. 607)

45 0.5 g of N-methylpiperidine was added to a solution containing 1.1 g of N-tert-butoxycarbonyl-L-valine dissolved in 60 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.7 g of isobutyl chloroformate was added to the mixture, and stirred for 1 hour at -20 °C. 1.0 g of 2-(4-cyanophenoxy)-1,2-dimethylethylamine was added to this mixture at -60 °C, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 20 hours at room temperature. Water was subsequently added to the reaction mixture. After the methylene
 50 chloride layer was washed successively with a 5% aqueous solution of sodium bicarbonate and water, the organic layer was dried over anhydrous sodium sulfate and the methylene chloride was removed under reduced pressure. The residue was purified by column chromatography on silica gel, thus obtaining 1.2 g of the desired product in the form of a colorless glassy substance (yield: 61 %).

55 ¹H-NMR: (CDCl₃, δ)
 0.79- 1.03 (6H, m)
 1.15 - 1.46 (15H, m)
 2.03 (1H, m)
 3.63 - 4.72 (3H, m)

5.06 (1H, m)
 6.30 (1H, m)
 6.83 - 7.60 (4H, m)

5 [Synthesis Example 65]

Synthesis of N¹-[2-(4-cyanophenoxy)propyl]-N²-phenoxy-carbonyl-L-valinamide (Compound No. 750)

0.16 g of N-methylpiperidine was added to a suspension containing 0.25 g of N¹-[2-(4-cyanophenoxy)-propyl]-L-valinamide hydrochloride suspended in 20 ml of methylene chloride, at -20 °C. After the mixture was stirred for 10 minutes at the same temperature, 0.13 g of phenyl chloroformate was added drop by drop to the mixture, and then the reaction mixture was allowed to sit and warm naturally to room temperature while being stirred, and was stirred for 3 hours at room temperature. After the methylene chloride was removed under reduced pressure, the residue was purified by column chromatography on silica gel, thus obtaining 0.2 g of the desired product in the form of a white glutinous substance (yield: 63 %).

¹H-NMR: (CDCl₃, δ)
 1.00 (6H, m)
 1.23 (3H, d)
 2.13 (1H, m)
 3.31 (1H, m)
 4.00 (2H, m)
 4.49 (1H, m)
 5.93 (1H, d)
 6.52 (1H, m)
 6.80 - 7.56 (9H, m)

The agricultural or horticultural fungicide according to the present invention is a composition containing an amino acid amide derivative represented by Formula [I] as an active ingredient. In the case where the compounds according to the present invention are employed as an agricultural or horticultural fungicide, the compounds acting as the active ingredient can be formulated appropriately, depending on the purpose. The active ingredient is usually diluted in an inert liquid or a solid carrier, and a surfactant or the like is added thereto, if necessary. The mixture is then formulated in a known-manner into, for example, a fine powder, a wettable powder, an emulsifiable concentrate, granules, or the like.

Suitable examples of carriers employed in the formulation are solid carriers such as talc, bentonite, clay, kaolin, diatomaceous earth, white carbon, vermiculite, slaked lime, siliceous sand, ammonium sulfate, urea, or the like; and liquid carriers such as isopropyl alcohol, xylene, cyclohexanone, methylnaphthalene, and the like. Illustrative examples of the surfactants and dispersants include salts of dinaphthylmethanesulfonic acid, sulfate esters of alcohol, alkylarylsulfonic acid, and ligninesulfonic acid, polyoxyethylene glycol ethers, polyoxyethylene alkyl aryl ethers, polyoxyethylenesorbitan monoalkylates, and the like. Suitable examples of auxiliary agents include carboxymethylcellulose, and the like. These preparations can be applied directly, or after diluting the preparation to a suitable concentration.

The agricultural or horticultural fungicide according to the present invention can be employed for a number of purposes: for example, treating seeds, spraying of stem and leaf portions, injection into irrigation water, and applying to the soil. The proportion of the active ingredient is selected as needed. When formulated into a fine powder or granules, 0.1% by weight to 20% by weight of the active ingredient is preferred. For an emulsifiable concentrate or wettable powder, 5% by weight to 80% by weight of the active ingredient is adequate.

The rate of application of the agricultural or horticultural fungicide according to the present invention may vary depending on the type of active compound employed, the kind of the pest or disease to be controlled, the nature of occurrence of the pest or disease, the degree of damage, environmental conditions, the form of preparation to be used, and the like. When the agricultural or horticultural fungicide of the present invention is applied directly in the form of fine powder or granules, it is recommended that the rate of application of the active ingredient be suitably chosen within the range of 0.1 g to 5 kg per 10 ares, preferably, in the range of 1 g to 1 kg per 10 ares. In addition, when the fungicide of the present invention is in the form of a liquid such as an emulsifiable concentrate or a wettable powder, it is recommended that the ratio for application of the active ingredient be suitably chosen within the range of 0.1 ppm to 10,000 ppm, and preferably within the range of 10 ppm to 3,000 ppm.

The compounds according to the present invention in the formulation described above can control plant diseases caused by fungi in the *Oomycetes*, *Ascomycetes*, *Deuteromycetes*, and *Basidiomycetes* or other pathogenic fungi. The fungi include, but are not limited to, *Pseudoperonospora* such as cucumber downy mildew (*Pseudoperonospora cubensis*), *Phytophthora* such as tomato late blight (*Phytophthora infestans*), and *Plasmopara* such as grape downy mildew (*Plasmopara viticola*).

The agricultural or horticultural fungicide according to the present invention may be employed alone or in combination with other fungicides, insecticides, herbicides, plant growth modifiers, fertilizers or the like.

Next, the representative formulations are illustrated with reference to the following Formulation Examples, wherein all "%" represent percent by weight".

Formulation Example 1:

Fine powder

2 % of Compound No. 15, 5% of diatomaceous earth, and 93% of clay were uniformly mixed and ground into a fine powder.

Formulation Example 2:

Wettable powder

50 % of Compound No. 16, 45% of diatomaceous earth, 2% of sodium dinaphthylmethanedisulfonate, and 3% of sodium ligninsulfonate were uniformly mixed and ground into a wettable powder.

Formulation Example 3:

Emulsifiable concentrate

30 % of Compound No. 19, 20% of cyclohexanone, 11% of polyoxyethylene alkylaryl ether, 4% of calcium alkylbenzenesulfonate, and 35% of methylnaphthalene were uniformly dissolved, thus obtaining an emulsifiable concentrate.

Formulation Example 4:

Granules

5 % of Compound No. 101, 2% of sodium lauryl alcohol sulfonate, 5% of sodium lignin sulfonate, 2% of carbomethylcellulose, and 86% of clay were mixed and ground. 20% of water was added to the ground mixture. The resulting mixture was kneaded and formed into granules of 14 mesh to 32 mesh by means of an extrusion granulator, and then dried into the desired granules.

Effects of the Invention

The agricultural or horticultural fungicides according to the present invention exhibit high ability to control the growth or spread of cucumber downy mildew (*Pseudoperonospora cubensis*), tomato late blight (*Phytophthora infestans*), and grape downy mildew (*Plasmopara viticola*), and are effective for potato late blight (*Phytophthora infestans*). In addition, the agricultural or horticultural fungicides according to the present invention not only exhibit the ability to prevent fungal infection, but also exhibit the ability to eliminate pathogenic fungi after it has invaded a host plant. Furthermore, the agricultural or horticultural fungicides of the present invention are also characterized in that they are not harmful chemicals and exhibit excellent characteristics such as systemic action, residual activity, and persistence after rain-fall.

The effects of the compounds according to the present invention are now illustrated with reference to the following Test Examples. Comparative Compound X and Comparative Compound Y employed in the Test Examples are the compounds disclosed as synthesis intermediates for drugs in Japanese Patent Application, First Publication, No. Sho 62-89696. These Comparative Compounds were employed after being formulated in the same manner as the compounds of the present invention to be tested.

Comparative Compound X: N²-tert-butoxycarbonyl-N¹-(2-phenoxyethyl)-D-alaninamide

Comparative Compound Y: N²-tert-butoxycarbonyl-N¹-(2-phenylthioethyl)-D-alaninamide

Test Example 1:

Test on the Effect of Preventing Infection by Cucumber Downy Mildew (*Pseudoperonospora cubensis*)

5 Cucumber seeds (variety: "Sagami hanjiro") were sown at a rate of 10 seeds each in a square PVC (polyvinyl chloride) pot, wherein each side is 9 cm wide. The seeds were allowed to grow in a greenhouse, for 7 days, to the cotyledonous stage. A wettable powder prepared as in Formulation Example 2 was diluted with water to a concentration of 500 ppm of the active ingredient, and the aqueous preparation obtained was then applied at a rate of 10 ml per pot to the cucumber seedlings at their cotyledonous stage. After drying
10 in the air, the plant was inoculated with a spore suspension of cucumber downy mildew (*Pseudoperonospora cubensis*) fungi using a spray and then placed in a moist chamber at 22 °C for 24 hours, and then placed in a greenhouse. On the seventh day after the inoculation, the extent of lesion was rated in accordance with the standards of evaluation as shown in Table 15 in order to secure the preventive effects of the compounds according to the present invention. The results of the test are given in Table 16.

Table 15

Standard of evaluation:	Affected area
Class A:	No lesions were observed
Class B:	Affected area is less than 25%
Class C:	Affected area is 25% or more and less than 50%
Class D:	Affected area is 50% or more

Table 16

	Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
5	1	B	1 2 4	B	2 4 6	B
	2	A	1 2 9	A	3 2 3	A
	4	A	1 3 4	A	3 2 6	A
10	6	A	1 3 5	A	3 2 7	A
	7	A	1 5 4	A	3 2 8	A
	8	B	1 5 7	A	3 2 9	B
	10	A	1 6 0	A	3 3 1	B
15	13	A	1 6 3	A	3 3 3	B
	14	B	1 6 6	A	3 3 5	A
	16	A	1 6 9	A	3 3 6	A
	17	A	1 8 4	A	3 3 8	A
20	18	B	1 9 3	A	3 3 9	A
	19	A	1 9 5	B	3 4 0	A
	23	A	2 0 4	B	3 4 1	A
25	24	B	2 0 5	A	3 4 2	A
	26	B	2 0 8	A	3 4 3	A
	27	A	2 1 1	A	3 4 4	B
	29	A	2 1 2	A	3 4 5	A
30	33	A	2 1 3	A	3 4 7	A
	42	A	2 1 4	A	3 4 8	B
	45	A	2 1 5	A	3 4 9	A
	54	B	2 1 6	A	3 5 0	B
35	63	A	2 1 7	A	3 5 1	A
	77	A	2 1 9	A	3 5 2	A
	88	A	2 2 0	A	3 5 3	A
	98	A	2 2 1	A	3 5 4	A
40	101	A	2 2 7	A	3 5 5	A
	104	A	2 2 8	A	3 5 6	A
	107	A	2 3 0	A	3 5 7	B
45	108	A	2 3 1	A	3 5 8	A
	112	A	2 3 2	A	3 5 9	A
	114	A	2 3 5	A	3 6 0	A
	115	A	2 3 6	A	3 6 1	B
50	116	A	2 3 8	A	3 6 2	B

55

Table 16 (continued)

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
363	A	401	A	465	A
364	B	402	A	466	A
365	A	403	A	467	A
366	A	405	A	468	A
367	A	408	A	471	B
368	A	410	A	477	A
369	A	411	B	482	A
370	A	412	A	486	A
371	A	413	A	492	A
372	A	414	A	493	A
373	A	416	A	495	A
374	A	417	A	496	B
376	A	418	A	499	B
377	A	419	A	502	A
378	A	421	A	506	A
379	A	422	A	508	A
380	A	423	A	509	A
381	A	424	A	510	A
382	A	425	A	511	A
383	A	426	A	512	A
385	A	427	A	513	A
386	A	429	A	517	A
387	B	430	A	519	A
388	A	431	A	523	A
389	A	432	A	525	A
390	A	439	A	605	A
391	A	440	A	606	A
392	A	451	A	607	A
393	A	452	A	708	A
394	A	453	A	768	A
395	A	455	A	770	A
397	A	456	A	Comparative Example X	D
399	A	462	A	Comparative Example Y	D

Test Example 2:

Test on the Effect of Treating Infection by Cucumber Downy Mildew (*Pseudoperonospora cubensis*)

5 Cucumber seeds (variety: "Sagami hanjiro") were sown at a rate of 10 seeds each in a square PVC (polyvinyl chloride) pot, wherein each side is 9 cm wide. The seeds were allowed to grow in a greenhouse, for 7 days, to the cotyledonous stage. The seedlings were inoculated with a spore suspension of cucumber downy mildew (*Pseudoperonospora cubensis*) fungi and then placed in a moist chamber at 22 °C for 24 hours. After drying in the air, a wettable powder prepared as in Formulation Example 2 was diluted with
 10 water to a concentration of 500 ppm of the active ingredient, and the aqueous preparation obtained was then applied at a rate of 10 ml per pot to the cucumber seedlings. The seedlings were then placed in a green house. On the seventh day after the inoculation, the extent of lesions was rated in accordance with the standards of evaluation shown in Table 15 in order to secure the effect of treating with the compounds according to the present invention. The results of the test are given in Table 17.

Table 17

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
4	B	129	B	333	A
10	A	134	A	335	A
13	A	135	A	336	A
16	A	154	A	340	B
19	B	157	A	341	B
29	A	160	A	342	A
33	A	163	A	345	A
42	A	184	B	348	B
45	A	212	A	349	A
54	B	213	A	351	A
63	A	215	A	352	A
77	A	216	B	353	A
88	B	219	A	354	A
104	A	220	B	355	A
107	A	221	A	356	A
108	B	228	B	358	A
114	B	230	B	360	B
115	A	231	A	365	A
116	A	232	A	367	B
124	A	238	A	368	A

Table 17 (continued)

Compound No.	Evaluation	Compound No.	Evaluation	Compound No.	Evaluation
3 6 9	A	4 1 7	A	4 8 6	B
3 7 1	A	4 1 8	A	4 9 2	A
3 7 4	A	4 1 9	B	4 9 5	A
3 7 6	A	4 2 3	A	4 9 9	B
3 7 8	A	4 2 4	A	5 0 2	A
3 8 1	B	4 2 5	A	5 0 6	A
3 8 2	A	4 2 7	A	5 0 8	A
3 8 3	A	4 2 9	A	5 0 9	A
3 8 5	A	4 3 9	A	5 1 3	A
3 8 6	A	4 5 1	A	5 1 7	A
3 8 8	B	4 5 2	A	5 1 9	B
3 9 4	A	4 5 3	A	5 2 3	A
3 9 5	A	4 5 5	A	6 0 6	A
3 9 7	A	4 5 6	A	6 0 7	B
3 9 9	A	4 6 2	A	7 0 8	A
4 0 1	A	4 6 5	A	7 6 8	B
4 0 2	B	4 6 6	A	7 7 0	B
4 0 5	B	4 6 7	B	Comparative Example X	D
4 1 4	A	4 6 8	A	Comparative Example Y	D
4 1 6	A	4 7 7	B		

Test Example 3:

Test on the Effect of Preventing Infection by Tomato Late Blight (*Phytophthora infestans*)

One tomato seedling (variety: "Ponterosa") was transplanted into each porcelain pot (diameter: 12 cm) and grown in a greenhouse. A wettable powder prepared as in Formulation Example 2 was diluted with water to a concentration of 500 ppm of the active ingredient, and the aqueous preparation obtained was then applied at a rate of 20 ml per pot to the tomato seedlings at their 6- or 7-leaf stage. After drying in the air, the plant was inoculated with a zoosporangium suspension of tomato late blight (*Phytophthora infestans*) fungi and then placed in a moist chamber at 22 °C. On the fourth day after the inoculation, index of incidence was determined based on the size of the affected area as shown in Table 18. The degree of damage was calculated according to Equation (1) and the index of incidence and the ability to prevent the disease (controlling activity) was calculated according to Equation (2). The results are shown in Table 19.

Table 18

Incidence Index	Affected Area
0	No lesions
1	Less than 5%
2	5% or more and less than 33.3%
3	33.3% or more and less than 66.6%
4	66.6% or more

Equation (1)

$$\text{Degree of Damage (\%)} = \frac{\sum (\text{Incidence Index} \times \text{Number of Proper Leaves})}{4 \times \text{Number of Leaves Examined}} \times 100$$

Equation (2)

$$\text{Controlling Activity (\%)} = \left(1 - \frac{\text{Degree of Damage}}{\text{Degree of Damage in Untreated Plot}} \right) \times 100$$

Table 19

Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)
2	100	104	100	220	100
4	100	107	100	221	100
6	100	108	100	228	100
7	100	112	100	231	100
10	100	115	100	232	100
13	100	116	100	235	100
16	100	129	100	238	100
17	100	134	100	323	100
19	100	135	100	326	100
23	100	154	100	336	100
27	100	157	100	345	100
29	100	160	100	352	100
33	100	163	100	356	100
42	100	166	100	359	100
45	100	169	100	360	100
63	100	184	100	364	100
77	100	193	100	365	100
88	100	213	100	369	100
98	100	215	100	371	100
101	100	217	100	372	100

Table 19 (continued)

	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)
5	373	100	403	100	477	100
	374	100	404	100	482	100
	378	100	405	100	492	100
10	379	100	408	100	495	100
	380	100	414	100	502	100
	381	100	417	100	508	100
15	382	100	418	100	509	100
	386	100	423	100	513	100
	388	100	424	100	519	100
	390	100	427	100	523	100
20	391	100	430	100	605	100
	393	100	439	100	606	100
	394	100	440	100	607	100
25	395	100	451	100	708	100
	397	100	462	100	768	100
	399	100	465	100	770	100
30	401	100	466	100	Comparative Example X	0
	402	100	467	100	Comparative Example Y	0

35 Test Example 4:

Test on the Effect of Preventing Infection by Grape Downy Mildew (*Plasmopara viticola*)

40 Grape rooted cuttings (variety: "Kyoho"), each grown from a cutting and pruned, was grown in a porcelain pot (diameter: 12 cm) and maintained in a greenhouse. A wettable powder prepared as in Formulation Example 2 was diluted with water to a concentration of 500 ppm of the active ingredient, and the aqueous preparation obtained was then applied at a rate of 20 ml per pot to the grape seedlings at their 4- or 5-leaf stage. After drying in the air, the plant was inoculated with a zoosporangium suspension of grape downy mildew (*Plasmopara viticola*) fungi and then placed in a moist chamber at 22°C for 24 hours.

45 On the seventh day in the greenhouse after the inoculation, the plant was again placed in a moist chamber at 22°C for 24 hours to cultivate conidiospores. The incidence area where conidiospores grew on each leaf was examined and the incidence index determined according to the standards shown in Table 18. The degree of damage was calculated according to Equation (1) and the incidence index and the ability to prevent the disease (controlling activity) was calculated according to Equation (2). The results of the test are

50 shown in Table 20.

Table 20

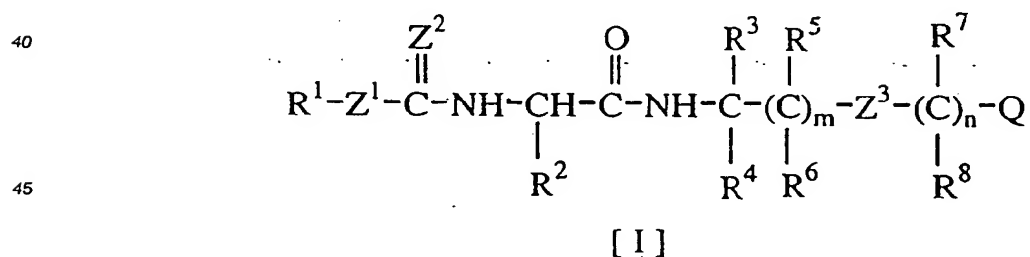
Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)
2	100	104	100	220	100
4	100	107	100	221	100
6	100	108	100	228	100
7	100	112	100	231	100
10	100	115	100	232	100
13	100	116	100	235	100
16	100	129	100	238	100
17	100	134	100	323	100
19	100	135	100	326	100
23	100	154	100	336	100
27	100	157	100	345	100
29	100	160	100	352	100
33	100	163	100	356	100
42	100	166	100	359	100
45	100	169	100	360	100
63	100	184	100	364	100
77	100	193	100	365	100
88	100	213	100	369	100
98	100	215	100	371	100
101	100	217	100	372	100

Table 20 (continued)

	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)	Compound No.	Controlling Activity (%)
5	373	100	403	100	477	100
	374	100	404	100	482	100
	378	100	405	100	492	100
10	379	100	408	100	495	100
	380	100	414	100	502	100
	381	100	417	100	508	100
	382	100	418	100	509	100
15	386	100	423	100	513	100
	388	100	424	100	519	100
	390	100	427	100	523	100
20	391	100	430	100	605	100
	393	100	439	100	606	100
	394	100	440	100	607	100
25	395	100	451	100	708	100
	397	100	462	100	768	100
	399	100	465	100	770	100
30	401	100	466	100	Comparative Example X	0
	402	100	467	100	Comparative Example Y	0

35 Claims

1. An amino-acid amide derivative represented by the formula:



50 wherein R¹ represents

a lower alkyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, an alkoxy group, and a cyano group),

a lower alkenyl group,

a lower alkynyl group,

55 a cycloalkyl group (optionally having at least one same or different substituent selected from the group consisting of methyl group and a halogen atom),

a cycloalkylalkyl group,

a cycloalkenyl group,

an alkylene oxide group,

an aralkyl group (optionally having at least one same or different substituent selected from the group consisting of a methyl group, a cyano group, and a nitro group),

a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom,

a lower alkyl group which may be substituted with a same or different halogen atom,

a lower alkoxy group which may be substituted with a same or different halogen atom,

a cyano group, and

a nitro group), or

a heterocyclic group,

R² represents an ethyl group, an *n*-propyl group, an isopropyl group, an isobutyl group, a *sec*-butyl group, a *tert*-butyl group, an alkenyl group, a cycloalkyl group, a phenyl group (optionally having at least one substituent of halogen atom),

R³ represents a hydrogen atom or a lower alkyl group,

R⁴ represents a hydrogen atom, a lower alkyl group, or a cyano group,

R⁵, R⁶, and R⁷ independently represent a hydrogen atom or a lower alkyl group,

R⁸ represents a hydrogen atom, a lower alkyl group, an aralkyl group, a phenyl group, an alkoxycarbonyl group, or a cyano group,

Z¹ and Z² independently represent an oxygen atom or a sulfur atom,

Z³ represents

an oxygen atom,

a sulfur atom,

a group N-R¹⁰ (wherein R¹⁰ represents a hydrogen atom, a methyl group, a methylcarbonyl group, a phenylcarbonyl group, a methoxycarbonyl group, or a methoxymethyl group),

a sulfinyl group,

a sulfonyl group,

a group COO,

a group CONR¹¹ (wherein R¹¹ represents a hydrogen atom or a lower alkyl group),

Q represents

a phenyl group [optionally having at least one same or different substituent selected from the group consisting of

a halogen atom,

a lower alkyl group which may be substituted with at least one same or different halogen atom,

a lower alkoxy group which may be substituted with a same or different halogen atom,

a cyano group,

a nitro group,

a lower alkoxycarbonyl group,

a methylsulfonyl group,

a methylsulfinyl group,

a methylthio group which may be substituted with a halogen atom,

a dimethylamino group,

a phenylsulfonyl group,

an acyl group, and

a phenyl group],

an alkylene oxide group,

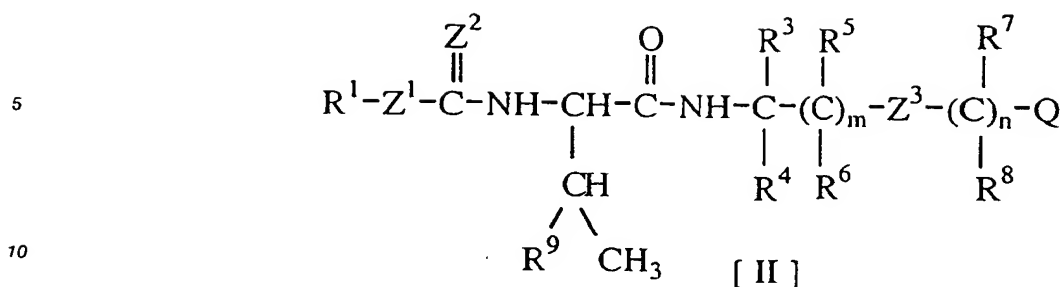
a heterocyclic group (optionally having a substituent selected from the group consisting of a halogen atom, an alkyl group, a trifluoromethyl group, and a nitro group), or

a condensed heterocyclic group optionally having a substituent selected from the group consisting of a halogen atom and a nitro group,

m represents an integer from 0 to 2, and

n represents 0 or 1.

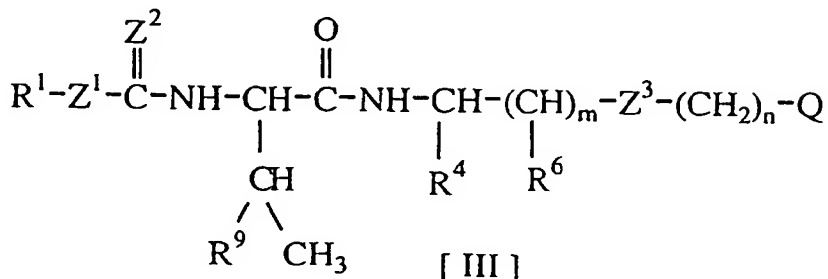
2. An amino-acid amide derivative as recited in claim 1, which is represented by the formula:



- wherein R¹ represents
- a lower alkyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom and an alkoxy group),
 - a lower alkenyl group,
 - a lower alkynyl group,
 - a cycloalkyl group (optionally having at least one substituent of methyl group),
 - a cycloalkenyl group,
 - an alkylene oxide group,
 - an aralkyl group (optionally having at least one same or different substituent selected from the group consisting of a methyl group, a cyano group, and a nitro group),
 - a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a methyl group, a methoxy group, a cyano group, a trifluoromethyl group, a trifluoromethoxy group, and a nitro group),
 - or a heterocyclic group,
 - R³ represents a hydrogen atom or a lower alkyl group,
 - R⁴ represents a hydrogen atom, a lower alkyl group, or a cyano group,
 - R⁵, R⁶, and R⁷ independently represent a hydrogen atom or a lower alkyl group,
 - R⁸ represents a hydrogen atom, a lower alkyl group, an aralkyl group, a phenyl group, an alkoxy carbonyl group, or a cyano group,
 - R⁹ represents a hydrogen atom, a methyl group or an ethyl group,
 - Z¹ and Z² independently represent an oxygen atom or a sulfur atom,
 - Z³ represents an oxygen atom, a sulfur atom, a group N-R¹⁰ (wherein R¹⁰ represents a hydrogen atom, a methyl group, a methylcarbonyl group, a phenylcarbonyl group, a methoxycarbonyl group, or a methoxymethyl group), a sulfinyl group, or a sulfonyl group,
 - Q represents
 - a phenyl group [optionally having at least one same or different substituent selected from the group consisting of
 - a halogen atom,
 - a lower alkyl group which may be substituted with at least one halogen atom,
 - a lower alkoxy group which may be substituted with at least one halogen atom,
 - a cyano group,
 - a nitro group,
 - a lower alkoxy carbonyl group,
 - a methylsulfonyl group,
 - a methylsulfinyl group,
 - a methylthio group which may be substituted with a halogen atom,
 - a dimethylamino group,
 - a phenylsulfonyl group,
 - an acyl group, and
 - a phenyl group],
 - a heterocyclic group (optionally having a substituent selected from the group consisting of a halogen atom and a nitro group), or
 - a condensed heterocyclic group (optionally having a substituent selected from the group consisting of a halogen atom and a nitro group),
 - m represents an integer from 0 to 2, and

n represents 0 or 1.

3. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



wherein R¹ represents a C₁ ~ C₆ alkyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom and an alkoxy group), a C₂ ~ C₆ alkenyl group, a C₂ ~ C₆ alkynyl group, a C₃ - C₈ cycloalkyl group (optionally having at least one substituent of methyl group), a C₂ ~ C₈ alkylene oxide group, a C₇ ~ C₈ aralkyl group (optionally having at least one substituent of methyl group), or a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a methyl group, a methoxy group, a trifluoromethyl group, a trifluoromethoxy group, and a nitro group),

R⁴ represents a hydrogen atom, a C₁ ~ C₃ alkyl group, or a cyano group,

R⁶ represents a hydrogen atom or a C₁ ~ C₃ alkyl group,

R⁹ represents a hydrogen atom, a methyl group, or an ethyl group,

Z¹ and Z² independently represent an oxygen atom or a sulfur atom,

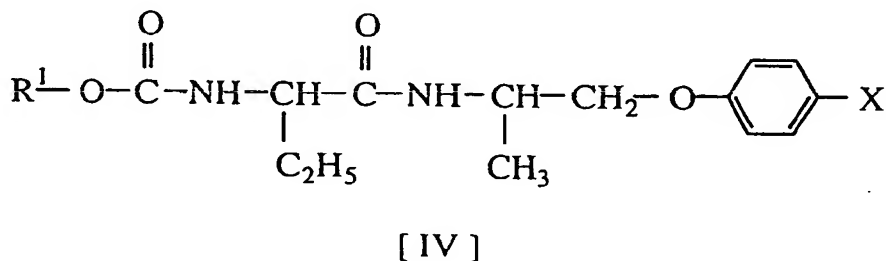
Z³ represents an oxygen atom, a sulfur atom, a group N-R¹⁰ (wherein R¹⁰ represents a hydrogen atom, a methyl group, a methylcarbonyl group, or a phenylcarbonyl group), a sulfinyl group, or a sulfonyl group,

Q represents a phenyl group [optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a C₁ ~ C₃ alkyl group which may be substituted with at least one same or different halogen atom, a C₁ ~ C₃ alkoxy group which may be substituted with a same or different halogen atom, a cyano group, a nitro group, a methylsulfonyl group, a methylsulfinyl group, and a methylthio group], a pyrimidinyl group, or a pyridyl group which may be substituted with a halogen atom,

m represents 1 or 2, and

n represents 0 or 1.

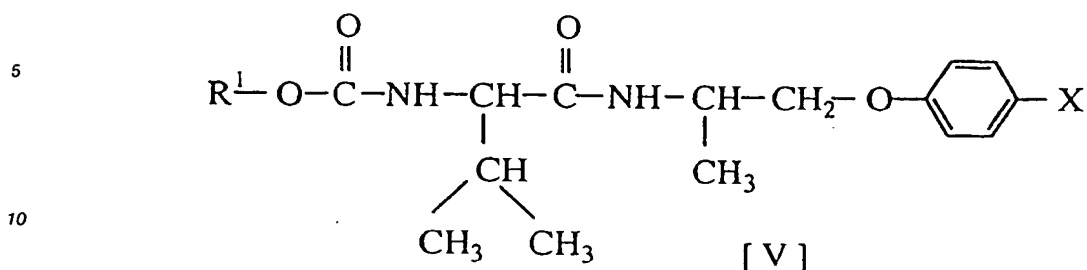
4. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



wherein R¹ represents an isopropyl group, a *tert*-butyl group, a cyclopentyl group, or a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a methyl group, a methoxy group, and a nitro group), and

X represents a halogen atom, a cyano group, or a nitro group.

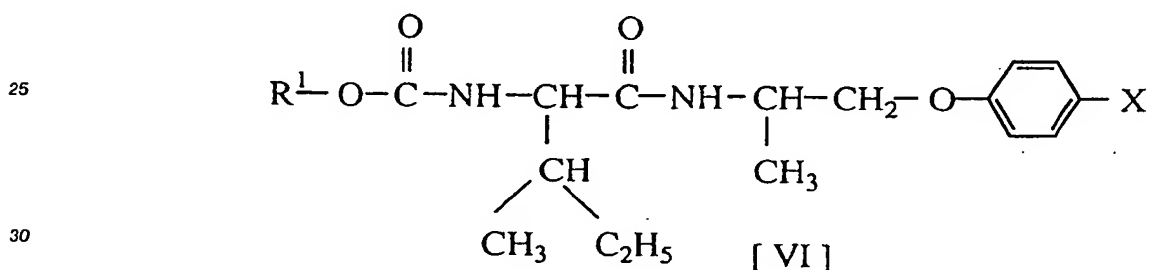
5. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



wherein R¹ represents an isopropyl group, a *tert*-butyl group, a cyclopentyl group, a cyclohexyl group which may be substituted with a methyl group, or a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a methyl group, a methoxy group, a trifluoromethyl group, a trifluoromethoxy group, and a nitro group), and

X represents a halogen atom, a cyano group, or a nitro group.

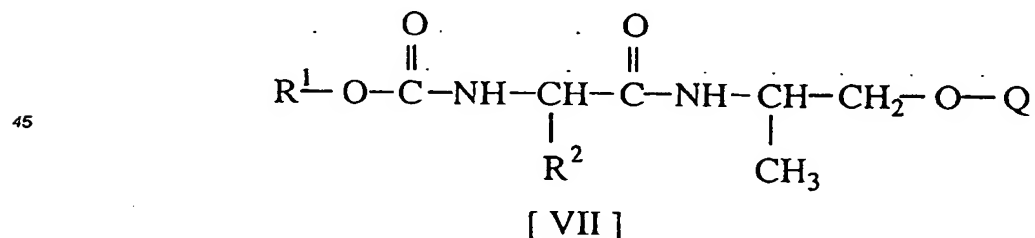
6. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



wherein R¹ represents an isopropyl group, a *tert*-butyl group, a cyclopentyl group, or a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a methyl group, a methoxy group, and a nitro group), and

X represents a halogen atom, a cyano group, or a nitro group.

7. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



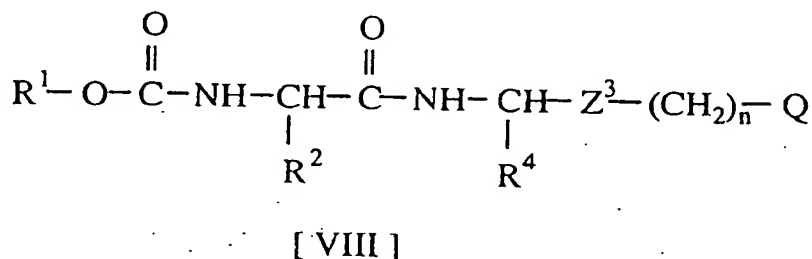
wherein R¹ represents a C₁ ~ C₆ alkyl group (optionally having at least one substituent cyano group), a C₃ ~ C₈ cycloalkyl group (optionally having at least one substituent halogen atom), a C₄ ~ C₈ cycloalkyl C₁ ~ C₃ alkyl group, a benzyl group or a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom and a difluoromethoxy group),

R² represents an *n*-propyl group, an isopropyl group, an isobutyl group, a *tert*-butyl group, an isopropenyl group, a C₃ ~ C₈ cycloalkyl group, or a phenyl group (optionally having at least one

substituent halogen atom), and

Q represents a phenyl group (optionally having at least one substituent cyano group), a pyridyl group (optionally having at least one substituent of a same or different halogen atom or trifluoromethyl group), or a pyrimidinyl group (optionally having at least one same or different substituent of a halogen atom or C₁ ~ C₃ alkyl group).

8. An amino-acid amide derivative as recited in Claim 1, which is represented by the formula:



wherein R¹ represents a C₁ ~ C₆ alkyl group, a C₃ ~ C₈ cycloalkyl group, or a phenyl group (optionally having at least one substituent halogen atom),

R² represents an ethyl group, an isopropyl group, or a *sec*-butyl group,

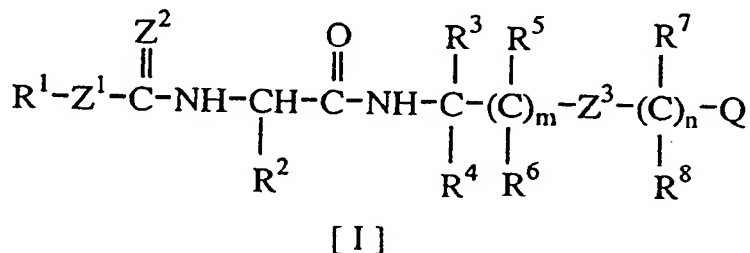
R⁴ represents a hydrogen atom or a C₁ ~ C₃ alkyl group,

Z³ represents a group COO, a group CONR¹² (wherein R¹² represents a hydrogen atom or a C₁ ~ C₃ alkyl group),

Q represents a phenyl group (optionally having at least one same or different substituent selected from the group consisting of a halogen atom, a C₁ ~ C₃ alkyl group, a C₁ ~ C₃ alkoxy group, and a cyano group), and

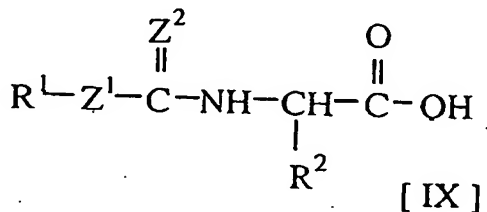
n represents 0 or 1.

9. A process for preparing an amino-acid amide derivative represented by the formula:

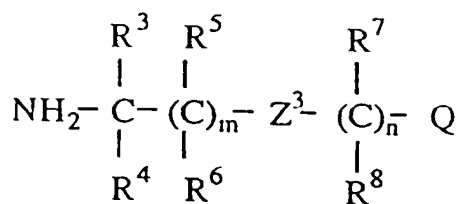


wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, Z¹, Z², Z³, Q, m, and n have the same meanings as defined in Claim 1,

comprising the step of: reacting a compound represented by the formula:



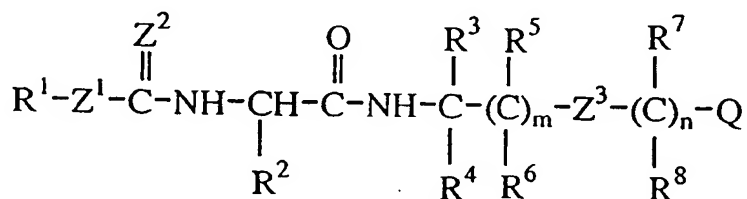
wherein R¹, R², Z¹, and Z² have the same meanings as defined in Claim 1, with a compound represented by the formula:



[X]

wherein R³, R⁴, R⁵, R⁶, R⁷, R⁸, Z³, Q, m, and n have the same meanings as defined in Claim 1.

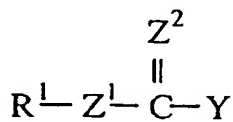
10. A process for preparing an amino-acid amide derivative represented by the formula:



[I]

wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, Z¹, Z², Z³, Q, m, and n have the same meanings as defined in Claim 1,

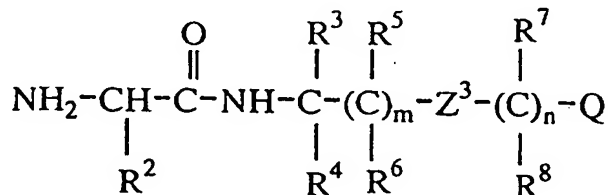
comprising the step of: reacting a compound represented by the formula:



[XI]

wherein Y represents a halogen atom, a 4,6-dimethylpyrimidinylthio group, a group R¹OC(O)O-, or a group -ON=C(CN)Ph (wherein Ph represents a phenyl group), and R¹, Z¹, and Z² have the same meanings as defined in Claim 1,

with a compound represented by the formula:



[XII]

wherein R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, Z³, Q, m, and n have the same meanings as defined in Claim 1.

11. An agricultural or horticultural fungicidal composition which includes an effective amount of an amino-acid amide derivative as recited in Claim 1.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP94/00708

A. CLASSIFICATION OF SUBJECT MATTER

Int. C15 C07C271/22, 271/32, 271/54, 317/14, 327/20, C07D213/28, 239/32, 307/04, 307/40, 307/77, 333/14, 333/50, A01N47/12
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int. C15 C07C271/22, 271/32, 271/54, 271/06, 327/20, 317/14, C07D213/28, 239/32, 307/04, 307/40, 307/77, 333/14, 333/50,

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	JP, A, 4-338372 (Bayer AG.), November 25, 1992 (25. 11. 92), Pages 1 to 3 & EP, A2, 496,239	1-11
A	JP, A, 4-283554 (Bayer AG.), October 8, 1992 (08. 10. 92), Pages 1 to 3 & EP, A1, 485,794	1-11
A	JP, A, 4-308507 (American Cyanamid Co.), October 30, 1992 (30. 10. 92), Pages 1 to 3 & EP, A1, 493,683	1-11
A	JP, A, 4-230653 (Bayer AG.), August 19, 1992 (19. 08. 92), Pages 1 to 2 & EP, A, 477,639	1-11
A	JP, A, 4-230652 (Bayer AG.), August 19, 1992 (19. 08. 92), Pages 1 to 2 & EP, A1, 550,788	1-11

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubt on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"Z" document member of the same patent family

Date of the actual completion of the international search

July 7, 1994 (07. 07. 94)

Date of mailing of the international search report

July 26, 1994 (26. 07. 94)

Name and mailing address of the ISA/

Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP94/00708

B(Continuation). FIELDS SEARCHED

A01N47/12, 47/10

Form PCT/ISA/210 (extra sheet) (July 1992)

THIS PAGE BLANK (USPTO)